Sackey 09/937386 Page 1

=> file reg
FILE 'REGISTRY' ENTERED AT 14:21:12 ON 21 JAN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 20 JAN 2003 HIGHEST RN 479577-81-6
DICTIONARY FILE UPDATES: 20 JAN 2003 HIGHEST RN 479577-81-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> file hcaplus
FILE 'HCAPLUS' ENTERED AT 14:21:17 ON 21 JAN 2003
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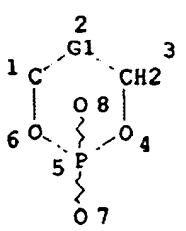
FILE COVERS 1907 - 21 Jan 2003 VOL 138 ISS 4
FILE LAST UPDATED: 20 Jan 2003 (20030120/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d que l24
L3 STR



2,167 structures from this query

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

REP G1=(0-3) C
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

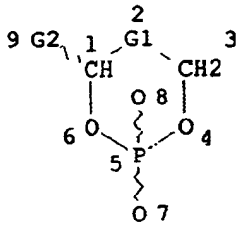
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
L5 2167 SEA FILE=REGISTRY SSS FUL L3
L16 STR

CH2-C~~O
@16 17 18

Subset search

2139 structures



CH2-OH
@10 11

CH2-O~~C~~O
@12 13 14 15

REP G1=(0-3) C
VAR G2=H/AK/10/12/16
NODE ATTRIBUTES:
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CONNECT IS E1 RC AT 15
CONNECT IS E1 RC AT 18
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
L18 2139 SEA FILE=REGISTRY SUB=L5 SSS FUL L16
L19 1246 SEA FILE=HCAPLUS ABB=ON L18
L20 30 SEA FILE=HCAPLUS ABB=ON L19(L)THU/RL
L21 715 SEA FILE=HCAPLUS ABB=ON L19(L)(PREP OR SPN OR IMF)/RL
L24 21 SEA FILE=HCAPLUS ABB=ON L20 AND L21

prep for therapeutic use

=> d l24 all 1-21 hitstr

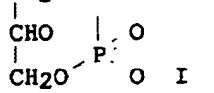
L24 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2002:955399 HCAPLUS

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

DN 138:33370
TI Hyaluronic acid production enhancer as skin protectant
IN Tanaka, Shinji; Murobuse, Kimiko; Kobayashi, Akiyuki
PA NOF Corporation, Japan
SO Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM A61K031-661
ICS A61K038-00; A61P017-02; A61P043-00; C07F009-6574
CC 1-12 (Pharmacology)
Section cross-reference(s): 24
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002363081	A2	20021218	JP 2001-171689	20010606
PRAI	JP 2001-171689		20010606		

GI



AB Skin protectants in the treatment of atrophy of the skin induced by aging or steroid and in the prevention of the scar formation after the healing of wound which contain as the active ingredient cyclic phosphatide derivs. represented by the following general formula I (RO = C8-22 alc. residue or fatty acid residue; M = H, alkali metal, alk. earths metal, and (substituted) ammonium) as hyaluronic acid prodn. enhancer, hyaluronic acid synthetase gene promoter, and cellular activator are offered.
ST cyclic phosphatide deriv hyaluronate enhancer skin protectant
IT Skin, disease
(aging; cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)
IT Skin, disease
(atrophy; cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)
IT Cell activation
Wound healing promoters
(cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)
IT Phosphatidic acids
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic, derivs.; cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)
IT Gene, animal
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hyaluronic acid synthetase; cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)
IT Skin, disease
(scar; cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)
IT Drug interactions
(synergistic; cyclic phosphatide as hyaluronic acid prodn. enhancer for

protecting skin)

IT 9004-61-9, Hyaluronic acid 39346-43-5, Hyaluronic acid synthetase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)

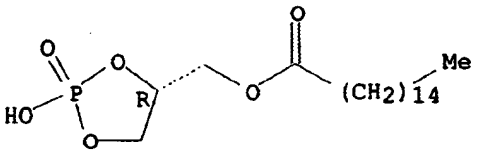
IT 106096-93-9P, Basic fibroblast growth factor **168217-08-1P**
168217-09-2P 169736-88-3P 478336-74-2P
478336-75-3P 478336-76-4P 478336-77-5P
478336-78-6P 478336-79-7P 478336-80-0P
RL: PAC (Pharmacological activity); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)

IT 506-03-6, 1-O-sn-Hexadecylglycerol
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)

IT **168217-08-1P 168217-09-2P 169736-88-3P**
478336-74-2P 478336-75-3P 478336-76-4P
478336-77-5P 478336-78-6P 478336-79-7P
478336-80-0P
RL: PAC (Pharmacological activity); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(cyclic phosphatide as hyaluronic acid prodn. enhancer for protecting skin)

RN 168217-08-1 HCAPLUS
CN Hexadecanoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

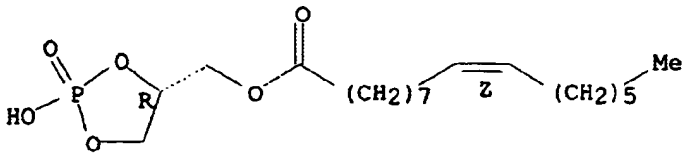
Absolute stereochemistry.



● Na

RN 168217-09-2 HCAPLUS
CN 9-Hexadecenoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (9Z)- (9CI) (CA INDEX NAME)

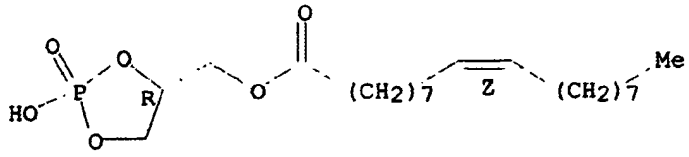
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 169736-88-3 HCAPLUS
CN 9-Octadecenoic acid (9Z)-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

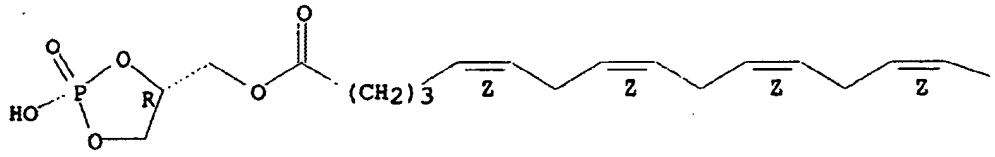


● Na

RN 478336-74-2 HCAPLUS
CN 5,8,11,14,17-Eicosapentaenoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (5Z,8Z,11Z,14Z,17Z)- (9CI) (CA INDEX NAME)

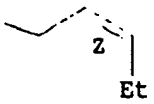
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



● Na

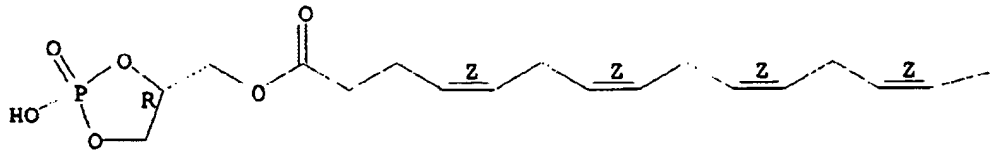
PAGE 1-B



RN 478336-75-3 HCAPLUS
CN 4,7,10,13,16,19-Docosahexaenoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (4Z,7Z,10Z,13Z,16Z,19Z)-(9CI) (CA INDEX NAME)

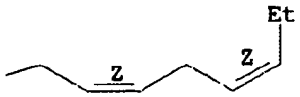
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



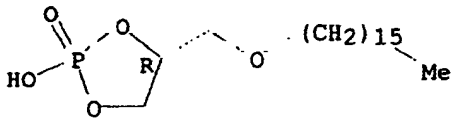
● Na

PAGE 1-B



RN 478336-76-4 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-[(hexadecyloxy)methyl]-2-hydroxy-, 2-oxide, sodium salt, (4R)- (9CI) (CA INDEX NAME)

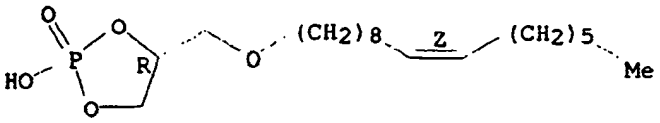
Absolute stereochemistry.



● Na

RN 478336-77-5 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-[[(9Z)-9-hexadecenyloxy]methyl]-2-hydroxy-, 2-oxide, sodium salt, (4R)- (9CI) (CA INDEX NAME)

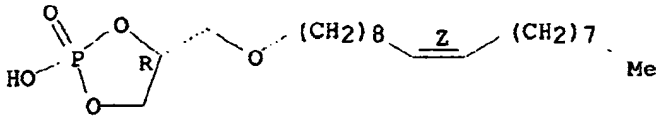
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 478336-78-6 HCAPLUS
CN 1,3,2-Dioxaphospholane, 2-hydroxy-4-[[(9Z)-9-octadecenyloxy]methyl]-, 2-oxide, sodium salt, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

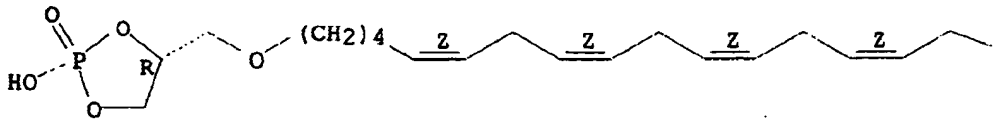


● Na

RN 478336-79-7 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-[[(5Z,8Z,11Z,14Z,17Z)-5,8,11,14,17-eicosapentaenyloxy]methyl]-2-hydroxy-, 2-oxide, sodium salt, (4R)- (9CI) (CA INDEX NAME)

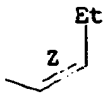
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



● Na

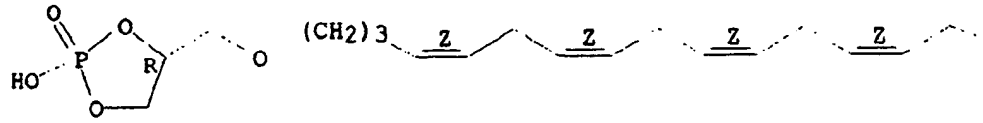
PAGE 1-B



RN 478336-80-0 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-[[(4Z,7Z,10Z,13Z,16Z,19Z)-4,7,10,13,16,19-docosahexaenyloxy]methyl]-2-hydroxy-, 2-oxide, sodium salt, (4R)- (9CI) (CA INDEX NAME)

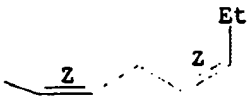
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



● Na

PAGE 1-B



L24 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:693051 HCAPLUS
DN 135:242705
TI Phosphate based biodegradable polymers, their preparation and compositions with a biologically active substance
IN Leong, Kam; Jie, Wen; Zhuo, Ren-Xi; Mao, Hai-Quan
PA Johns Hopkins University, USA
SO PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K009-00
ICS A61K009-14; A61K009-16; A61M005-00; C08G079-04
CC 35-7 (Chemistry of Synthetic High Polymers)
Section cross-reference(s): 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001068052	A2	20010920	WO 2001-US7603	20010310
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002155092	A1	20021024	US 2001-803358	20010310

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

PRAI US 2000-188477P P 20000310

AB Biodegradable polymers comprise repeat units derived from cyclic phosphate monomers and, optionally, repeat units derived from lactide or caprolactone monomers. Articles and microspheres are prepd. from biodegradable polymers and polymer compns. Controlled release of a biol. active substance is achieved using the biodegradable polymers. D,L-lactide and ethylene Me phosphate (prepn. given) were polymd. in the presence of aluminum triisopropoxide under Ar at 140-160.degree..

ST lactide ethylene methyl phosphate copolymer manuf property; ethylene methyl phosphate prepn polymn; block polymn lactide ethylene methyl phosphate; ring opening polymn lactide ethylene methyl phosphate

IT Polymers, preparation
RL: IMF (Industrial manufacture); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(biodegradable, biocompatible; phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT Polymerization
(block; of lactide and ethylene Me phosphate)

IT Drug delivery systems
(controlled-release; phosphate-based biodegradable polymers for)

IT Glass transition temperature
Polymer degradation
(of phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT Polyesters, preparation
RL: IMF (Industrial manufacture); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT Polymerization
(ring-opening; of lactide and ethylene Me phosphate)

IT **361186-26-7P**
RL: **IMF (Industrial manufacture)**; **PREP (Preparation)**
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT **220490-57-3P**, Lactide-ethylene methyl phosphate copolymer
361186-24-5P 361186-25-6DP, demethylation
RL: **IMF (Industrial manufacture)**; PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT 822-39-9P 6609-64-9P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT 326604-67-5, Lactide-ethyl ethylene phosphate copolymer
RL: PRP (Properties)
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT 100-01-6, p-Nitroaniline, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT **361186-25-6P**
RL: **IMF (Industrial manufacture)**; PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. and block polymn.; phosphate-based biodegradable polymers for

controlled-release of biol. active substances)

IT **2196-04-5P**, Ethylene methyl phosphate
RL: **IMF (Industrial manufacture)**; RCT (Reactant); **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. and polymn.; phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT 7719-12-2, Phosphorus trichloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with ethylene glycol; phosphate-based biodegradable polymers for controlled-release of biol. active substances)

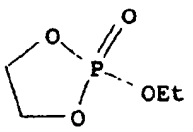
IT 107-21-1, Ethylene glycol, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with phosphorus trichloride; phosphate-based biodegradable polymers for controlled-release of biol. active substances)

IT **361186-26-7P**
RL: **IMF (Industrial manufacture)**; **PREP (Preparation)**
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

RN 361186-26-7 HCAPLUS
CN 2-Oxepanone, polymer with 2-ethoxy-1,3,2-dioxaphospholane 2-oxide, block (9CI) (CA INDEX NAME)

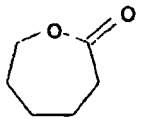
CM 1

CRN 823-31-4
CMF C4 H9 O4 P



CM 2

CRN 502-44-3
CMF C6 H10 O2

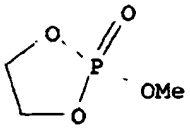


IT **220490-57-3P**, Lactide-ethylene methyl phosphate copolymer
361186-24-5P 361186-25-6DP, demethylation
RL: **IMF (Industrial manufacture)**; PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(phosphate-based biodegradable polymers for controlled-release of biol. active substances)

RN 220490-57-3 HCAPLUS
CN 1,4-Dioxane-2,5-dione, 3,6-dimethyl-, polymer with 2-methoxy-1,3,2-dioxaphospholan 2-oxide (9CI) (CA INDEX NAME)

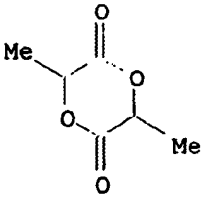
CM 1

CRN 2196-04-5
CMF C3 H7 O4 P



CM 2

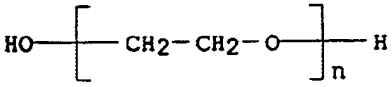
CRN 95-96-5
CMF C6 H8 O4



RN 361186-24-5 HCAPLUS
CN 1,3,2-Dioxaphospholane, 2-methoxy-, 2-oxide, polymer with .alpha.-hydro-.omega.-hydroxy[poly(oxy-1,2-ethanediyl)] disodium salt, block (9CI) (CA INDEX NAME)

CM 1

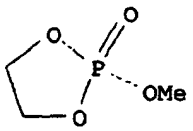
CRN 50856-01-4
CMF (C2 H4 O)n H2 O . 2 Na
CCI PMS



●2 Na

CM 2

CRN 2196-04-5
CMF C3 H7 O4 P

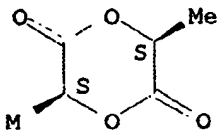


RN 361186-25-6 HCAPLUS
CN 1,4-Dioxane-2,5-dione, 3,6-dimethyl-, (3S,6S)-, polymer with 2-methoxy-1,3,2-dioxaphospholane 2-oxide, block (9CI) (CA INDEX NAME)

CM 1

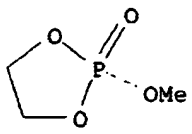
CRN 4511-42-6
CMF C6 H8 O4

Absolute stereochemistry.



CM 2

CRN 2196-04-5
CMF C3 H7 O4 P

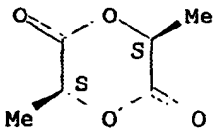


IT **361186-25-6P**
RL: **IMF (Industrial manufacture)**; PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. and block polymn.; phosphate-based biodegradable polymers for controlled-release of biol. active substances)
RN 361186-25-6 HCAPLUS
CN 1,4-Dioxane-2,5-dione, 3,6-dimethyl-, (3S,6S)-, polymer with 2-methoxy-1,3,2-dioxaphospholane 2-oxide, block (9CI) (CA INDEX NAME)

CM 1

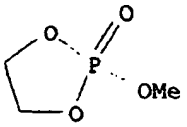
CRN 4511-42-6
CMF C6 H8 O4

Absolute stereochemistry.

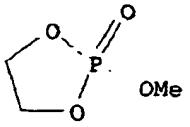


CM 2

CRN 2196-04-5
CMF C3 H7 O4 P



IT 2196-04-5P, Ethylene methyl phosphate
RL: **IMF (Industrial manufacture)**; RCT (Reactant); **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. and polymn.; phosphate-based biodegradable polymers for controlled-release of biol. active substances)
RN 2196-04-5 HCAPLUS
CN 1,3,2-Dioxaphospholane, 2-methoxy-, 2-oxide (9CI) (CA INDEX NAME)



L24 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:380438 HCAPLUS
DN 135:24657
TI Selective cellular targeting: multifunctional delivery vehicles
IN Glazier, Arnold
PA Drug Innovation + Design, Inc., USA
SO PCT Int. Appl., 981 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K047-48
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1, 2, 8, 15, 25, 28
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001036003	A2	20010525	WO 2000-US31262	20001114

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2001016075 A5 20010530 AU 2001-16075 20001114
EP 1255567 A1 20021113 EP 2000-978631 20001114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 1999-165485P P 19991115
US 2000-239478P P 20001011
US 2000-241937P P 20001020
WO 2000-US31262 W 20001114

AB The present invention relates to the compns., methods, and applications of a novel approach to selective cellular targeting. The purpose of this invention is to enable the selective delivery and/or selective activation of effector mols. to target cells for diagnostic or therapeutic purposes. The present invention relates to multi-functional prodrugs or targeting vehicles wherein each functionality is capable of enhancing targeting selectivity, affinity, intracellular transport, activation or detoxification. The present invention also relates to ultralow dose, multiple target, multiple drug chemotherapy and targeted immunotherapy for cancer treatment.

ST antitumor drug targeting delivery vehicle

IT Multidrug resistance proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(MDR1, inhibitors; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Glycoproteins, specific or class
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(P170, inhibitors; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Prostate gland
(adenocarcinoma; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(cell-surface; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Cholecystokinin receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(cholecystokinin B; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Proteins, specific or class
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(complexes; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Proteins, specific or class
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(fibroblast-activating; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Receptors

RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(folate; multifunctional deliv ry vehicles for selective cellular targeting of drugs)

IT Receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(for bombesin-releasing peptide; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(for gastrin-releasing peptide; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Transport proteins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(for nucleosides, inhibitors; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Biological transport
(intracellular; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Antibodies
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(monoclonal; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Antitumor agents
Cell division
Chelating agents
Cytotoxic agents
Drug targeting
Imaging agents
Immunization
Immunostimulants
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Enzymes, biological studies
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Laminin receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT MSH receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT P-glycoproteins

RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Prostate-specific antigen
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Somatostatin receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Biopolymers
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PROC (Process); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Anthracyclines
Radionuclides, biological studies
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Antigens
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(neoantigens; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Receptors
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(nitrobenzylthioinosine-binding; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Transport proteins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(norepinephrine-transporting; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Benzodiazepine receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(peripheral; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Drug delivery systems
(prodrugs; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Proliferation inhibition
(proliferation inhibitors; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Ligands
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC

(Process)
(targetable; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Drug delivery systems
(targeted; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Nucleosides, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(transport proteins; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Antigens
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(tumor-assocd.; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Vaccines
(tumor; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Biological transport
(uptake; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Antitumor agents
(vaccines; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Opioid receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(.sigma.-opioid; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Integrins
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(.alpha.v.beta.3; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 9001-01-8, Kallikrein
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(2, human glandular; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 9024-62-8, Orotidine 5'-phosphate decarboxylase 9029-03-2, Dihydroorotic acid dehydrogenase 9032-02-4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 342397-39-1P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 23214-92-8DP, immucillin G derivs. 209799-75-7DP, doxorubicin derivs.
341549-52-8P 341549-53-9P 341549-71-1P 341549-87-9P
341552-14-5P 341552-35-0P **341552-87-2P** **341553-15-9P**
341553-47-7P **341553-59-1P** 341990-79-2P 341990-80-5P
341990-94-1P **341990-96-3P** **341990-98-5P**

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

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342389-75-7P 342389-76-8P 342390-71-0P 342391-02-0P 342391-78-0P
342392-24-9P 342392-67-0P 342392-75-0P 342392-76-1P 342392-79-4P
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342396-56-9P 342397-18-6P 342397-65-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 341549-26-6P 341549-27-7P 342389-60-0P 342392-57-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 9001-12-1, collagenase 9001-77-8 9001-92-7, proteinase 9002-07-7, trypsin 9004-06-2, Elastase 9004-08-4, cathepsin 9025-26-7, cathepsin d 9025-62-1, Steroid sulfatase 9030-23-3, Thymidine phosphorylase 9031-61-2, Thymidylate synthase 9039-53-6, urokinase 9040-48-6, Gelatinase 9045-77-6, Fatty acid synthase 9047-22-7, cathepsin b 9074-87-7, glutamate carboxypeptidase II 60616-82-2, cathepsin L 62229-50-9, Egf 79955-99-0, Stromelysin 1 84419-03-4, guanidinobenzoatase 94716-09-3, cathepsin k 115926-52-8, Phosphatidylinositol 3-kinase 141256-52-2, matrilysin 141907-41-7, matrix metalloproteinase 142008-29-5, Protein kinase a 142243-02-5, Map kinase 142805-58-1, Map kinase kinase 145267-01-2, stromelysin 3 146480-35-5, Gelatinase A 162032-86-2, cathepsin O 175449-82-8, Collagenase 3 241475-96-7, Matriptase
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 9001-90-5, plasmin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 50-07-7, Mitomycin c 57-22-7, Vincristine 58-85-5D, Biotin, masked derivs. 59-30-3D, Folic acid, masked derivs. 518-28-5D, Podophyllotoxin, derivs. 519-23-3D, Ellipticine, derivs. 865-21-4, Vinblastine 7689-03-4, Camptothecin 10159-53-2D, Phosphoramide mustard, analogs 11116-31-7D, Bleomycin A2, derivs. 24280-93-1, Mycophenolic acid 33069-62-4D, Taxol, derivs. 52128-35-5, Trimetrexate 65271-80-9D, Mitoxantrone, derivs. 77327-05-0, Didemnin B 112953-11-4 114899-77-3D, Ecteinascidin 743, derivs. 124689-65-2D, analogs 139987-54-5, BW 1843U89 175795-76-3 236743-94-5, Phthalascidin 265646-19-3, Indanocine
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 1194-98-5P 1499-29-2P 6974-29-4P 90359-20-9P 138915-62-5P

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

147281-71-8P 165172-57-6P 165454-06-8P 177575-34-7P 214532-01-1P
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341552-11-2P

RL: PNU (Preparation, unclassified); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 341552-12-3P 341552-13-4P 341552-15-6P 341552-16-7P 341552-17-8P
341552-18-9P 341552-19-0P 341552-20-3P 341552-21-4P 341552-22-5P
341552-23-6P 341552-24-7P 341552-25-8P 341552-26-9P 341552-27-0P
341552-28-1P 341552-29-2P 341552-30-5P 341552-31-6P 341552-32-7P
341552-33-8P 341552-34-9P 341552-36-1P 341552-37-2P 341552-38-3P
341552-39-4P 341552-40-7P 341552-41-8P 341552-42-9P 341552-43-0P

341552-44-1P 341552-45-2P 341552-46-3P 341552-47-4P 341552-48-5P
341552-49-6P 341552-50-9P 341552-51-0P **341552-52-1P**
341552-53-2P 341552-54-3P 341552-55-4P 341552-56-5P
341552-57-6P 341552-58-7P 341552-59-8P 341552-60-1P 341552-61-2P
341552-62-3P 341552-63-4P 341552-64-5P 341552-65-6P 341552-66-7P
341552-67-8P 341552-68-9P 341552-69-0P 341552-70-3P 341552-71-4P
341552-72-5P 341552-73-6P 341552-74-7P 341552-75-8P 341552-76-9P
341552-77-0P 341552-78-1P 341552-79-2P 341552-80-5P 341552-81-6P
341552-82-7P 341552-83-8P 341552-84-9P 341552-85-0P 341552-86-1P
341552-88-3P 341552-89-4P 341552-90-7P 341552-91-8P 341552-93-0P
341552-94-1P 341552-95-2P **341552-96-3P** 341552-97-4P
341552-98-5P 341552-99-6P 341553-00-2P 341553-01-3P 341553-02-4P
341553-03-5P 341553-04-6P 341553-05-7P 341553-06-8P 341553-07-9P
341553-08-0P 341553-09-1P 341553-10-4P 341553-11-5P 341553-12-6P
341553-13-7P 341553-14-8P 341553-16-0P 341553-17-1P 341553-18-2P
341553-19-3P 341553-20-6P **341553-21-7P** 341553-22-8P
341553-23-9P 341553-24-0P 341553-25-1P **341553-26-2P**
341553-27-3P **341553-28-4P 341553-29-5P**
341553-30-8P 341553-31-9P **341553-32-0P**
341553-33-1P 341553-34-2P 341553-35-3P **341553-36-4P**
341553-37-5P 341553-38-6P 341553-39-7P 341553-40-0P 341553-41-1P
341553-42-2P **341553-43-3P** 341553-45-5P 341553-46-6P
341553-48-8P 341553-49-9P **341553-50-2P** 341553-51-3P
341553-52-4P 341553-53-5P 341553-54-6P 341553-55-7P 341553-56-8P
341553-57-9P 341553-58-0P 341553-60-4P 341553-61-5P 341553-62-6P
341553-63-7P 341553-64-8P 341553-65-9P 341553-67-1P 341553-68-2P
341553-69-3P 341553-70-6P 341990-72-5P 341990-73-6P 341990-74-7P
341990-75-8P 341990-76-9P 341990-77-0P 341990-78-1P
341990-82-7P 341990-83-8P 341990-84-9P
341990-85-0P 341990-86-1P 341990-87-2P
341990-88-3P 341990-89-4P 341990-90-7P 341990-91-8P 341990-92-9P
341990-93-0P **341990-95-2P 341990-97-4P** 341991-01-3P
342393-40-2P 342395-76-0P 342395-83-9P 342395-94-2P 342398-29-2P
RL: PNU (Preparation, unclassified); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 197245-25-3P 341549-54-0P **341549-55-1P** 341549-56-2P
341549-57-3P 341549-58-4P 341549-59-5P 341549-60-8P 341549-61-9P
341549-62-0P 341549-63-1P 341549-74-4P 341549-76-6P 341549-78-8P
341549-79-9P 341549-80-2P 341549-81-3P 341549-82-4P 341549-83-5P
341549-84-6P 341549-85-7P 341549-86-8P
RL: PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 51-67-2 2495-35-4 3326-32-7 3588-30-5 110914-51-7 121031-01-4
178623-11-5 341549-28-8 341549-30-2 341549-33-5 341549-39-1
341549-73-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 5621-44-3P 173039-08-2P 341549-29-9P 341549-31-3P 341549-32-4P
341549-34-6P 341549-36-8P 341549-37-9P 341549-38-0P 341549-40-4P
341549-69-7P 341549-70-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(multifunctional delivery vehicles for sel ctive cellular targeting of drugs)

IT 341549-72-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 341549-41-5 341549-42-6 341549-43-7 341549-44-8 341549-45-9
341549-46-0 341549-47-1 341549-48-2 341549-49-3 341549-50-6
341549-51-7 341549-64-2 341549-65-3 341549-66-4 341549-67-5
341549-68-6 341549-77-7 341990-71-4 342392-74-9 342393-39-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 9001-78-9, Alkaline phosphatase
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(placental type; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 38048-32-7
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(receptors; multifunctional delivery vehicles for selective cellular targeting of drugs)

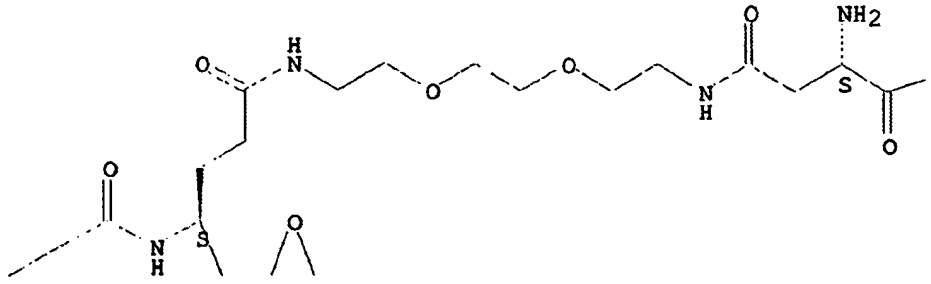
IT **341549-52-8P 341552-87-2P 341553-15-9P**
341553-47-7P 341553-59-1P 341990-94-1P
341990-96-3P 341990-98-5P 341990-99-6P
341991-00-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

RN 341549-52-8 HCAPLUS

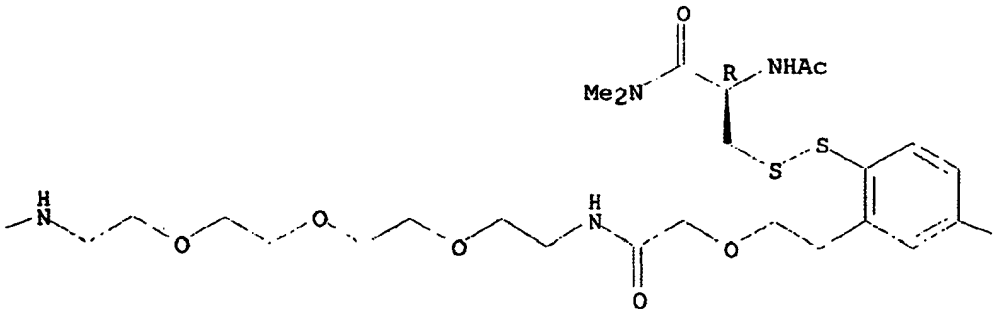
CN Butanedioic acid, [[5-[[[[[4-[(3S,19S)-19-amino-38-[2-[[(2R)-2-(acetylamino)-3-(dimethylamino)-3-oxopropyl]dithio]-5-[[[[[(2E)-2,3-dihydro-2-[(4-hydroxy-3,5-dimethylphenyl)methylene]-5,6-dimethoxy-1-oxo-1H-inden-7-yl]amino]carbonyl]oxy]methyl]phenyl]-3-[(9H-fluoren-9-ylmethoxy)carbonyl]-1,6,17,20,34-pentaoxo-10,13,24,27,30,36-hexaoxa-2,7,16,21,33-pentaazaoctatriacont-1-yl]phenyl][(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl]amino]carbonyl]oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

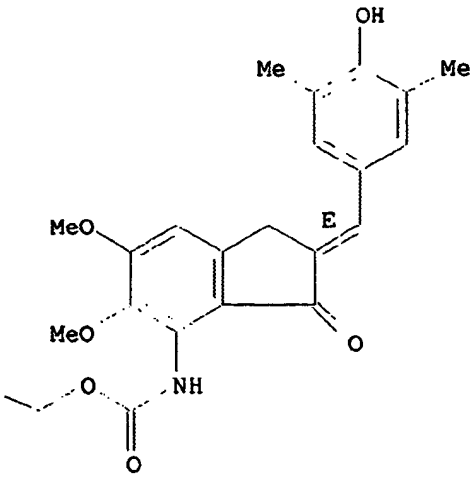
PAGE 1-B



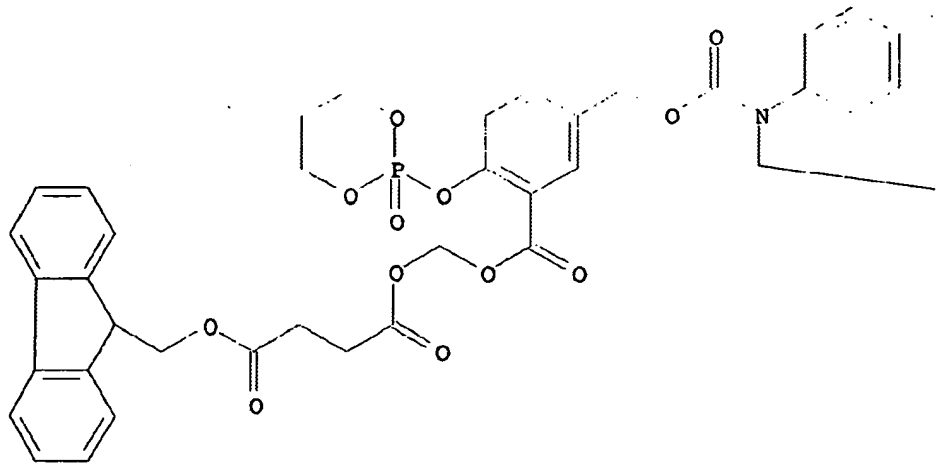
PAGE 1-C



PAGE 1-D



PAGE 2-A



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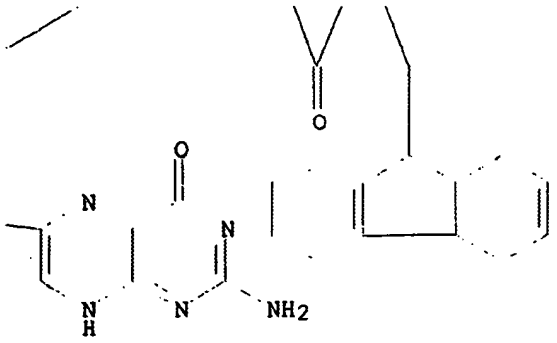
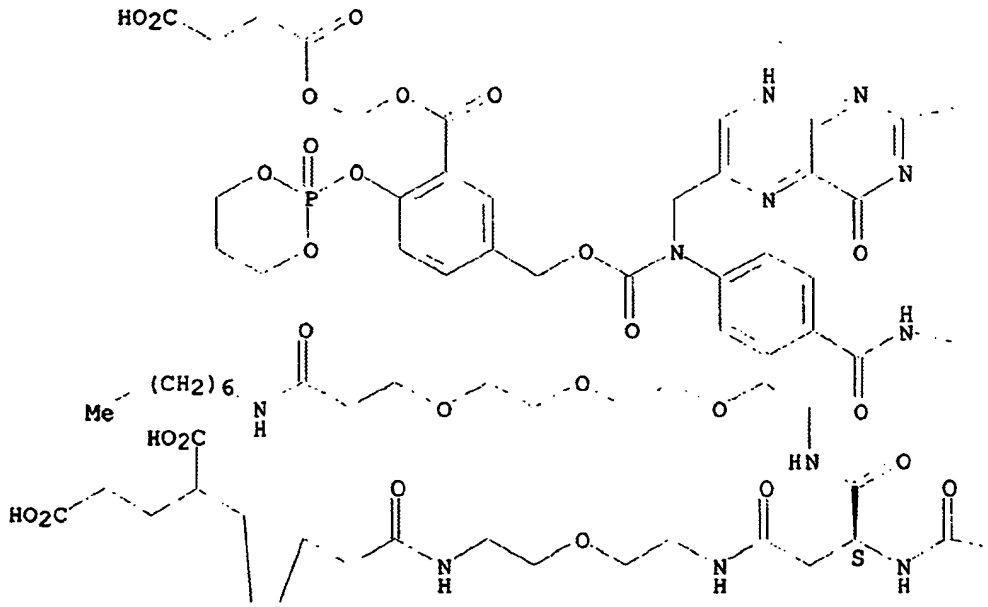


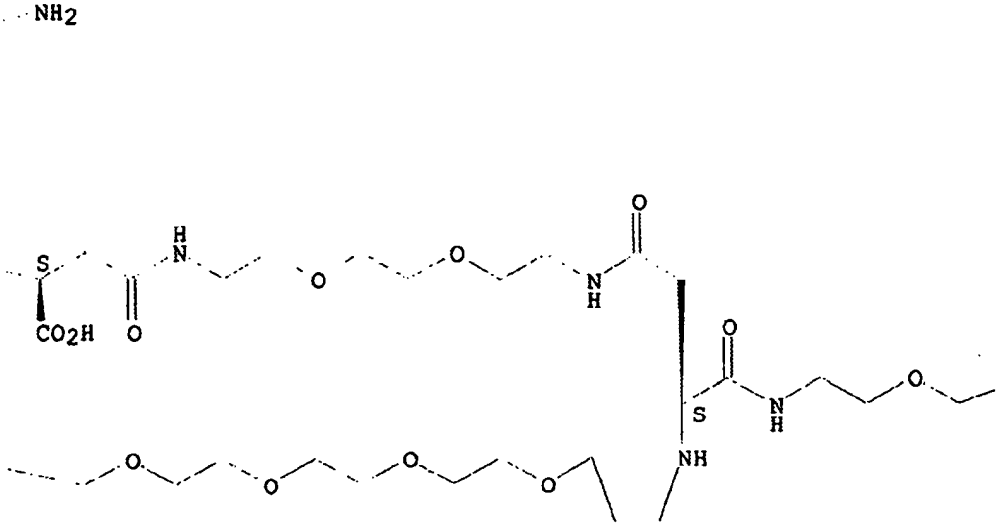
RN 341552-87-2 HCAPLUS
CN 9,12,22,25,28,31,41-Heptaoxa-2,6,15,19,34,38,44-heptaaza-48-phosphadopentacontane-3,50,52-tricarboxylic acid, 1-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[(3-carboxy-1-oxopropoxy)methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]phenyl]-18-[18-[7-[[[[[2-(1,3-dicarboxypropyl)-2,3-dihydro-1-oxo-1H-isoindol-5-yl][(1,2-dihydro-3-methyl-1-oxobenzo[f]quinazolin-9-yl)methyl]amino]carbonyl]oxy]methyl]-5,8-dihydro-5,8-dioxo-2-naphthalenyl]-1,14-dioxo-5,8,11-trioxa-2,15-diazaoctadec-1-yl]-35-(1,14-dioxo-5,8,11-trioxa-2,15-diazadocos-1-yl)-48-hydroxy-1,5,16,20,33,37,45-heptaoxo-, 48-oxide, (3S,18S,35S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

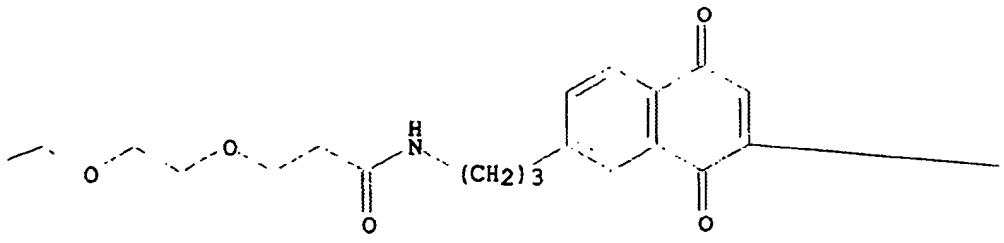
PAGE 1-A



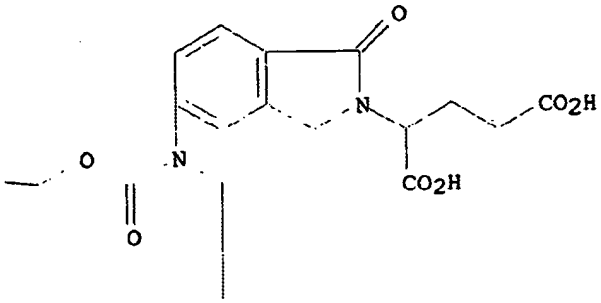
PAGE 1-B



PAGE 1-C



PAGE 1-D



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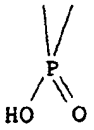

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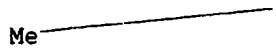
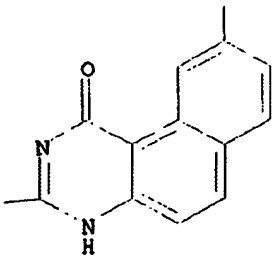


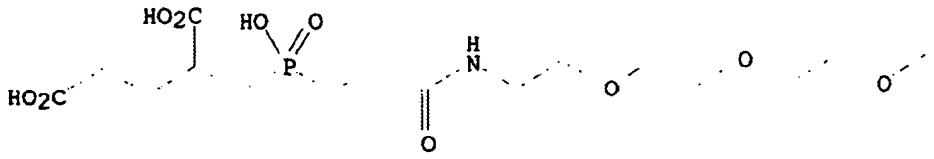
PAGE 2-D



RN 341553-15-9 HCAPLUS
CN 11,14,24,27,30,33,43,46,49-Nonaoxa-2,7,17,21,36,40,52-heptaaza-56-phosphahexacontane-3,58,60-tricarboxylic acid, 1-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[(3-carboxy-1-oxopropoxy)methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]phenyl]-20-[17-[6-[[[[[2-(1,3-dicarboxypropyl)-2,3-dihydro-1-oxo-1H-isoindol-5-yl][(1,2-dihydro-3-methyl-1-oxobenzo[f]quinazolin-9-yl)methyl]amino]carbonyl]oxy]methyl]-5,8-dihydro-5,8-dioxo-1-naphthalenyl]-1,14-dioxo-5,8,11-trioxa-2,15-diazaheptadec-1-yl]-37-(1,14-dioxo-5,8,11-trioxa-2,15-diazadocos-1-yl)-56-hydroxy-1,6,18,22,35,39,53-heptaoxo-, 56-oxide, (3S,20S,37S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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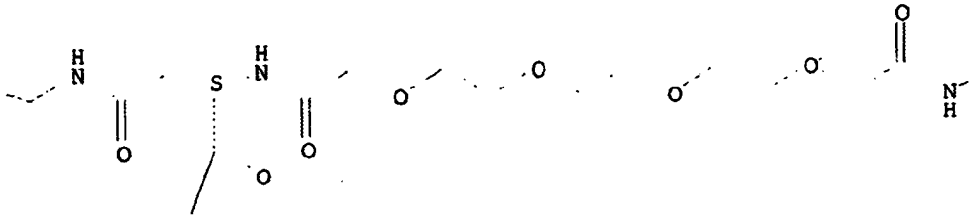
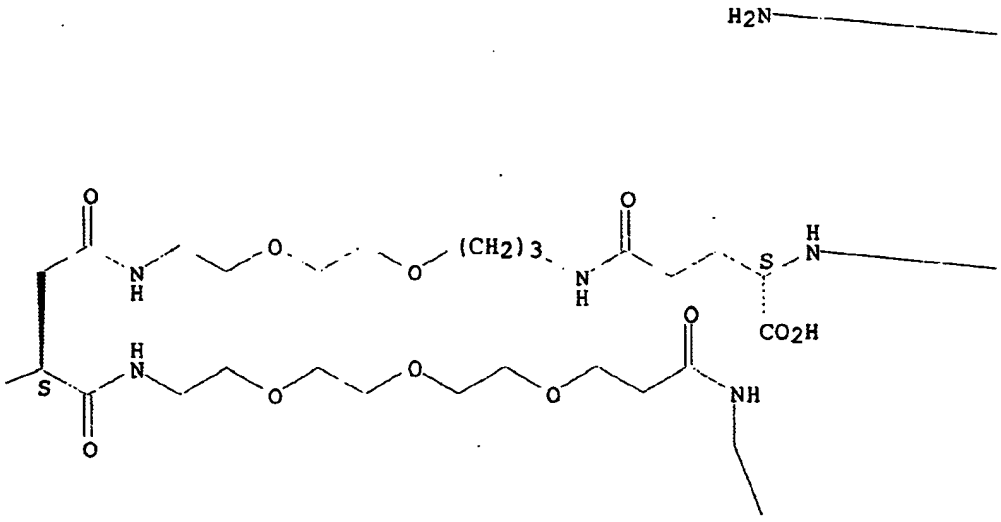


PAGE 1-C



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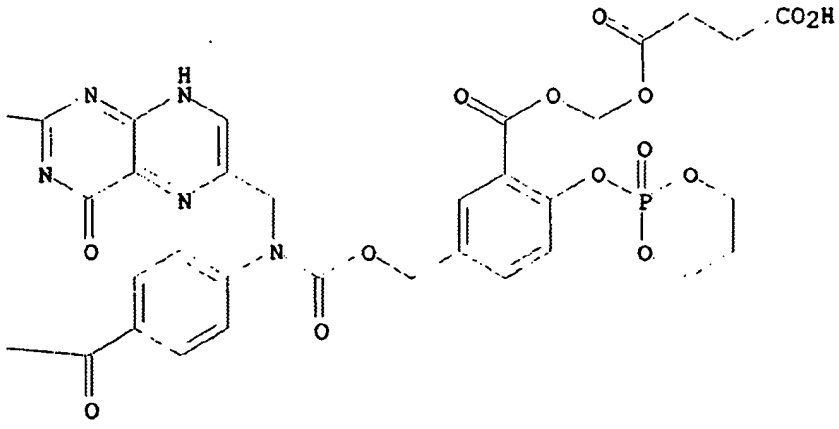

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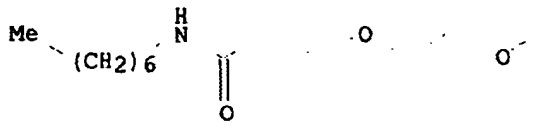

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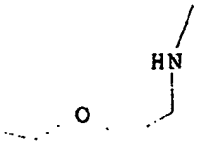

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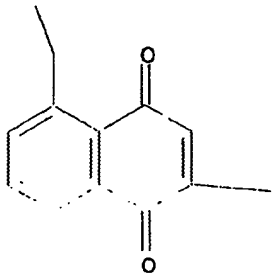

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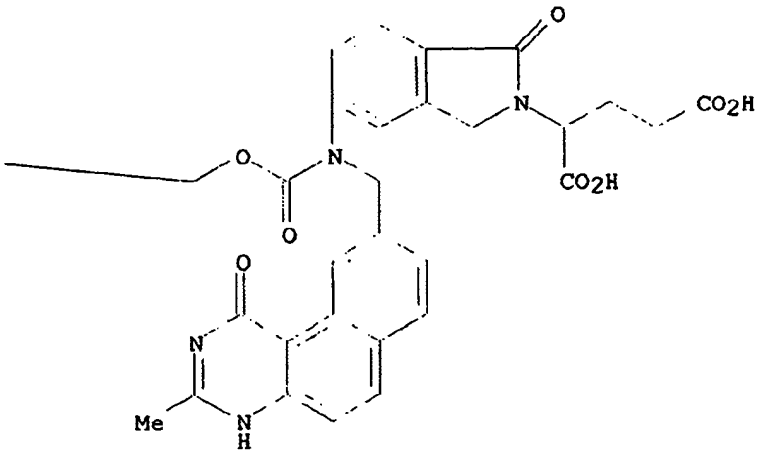
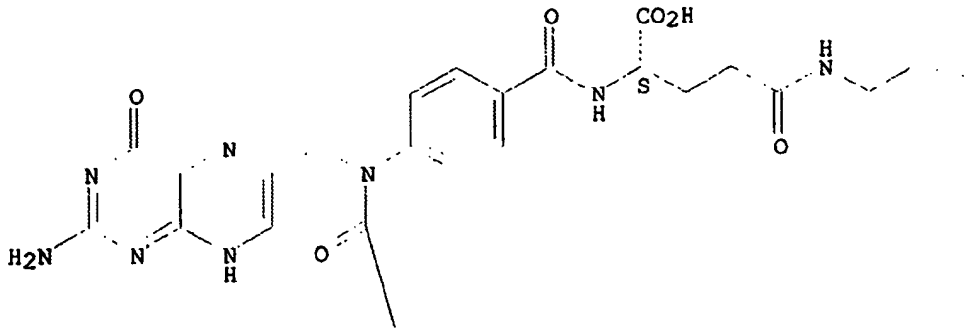


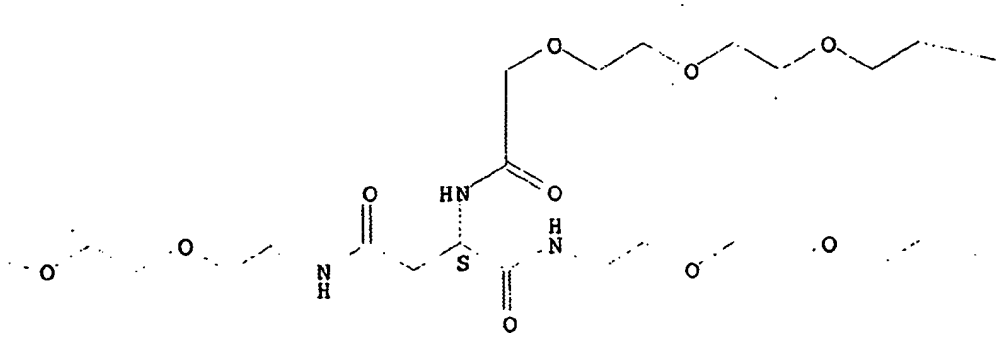
RN 341553-47-7 HCAPLUS
CN 10,13,16,26,29,32,35,45,48,51-Decaoxa-2,7,19,23,42,54-hexaaza-58-phosphadohexacontane-3,60,62-tricarboxylic acid, 1-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[(3-carboxy-1-oxopropoxy)methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]phenyl]-22-[17-[6-[[[[[1-[[5-(5-carboxy-3-methyl-2-pentenyl)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-isobenzofuranyl]oxy]-2,2,2-trifluoroethyl]amino]carbonyl]oxy]methyl]-5,8-dioxo-1-naphthalenyl]-1,14-dioxo-5,8,11-trioxa-2,15-diazaheptadec-1-yl]-39-(1,14-dioxo-5,8,11-trioxa-2,15-diazadocos-1-yl)-58-hydroxy-1,6,20,24,37,41,55-heptaoxo-, 58-oxide, (3S,22S,39S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



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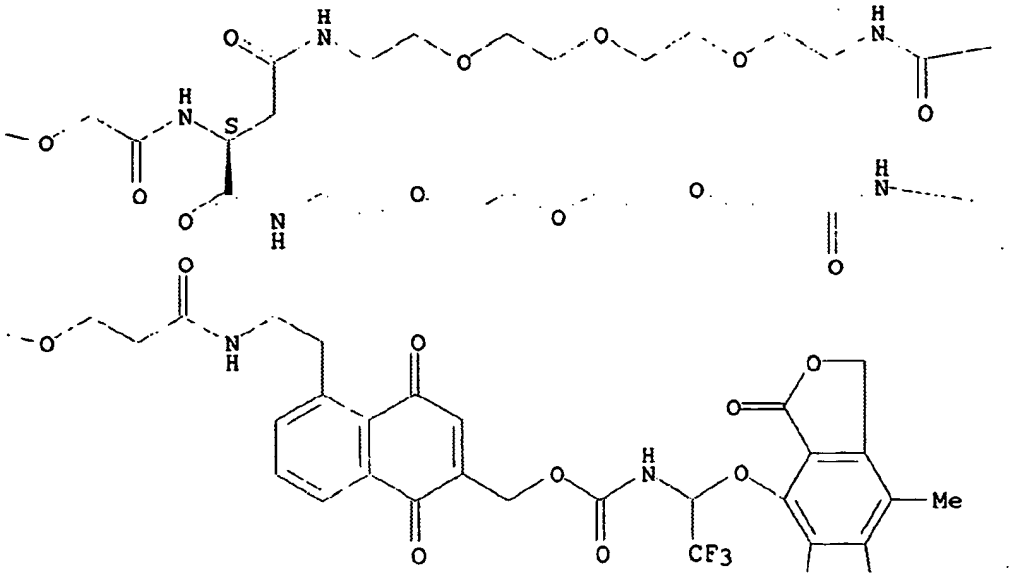
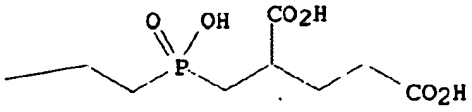
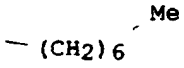


PAGE 1-D





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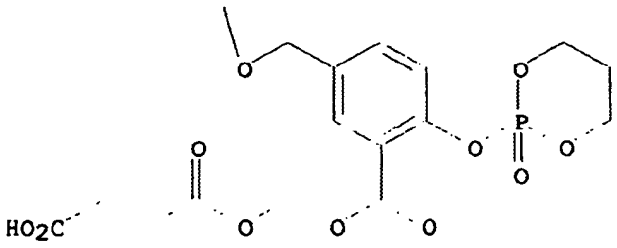

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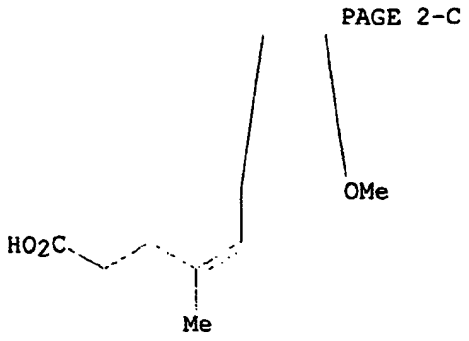
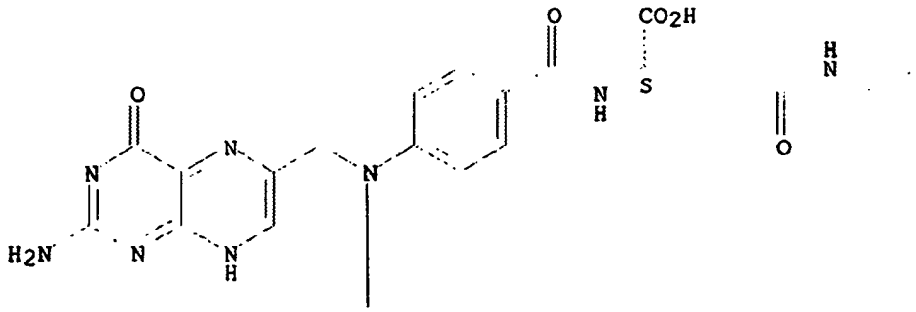


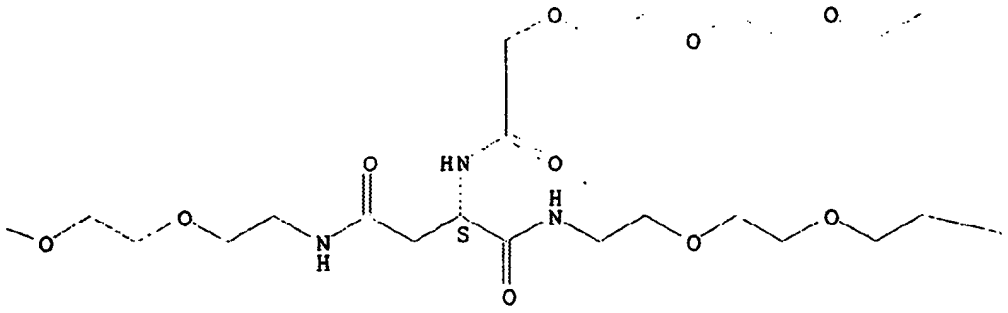
RN 341553-59-1 HCAPLUS
CN Quinolinium, 1-[[[7-[[(21S,38S)-21-[(16S)-18-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[(3-carboxy-1-oxopropoxy)methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]phenyl]-16-carboxy-2,13,18-trioxo-6,9-dioxa-3,12,17-triazaoctadec-1-yl]-59,61-dicarboxy-38-(1,14-dioxo-5,8,11-trioxa-2,15-diazadocos-1-yl)-57-hydroxy-57-oxido-2,7,20,23,36,40,54-heptaoxo-10,13,16,25,28,31,34,44,47,50-decaoxa-3,6,19,22,37,41,53-heptaaza-57-phosphahenhexacont-1-yl]dithio]-8-[(carboxymethyl)dithio]-1,5-dihydro-3-oxido-2,4,3-benzodioxaphosphepin-3-yl]oxy]methyl]-4-carboxy-6-fluoro-2-(2'-fluoro-[1,1'-biphenyl]-4-yl)-3-methyl-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

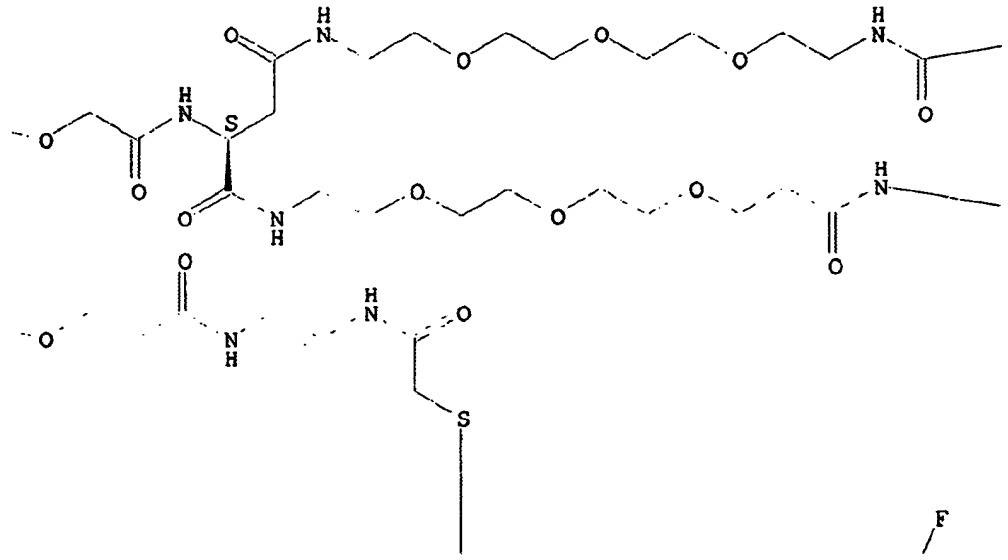
PAGE 1-A



PAGE 1-B



PAGE 1-C



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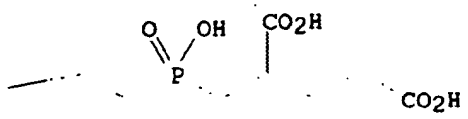
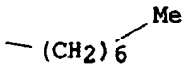
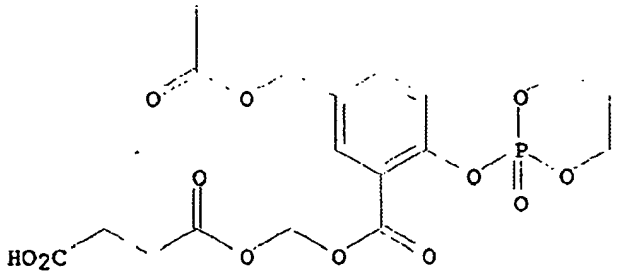
PAGE 1-D





PAGE 2-A



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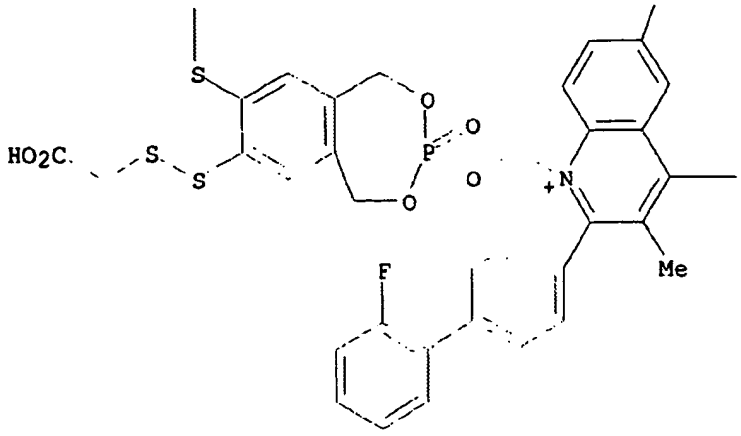
PAGE 2-C



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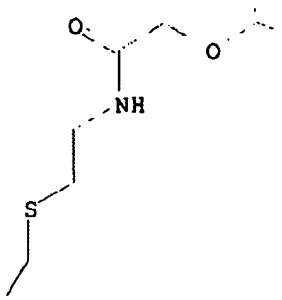
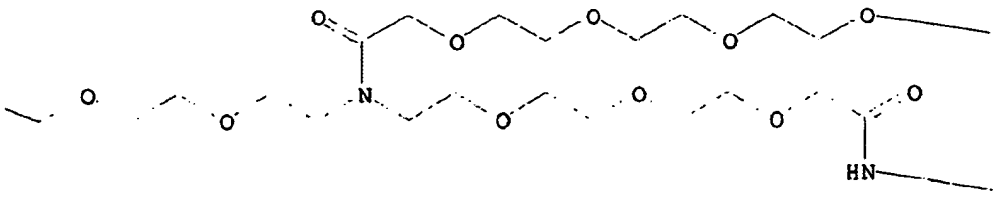
——— CO_2H

RN 341990-94-1 HCAPLUS
CN L-Alaninamide, N-[41-[2',3'-O-[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[[2-oxido-5-(phosphonooxy)-1,3,2-dioxaphosphorinan-2-yl]oxy]phenyl]methylene]-N-[(4-nitrophenyl)methyl]-5'-thioadenosin-5'-S-yl]-27-[14-[2',3'-O-[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[[2-oxido-5-(phosphonooxy)-1,3,2-dioxaphosphorinan-2-yl]oxy]phenyl]methylene]-N-[(4-nitrophenyl)methyl]-5'-thioadenosin-5'-S-yl]-11-oxo-3,6,9-trioxa-12-azatetradec-1-yl]-1,13,26,38-tetraoxo-12-(11-oxo-3,6,9-trioxa-12-azanonadec-1-yl)-3,6,9,15,18,21,24,30,33,36-decaoxa-12,27,39-triazahentetracont-1-yl]-D-seryl-N-[1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]- (9CI) (CA INDEX NAME)

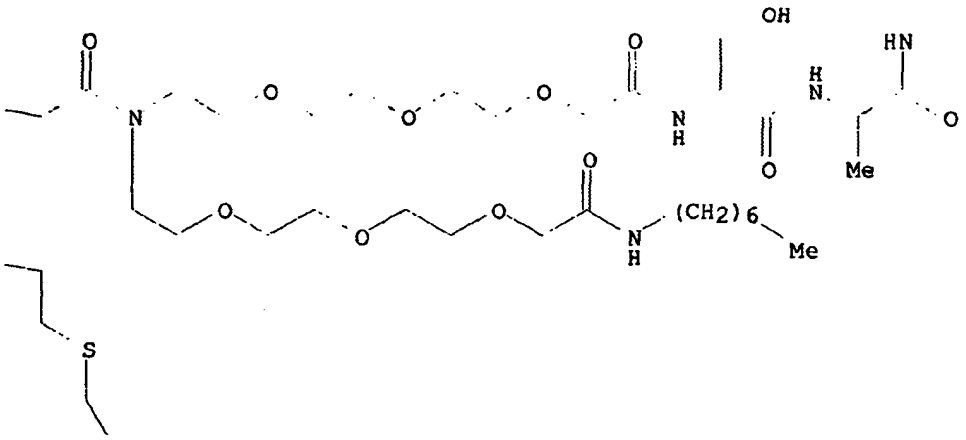
PAGE 1-A



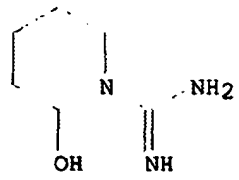
PAGE 1-B



PAGE 1-C



PAGE 1-D



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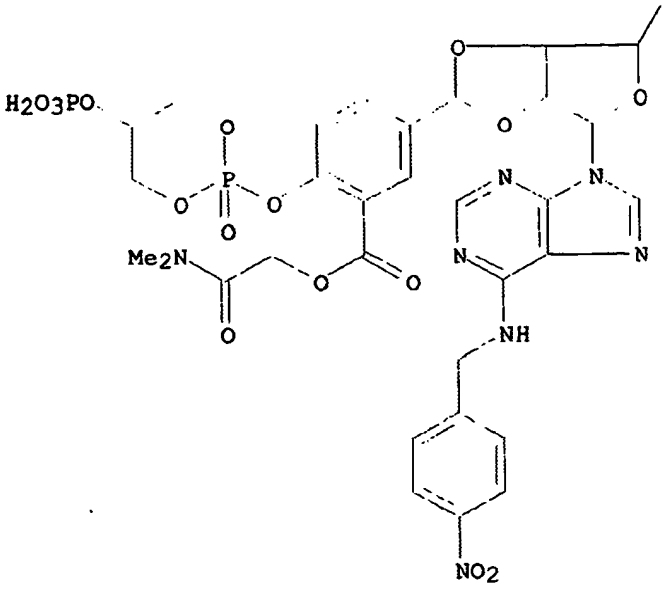

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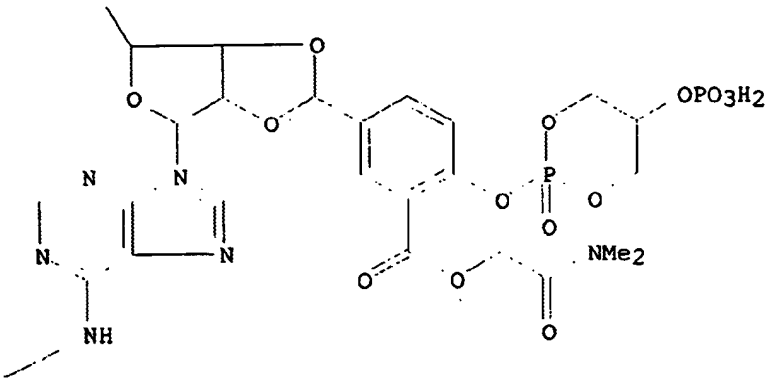
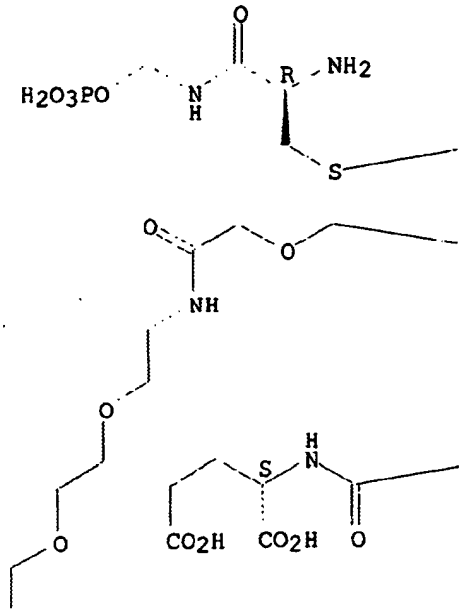


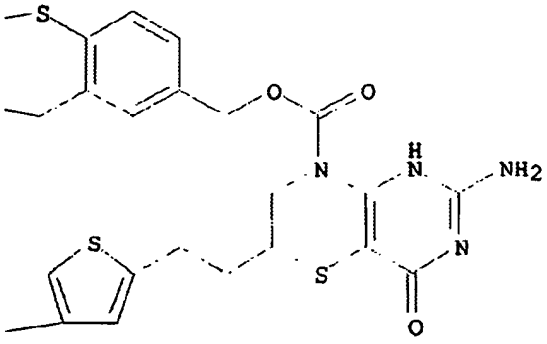
RN 341990-96-3 HCAPLUS
CN L-Glutamic acid, N-[[5-[2-[2-amino-8-[[[3-[18-[(16S)-18-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[[2-oxido-5-(phosphonooxy)-1,3,2-dioxaphosphorinan-2-yl]oxy]phenyl]methoxy]carbonyl]amino]phenyl]-16-carboxy-13,18-dioxo-3,6,9-trioxa-12,17-diazaoctadec-1-yl]-48-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-33-[15-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-13-oxo-3,6,9-trioxa-12-azapentadec-1-yl]-5,19,32,46-tetraoxo-3,9,12,15,21,24,27,30,36,39,42-undecaoxa-6,18,33,45-tetraazaoctatetracont-1-yl]-4-[[(2R)-2-amino-3-oxo-3-[[(phosphonooxy)methyl]amino]propyl]dithio]phenyl]methoxy]carbonyl]-4,6,7,8-tetrahydro-4-oxo-1H-pyrimido[5,4-b][1,4]thiazin-6-yl]ethyl]-3-thienyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B



PAGE 1-C



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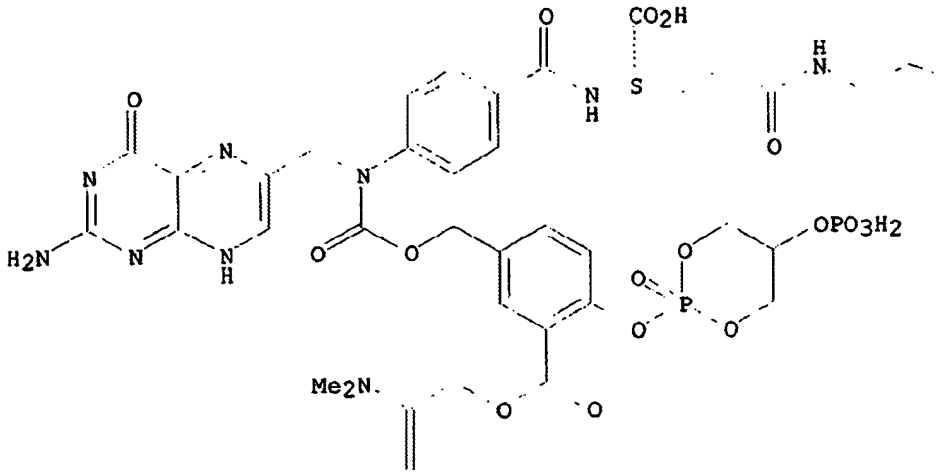
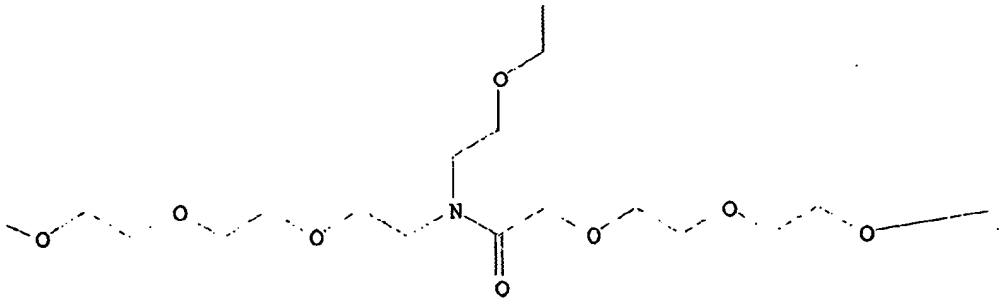
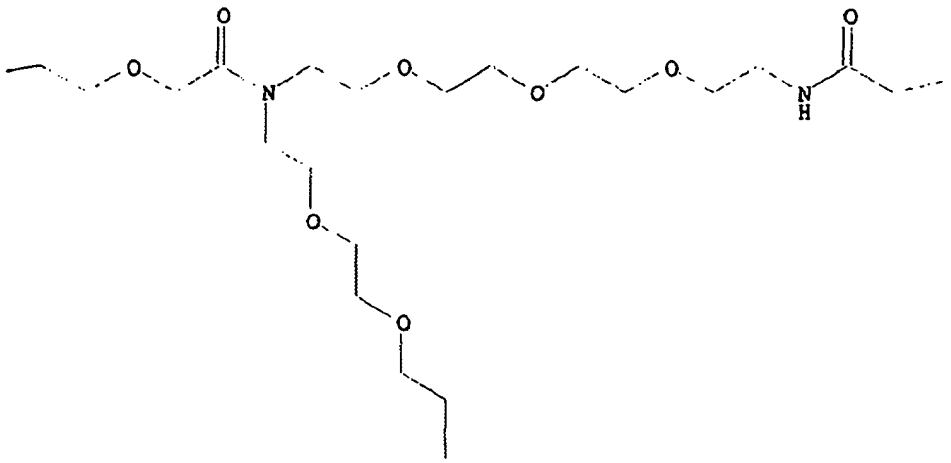


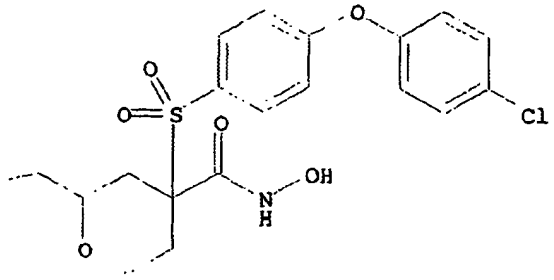
PAGE 2-B



PAGE 2-C



PAGE 2-D



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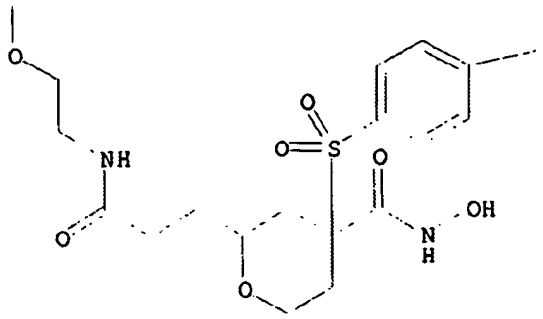
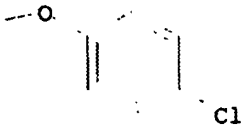


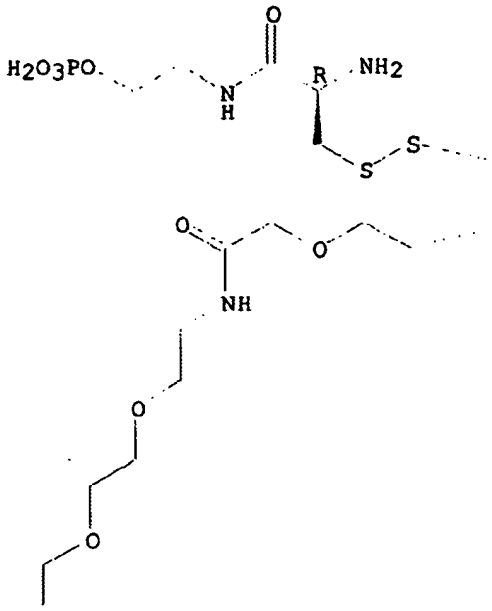
PAGE 3-D



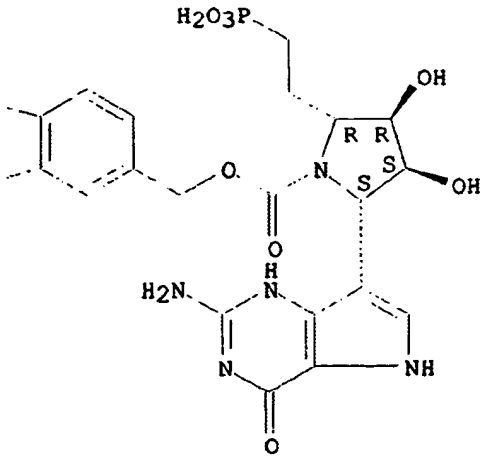
RN 341990-98-5 HCAPLUS
CN 7,10,13,19,22,25,28,34,37,40-Decaoxa-4,16,31,43-tetraazaoctatetracontan-48-oic acid, 47-[[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[[5-(phosphonooxy)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]phenyl]methoxy]carbonyl]amino]benzoyl]amino]-31-[17-[5-[[[[(2S,3S,4R,5R)-2-(2-amino-4,5-dihydro-4-oxo-1H-pyrrolo[3,2-d]pyrimidin-7-yl)-3,4-dihydroxy-5-(2-phosphonoethyl)-1-pyrrolidinyl]carbonyl]oxy]methyl]-2-[[(2S)-2-amino-3-oxo-3-[[2-(phosphonooxy)ethyl]amino]propyl]thio]phenyl]-13-oxo-3,6,9,15-tetraoxa-12-azaheptadec-1-yl]-1-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-16-[15-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-13-oxo-3,6,9-trioxa-12-azapentadec-1-yl]-3,17,30,44-tetraoxo-, (47S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

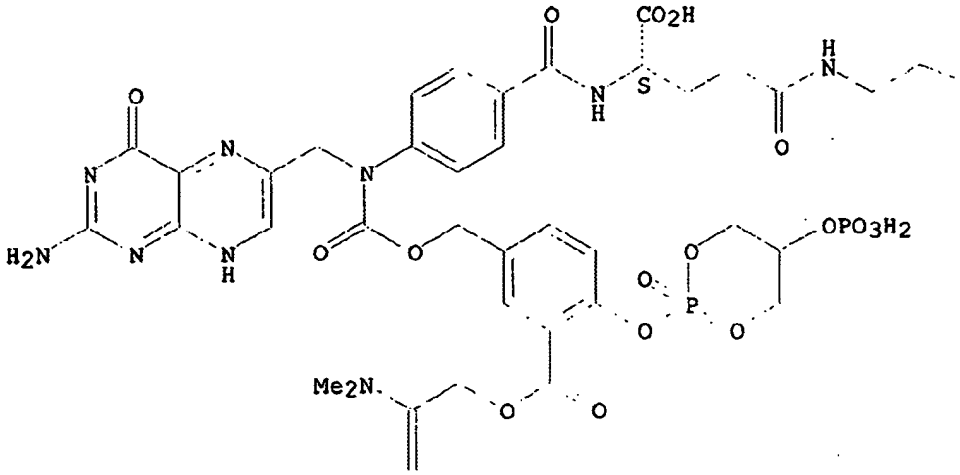
PAGE 1-B



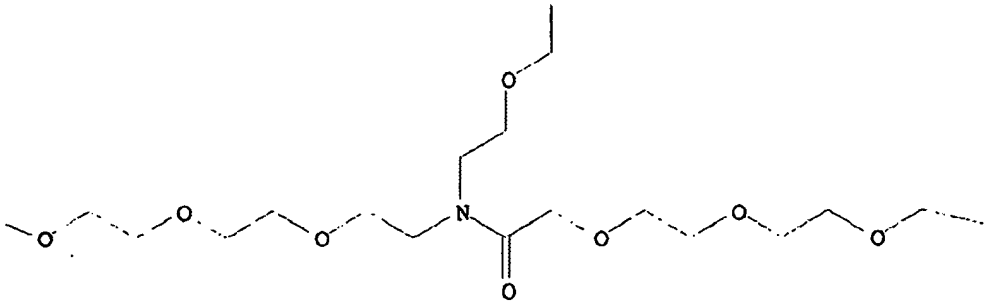
PAGE 1-C



PAGE 2-A



PAGE 2-B



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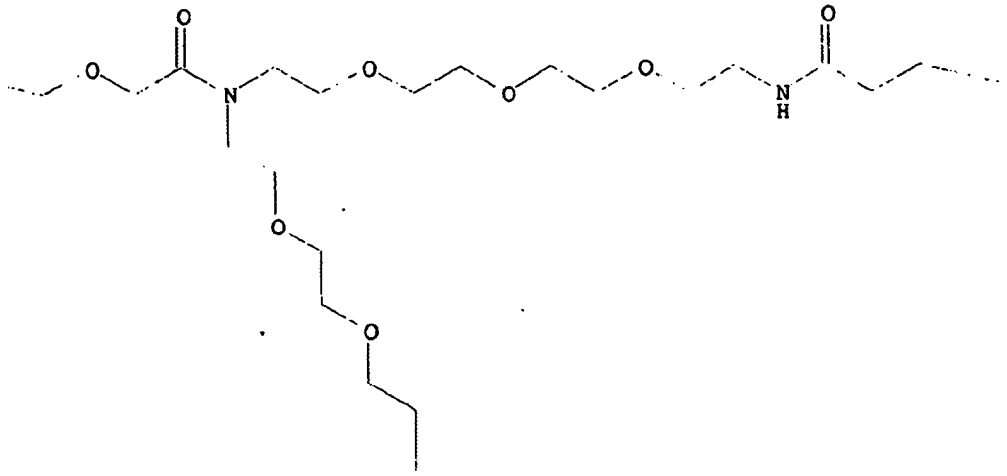

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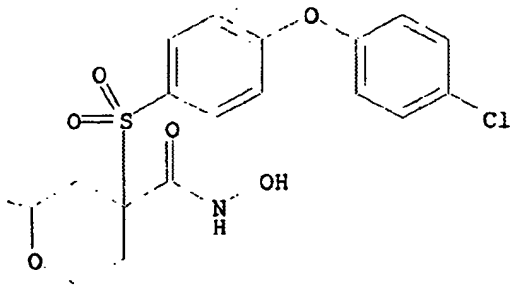

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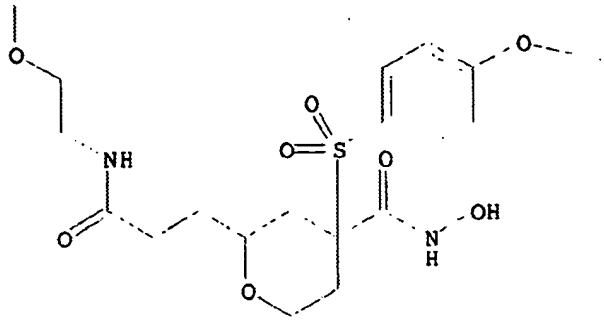

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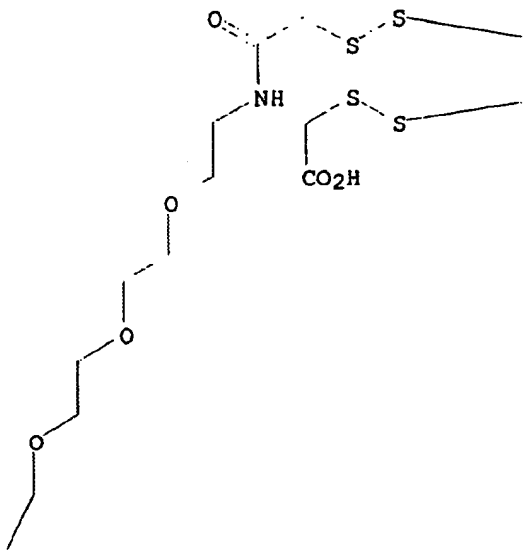
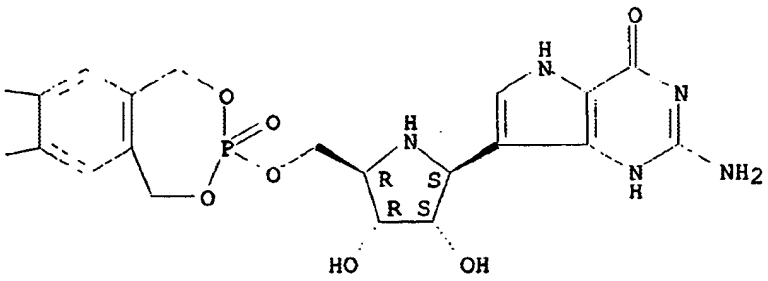
RN 341990-99-6 HCAPLUS
CN 7,10,13,19,22,25,28,34,37,40-Decaoxa-4,16,31,43-tetraazaoctatetracontan-48-oic acid, 47-[[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[[5-(phosphonooxy)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]phenyl]methoxy]carbonyl]amino]benzoyl]amino]-31-[14-[[3-[[(2R,3R,4S,5S)-5-(2-amino-4,5-dihydro-4-oxo-1H-pyrrolo[3,2-d]pyrimidin-7-yl)-3,4-dihydroxy-2-pyrrolidinyl]methoxy]-8-[(carboxymethyl)dithio]-1,5-dihydro-3-oxido-2,4,3-benzodioxaphosphepin-7-yl]dithio]-13-oxo-3,6,9-trioxa-12-azatetradec-1-yl]-1-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-16-[15-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-13-oxo-3,6,9-trioxa-12-azapentadec-1-yl]-3,17,30,44-tetraoxo-, (47S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

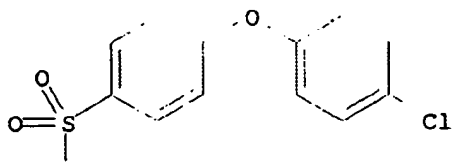
PAGE 1-B



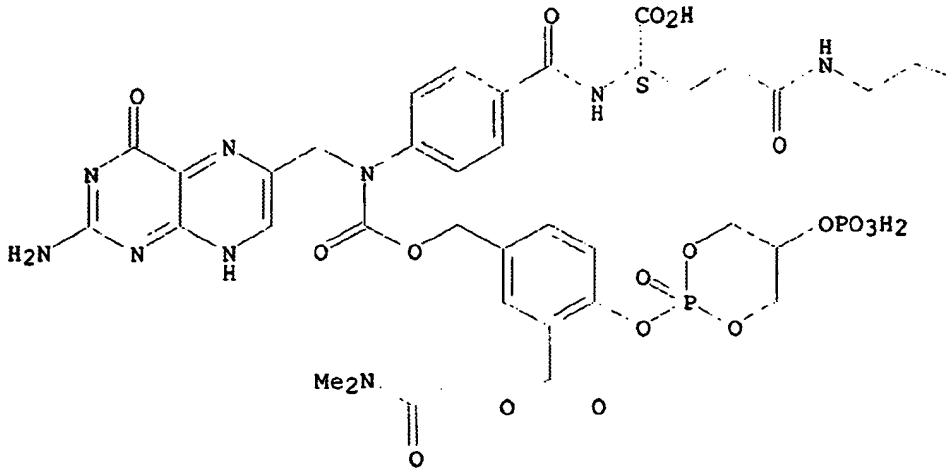
PAGE 1-C



PAGE 1-D



PAGE 2-A



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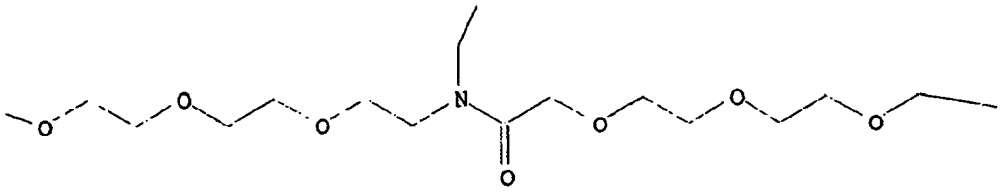

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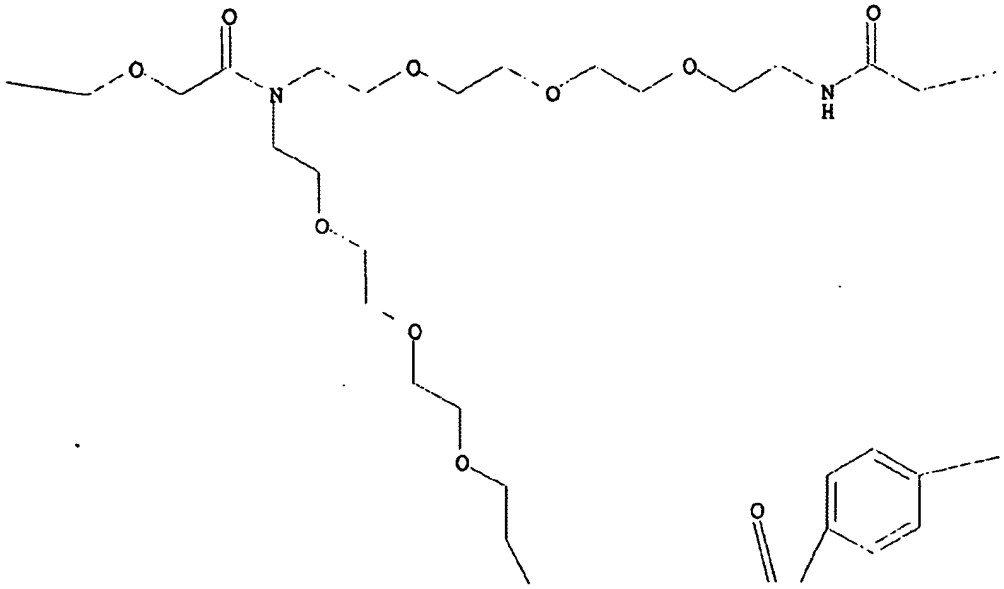

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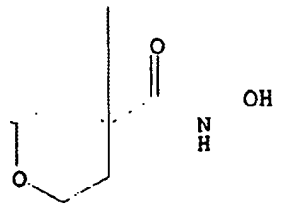

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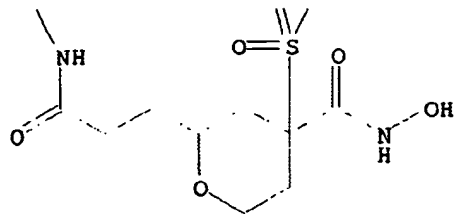
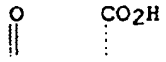


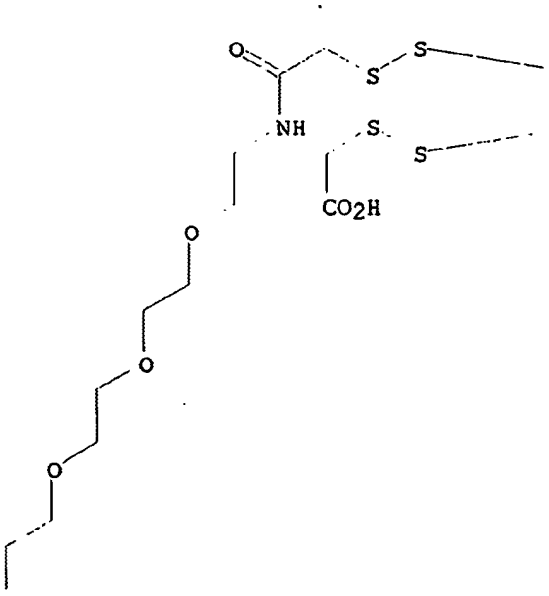
RN 341991-00-2 HCAPLUS
CN Uridine, 5'-O-[7-[[15-[(16S)-18-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[[2-oxido-5-(phosphonooxy)-1,3;2-dioxaphosphorinan-2-yl]oxy]phenyl]methoxy]carbonyl]amino]phenyl]-16-carboxy-13,18-dioxo-3,6,9-trioxa-12,17-diazaoctadec-1-yl]-45-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-30-[15-[4-[[4-(4-chlorophenoxy)phenyl]sulfonyl]tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-2-yl]-13-oxo-3,6,9-trioxa-12-azapentadec-1-yl]-2,16,29,43-tetraoxo-6,9,12,18,21,24,27,33,36,39-decaoxa-3,15,30,42-tetraazapentatetracont-1-yl]dithio]-8-[(carboxymethyl)dithio]-1,5-dihydro-3-oxido-2,4,3-benzodioxaphosphepin-3-yl]-5,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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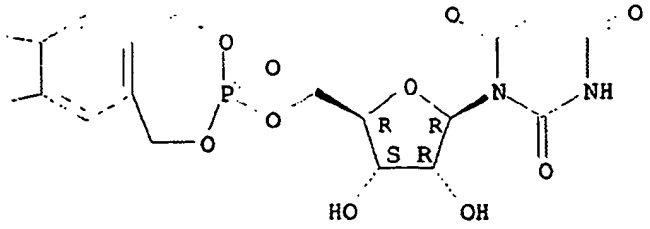

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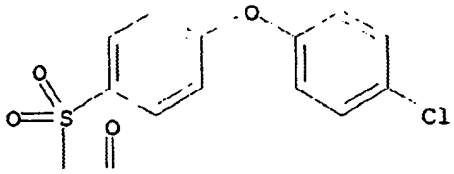

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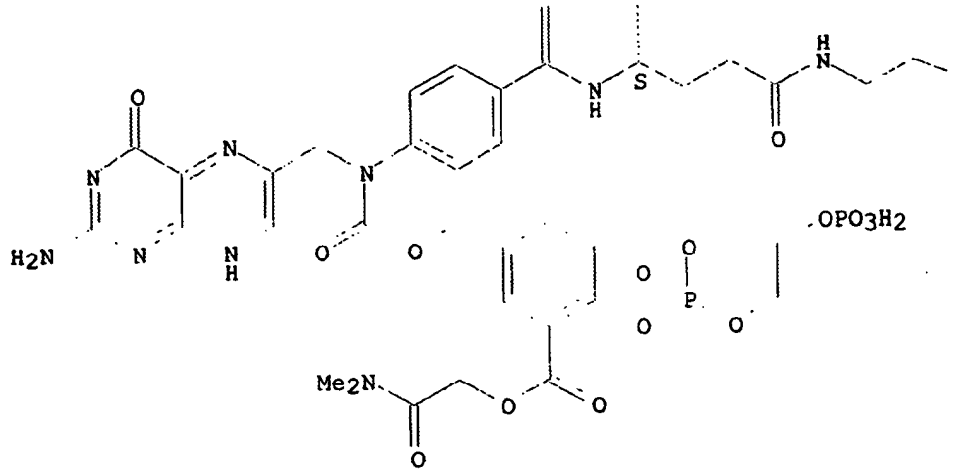

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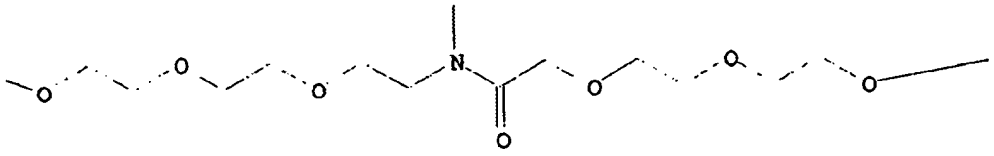
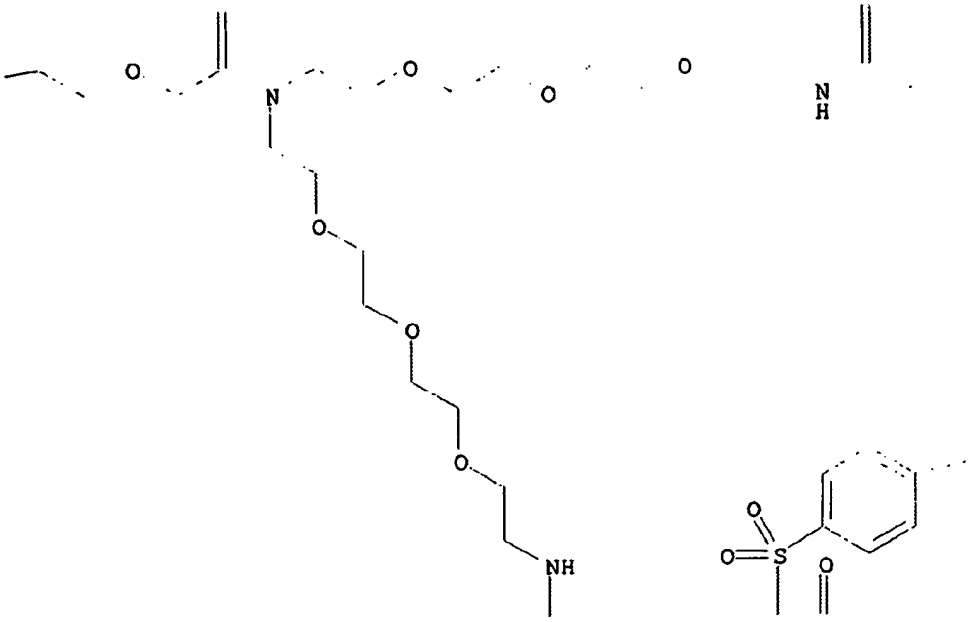


PAGE 2-C



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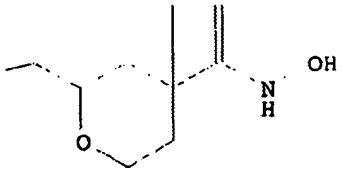

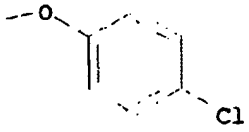

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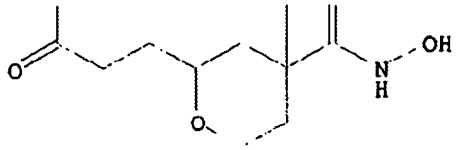


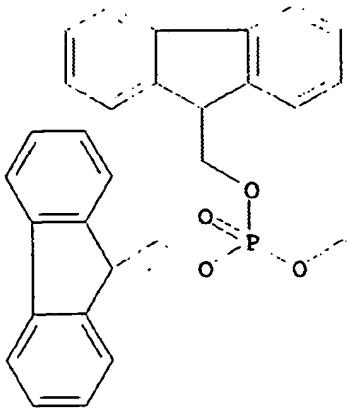
IT **341549-95-9P 341550-24-1P 341550-66-1P**
341550-72-9P 341550-74-1P 341550-93-4P
341550-94-5P 341550-95-6P 341550-97-8P
341551-63-1P 341551-64-2P 341551-74-4P
341551-88-0P 341551-93-7P 341552-52-1P
341552-53-2P 341552-54-3P 341552-96-3P
341553-21-7P 341553-23-9P 341553-26-2P
341553-28-4P 341553-29-5P 341553-30-8P
341553-32-0P 341553-33-1P 341553-36-4P
341553-43-3P 341553-48-8P 341553-50-2P
341990-82-7P 341990-83-8P 341990-84-9P
341990-85-0P 341990-86-1P 341990-87-2P
341990-95-2P 341990-97-4P
RL: PNU (Preparation, unclassified); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

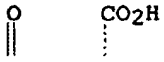
RN 341549-95-9 HCAPLUS

CN Butanedioic acid, [[5-[[[[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][4-[(3S)-3-carboxy-33-[2-[[(2R)-10-(9H-fluoren-9-yl)-8-(9H-fluoren-9-ylmethoxy)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-8-oxido-3-oxo-7,9-dioxa-4-aza-8-phosphadec-1-yl]dithio]-5-[[[[[3-[[3-[(9-methoxy-5,11-dimethyl-6H-pyrido[4,3-b]carbazol-1-yl)amino]propyl]methylamino]propyl]amino]carbonyl]oxy]methyl]phenyl]-1,6,29-trioxo-10,13,16,22,25,31-hexaoxa-2,7,19,28-tetraazatritriacont-1-yl]phenyl]amino]carbonyl]oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

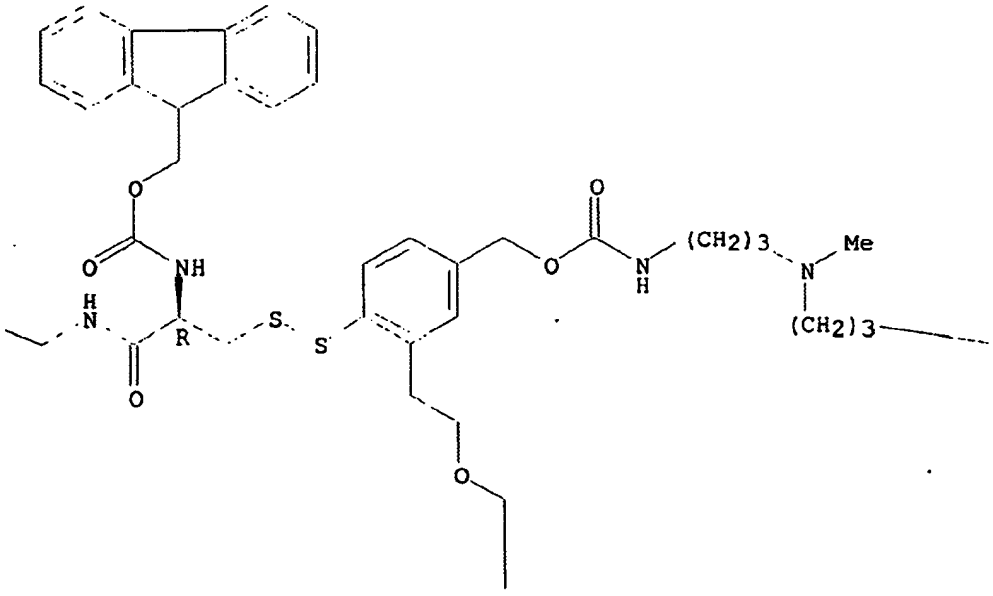
Absolute stereochemistry.

PAGE 1-B





PAGE 1-C



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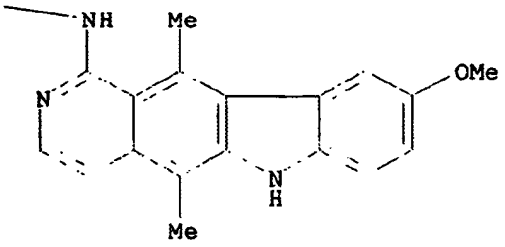

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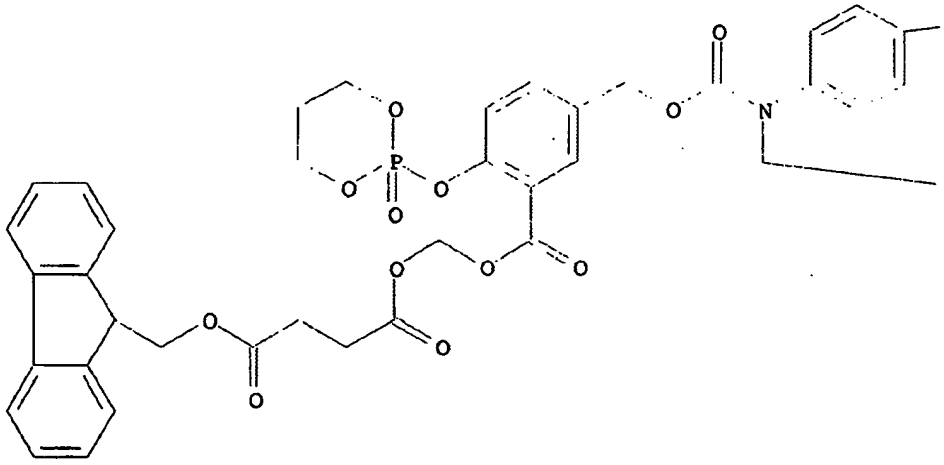

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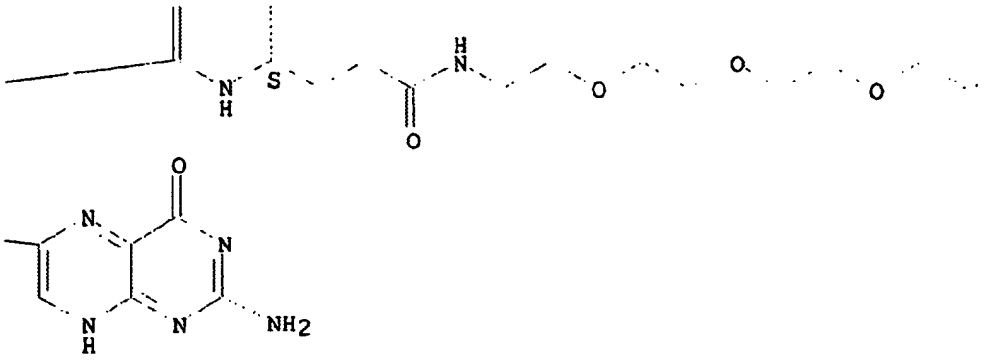

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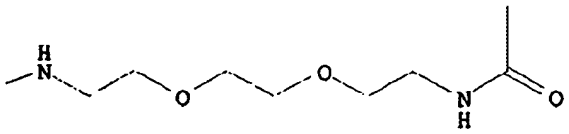
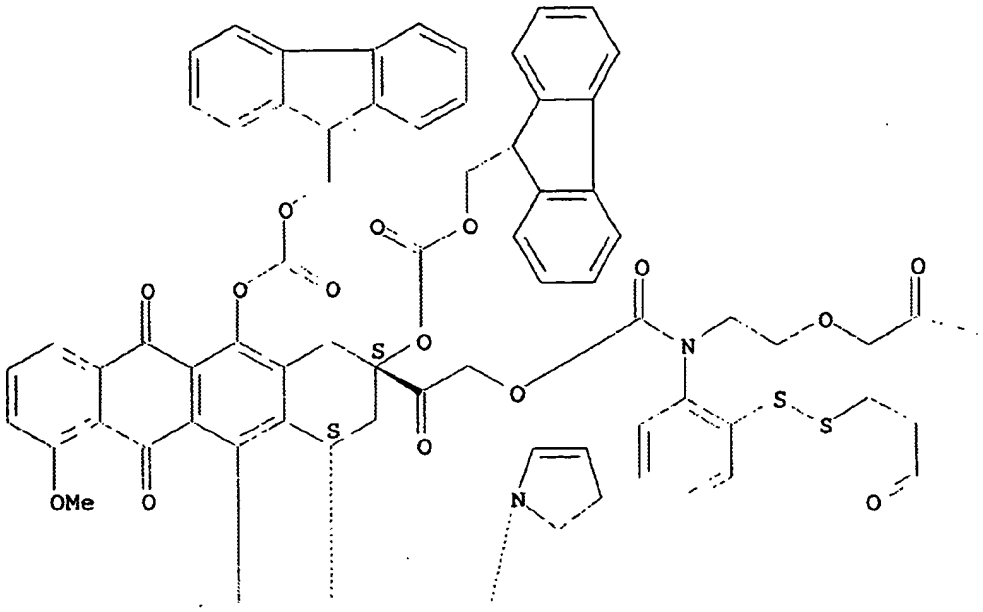


RN 341550-24-1 HCAPLUS
CN 5,11,14,20,23,26-Hexaoxa-2,8,17,29-tetraazatetratriacontanedioic acid, 33-[[4-[[(7-amino-1,5-dihydro-5-oxopyrido[3,4-b]pyrazin-3-yl)methyl][[[3-[[[4-(9H-fluoren-9-ylmethoxy)-1,4-dioxobutoxy]methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]benzoyl]amino]-2-[2-[[3-(dimethylamino)-3-oxopropyl]dithio]phenyl]-7,30-dioxo-, 34-(9H-fluoren-9-ylmethyl) 1-[2-oxo-2-[(2S,4S)-2,5,12-tris[[(9H-fluoren-9-ylmethoxy)carbonyl]oxy]-1,2,3,4,6,11-hexahydro-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-(2,3-dihydro-1H-pyrrol-1-yl)-4-O-[(9H-fluoren-9-ylmethoxy)carbonyl]-.alpha.-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]ethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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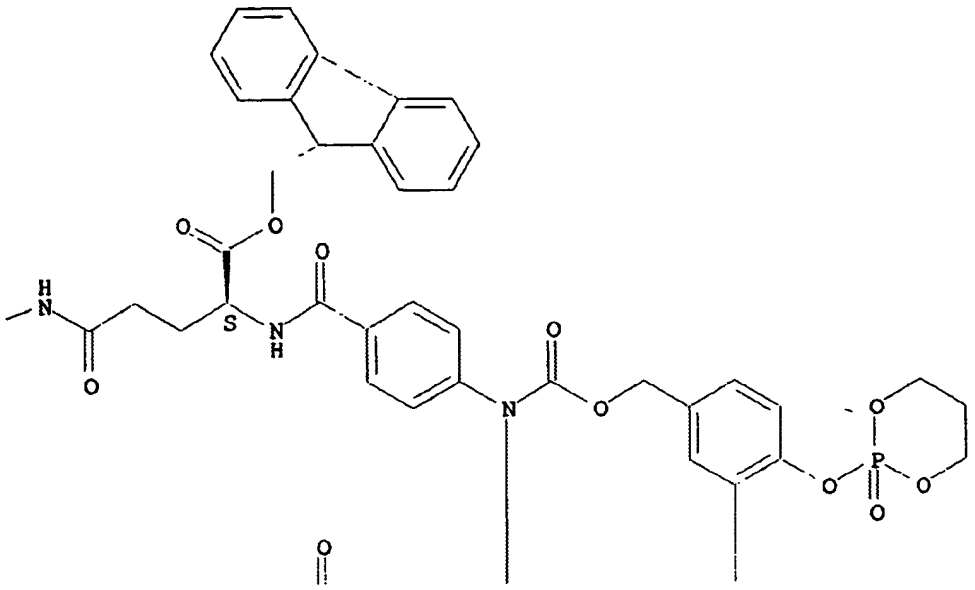

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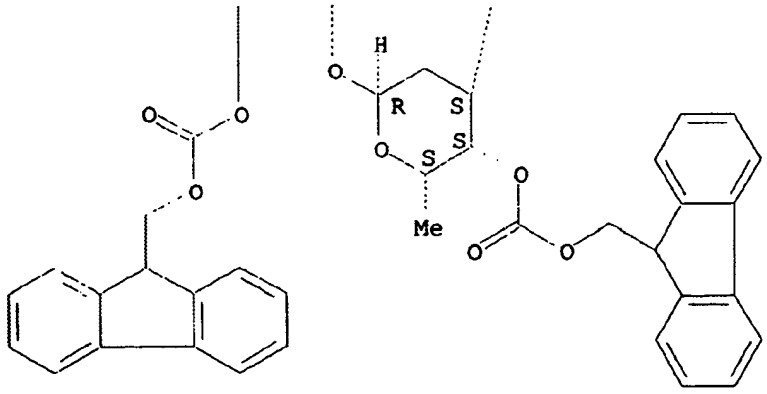

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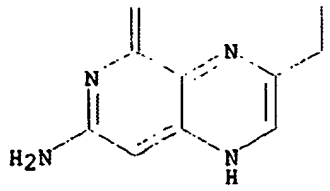

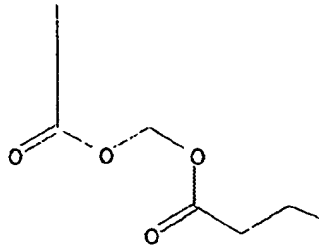

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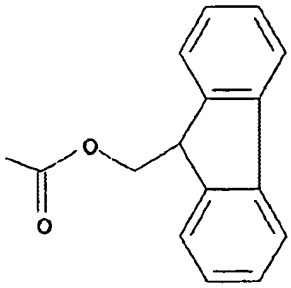
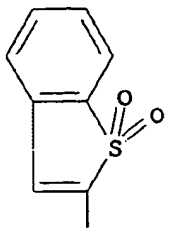


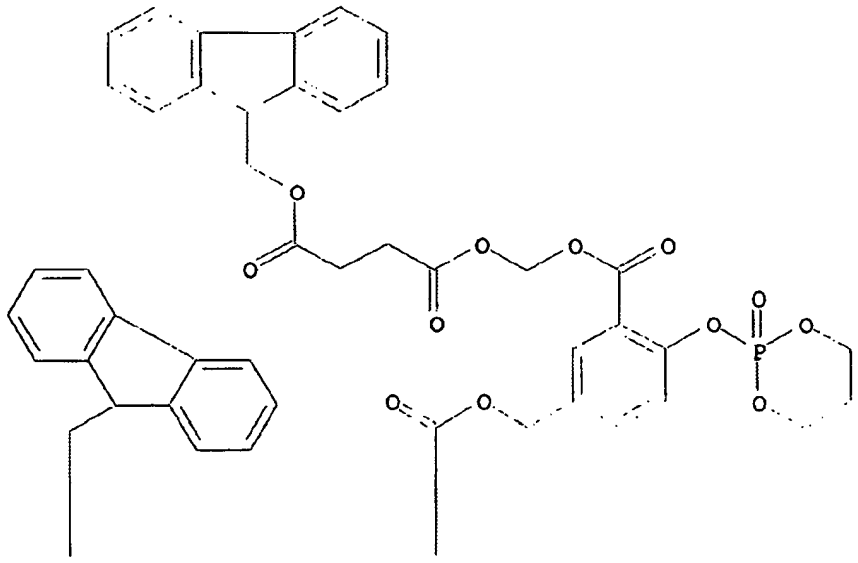
RN 341550-66-1 HCAPLUS
CN 5,8-Dioxa-2,11-diazahexadecanedioic acid, 15-[[[5-[2-[2-amino-8-[[[3-[[[4-(9H-fluoren-9-ylmethoxy)-1,4-dioxobutoxy]methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]-4,6,7,8-tetrahydro-4-oxo-1H-pyrimido[5,4-b][1,4]thiazin-6-yl]ethyl]-2-thienyl]carbonyl]amino]-12-oxo-, 1-[[4-[[4-[[[[(2S,3S,4R,5R)-2-(2-amino-4,5-dihydro-4-oxo-1H-pyrrolo[3,2-d]pyrimidin-7-yl)-5-[[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]methyl]-3,4-bis[[(9H-fluoren-9-ylmethoxy)carbonyl]oxy]-1-pyrrolidinyl]carbonyl]oxy]methyl]phenyl]dithio]-3-[24-carboxy-12-[[(1,1-dioxidobenzo[b]thien-2-yl)methoxy]carbonyl]-5-oxo-3,9,15,18-tetraoxa-21,22-dithia-6,12-diazatetracos-1-yl]phenyl]methyl] 16-(9H-fluoren-9-ylmethyl) ester, (15S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-C



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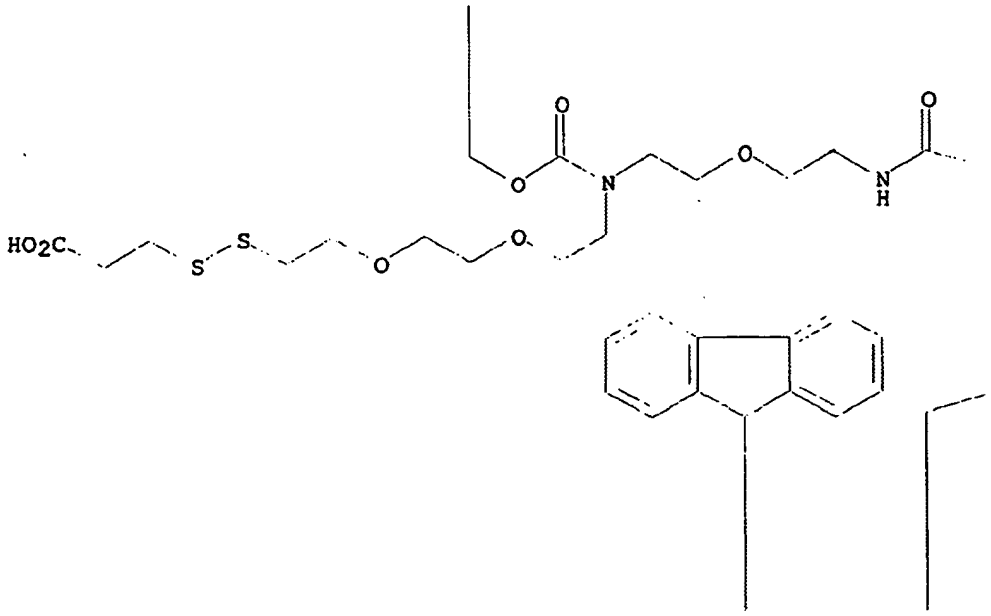

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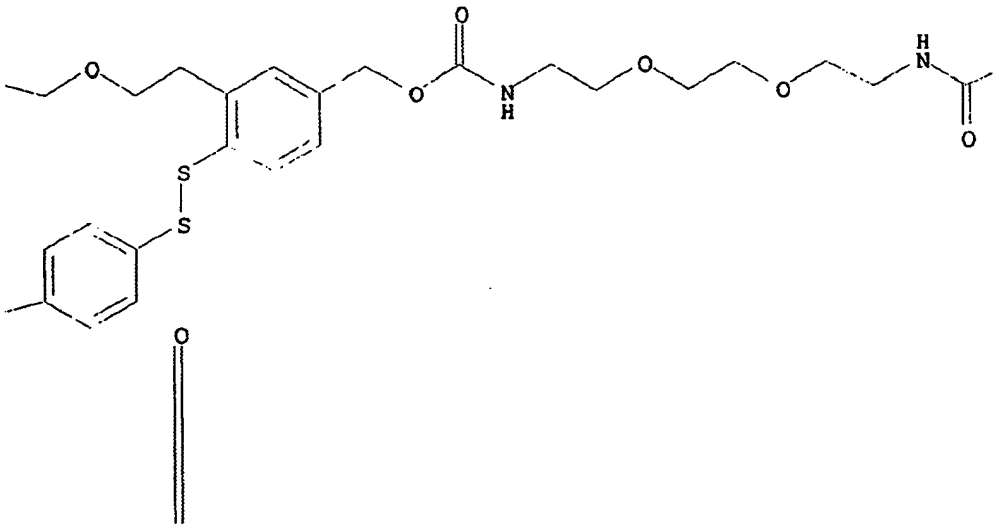

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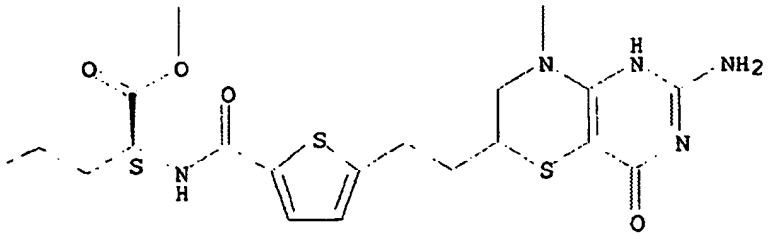

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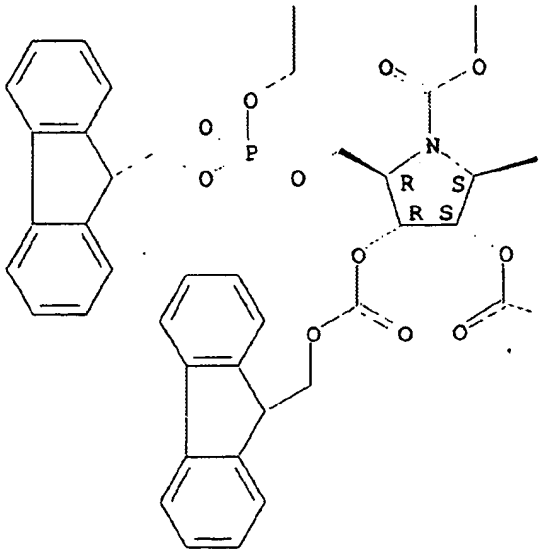

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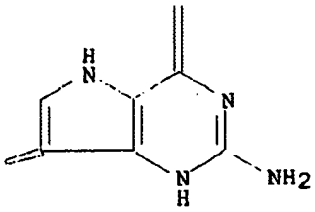
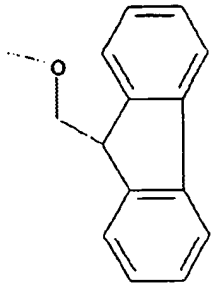
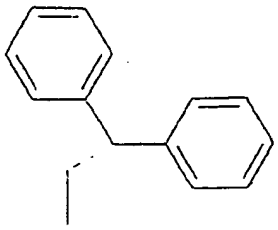




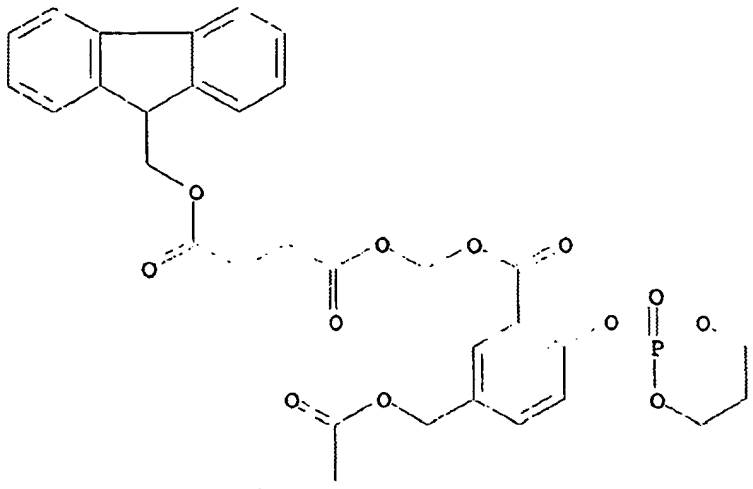
RN 341550-72-9 HCAPLUS
CN 5,8-Dioxa-2,11-diazahexadecanedioic acid, 15-[[[5-[2-[2-amino-8-[[[3-[[[4-(9H-fluoren-9-ylmethoxy)-1,4-dioxobutoxy]methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]-4,6,7,8-tetrahydro-4-oxo-1H-pyrimido[5,4-b][1,4]thiazin-6-yl]ethyl]-2-thienyl]carbonyl]amino]-12-oxo-, 1-[[4-[[4-[[[[(2S,3S,4R,5R)-2-(2-amino-4,5-dihydro-4-oxo-1H-pyrrolo[3,2-d]pyrimidin-7-yl)-5-[[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]methyl]-3,4-bis[[(9H-fluoren-9-ylmethoxy)carbonyl]oxy]-1-pyrrolidinyl]carbonyl]oxy]methyl]phenyl]dithio]-3-[2-(carboxymethoxy)ethyl]phenyl]methyl] 16-(9H-fluoren-9-ylmethyl) ester, (15S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

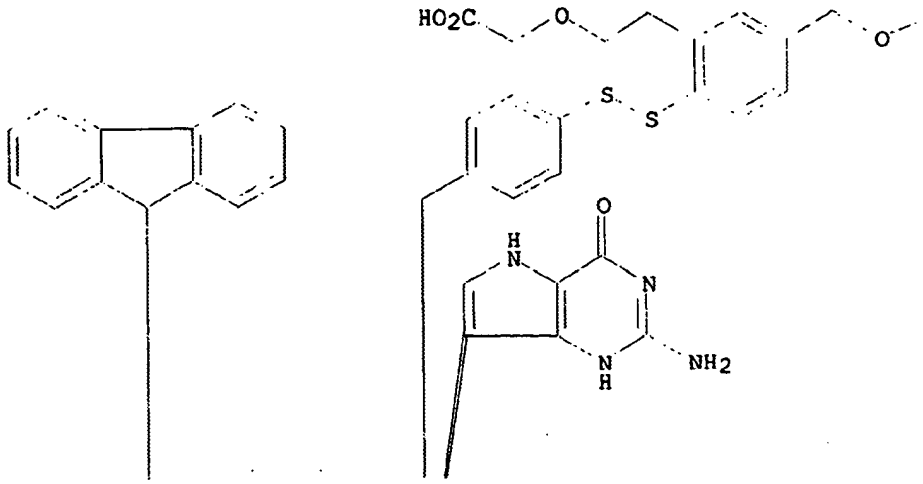
PAGE 1-B



PAGE 1-C



PAGE 2-A



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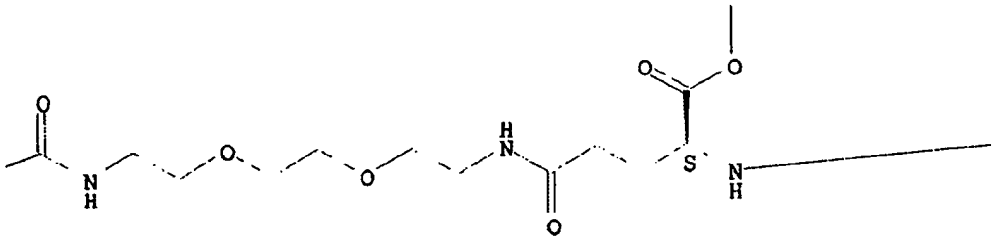

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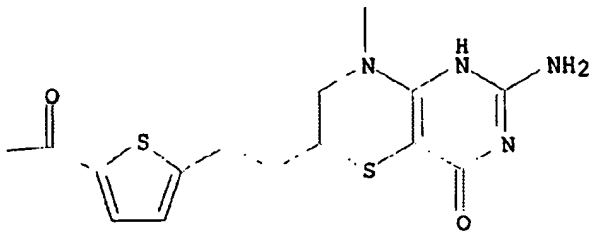

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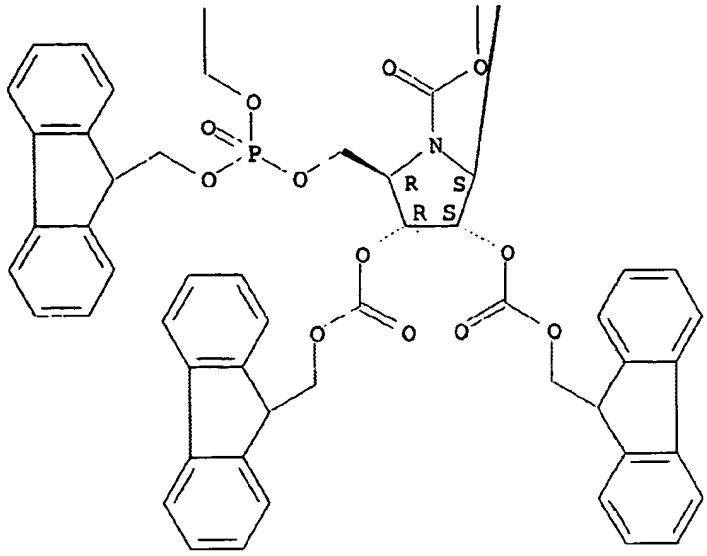
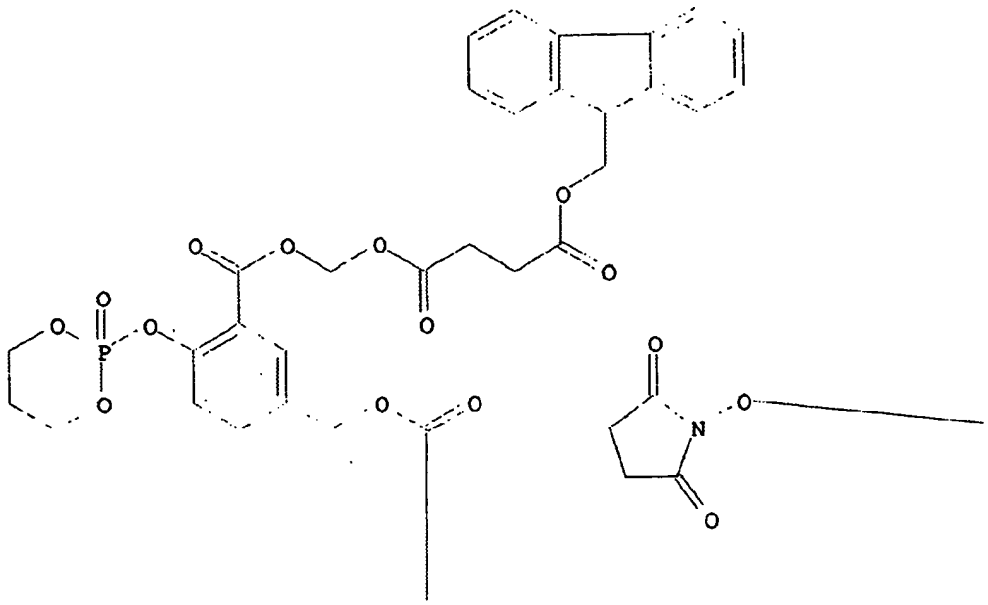


RN 341550-74-1 HCAPLUS
CN Butanedioic acid, [[5-[[[[2-amino-6-[2-[5-[[[(1S)-4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-oxobutyl]amino]carbonyl]-2-thienyl]ethyl]-1,4,6,7-tetrahydro-4-oxo-8H-pyrimido[5,4-b][1,4]thiazin-8-yl]carbonyl]oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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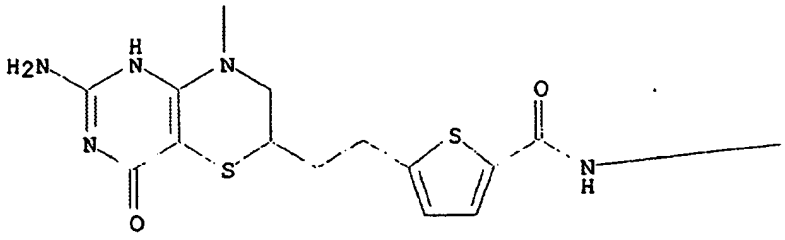
PAGE 2-A



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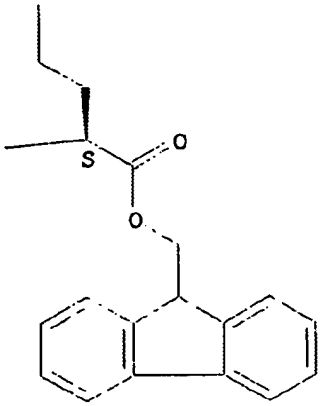

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CN Butanedioic acid, [[5-[[(chlorocarbonyl)oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

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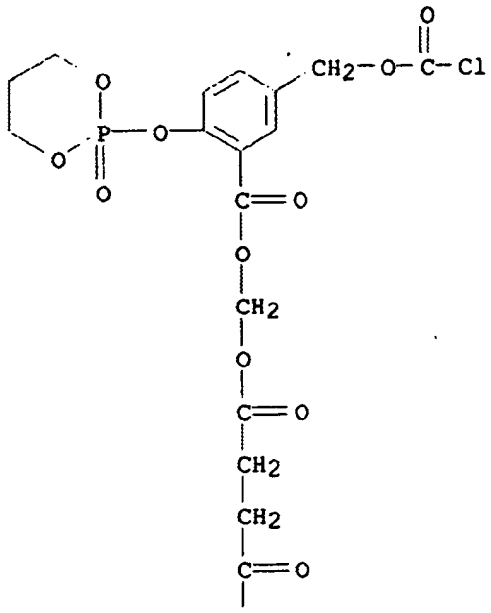

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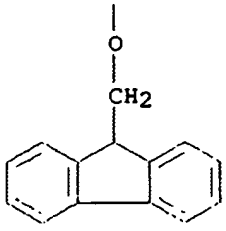

RN 341550-94-5 HCAPLUS
CN Butanedioic acid, [[5-[[[[6-[2-(5-carboxy-2-thienyl)ethyl]-2-[[(1,1-dimethylethyl)diphenylsilyl]amino]-1,4,6,7-tetrahydro-4-oxo-8H-pyrimido[5,4-b][1,4]thiazin-8-yl]carbonyl]oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

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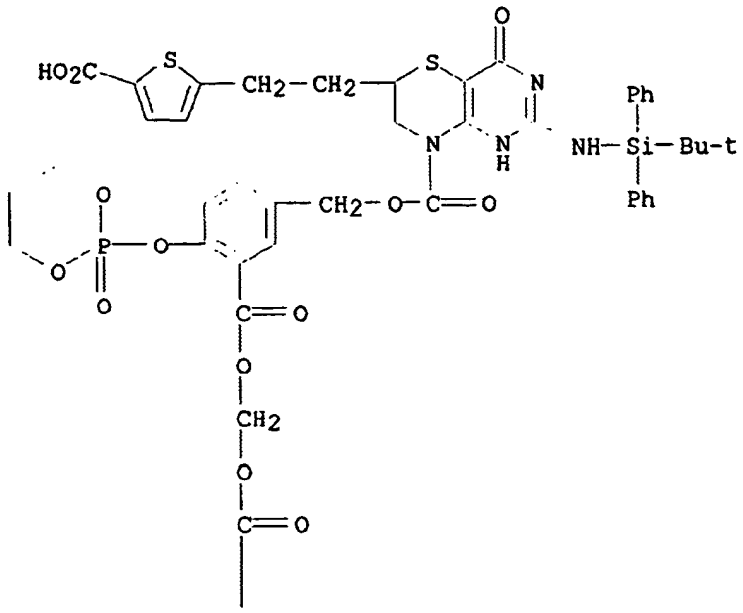

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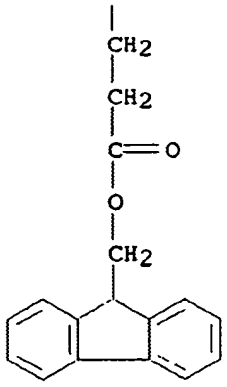

RN 341550-95-6 HCAPLUS
CN Butanedioic acid, [[5-[[[[2-amino-6-[2-(5-carboxy-2-thienyl)ethyl]-1,4,6,7-tetrahydro-4-oxo-8H-pyrimido[5,4-b][1,4]thiazin-8-yl]carbonyl]oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

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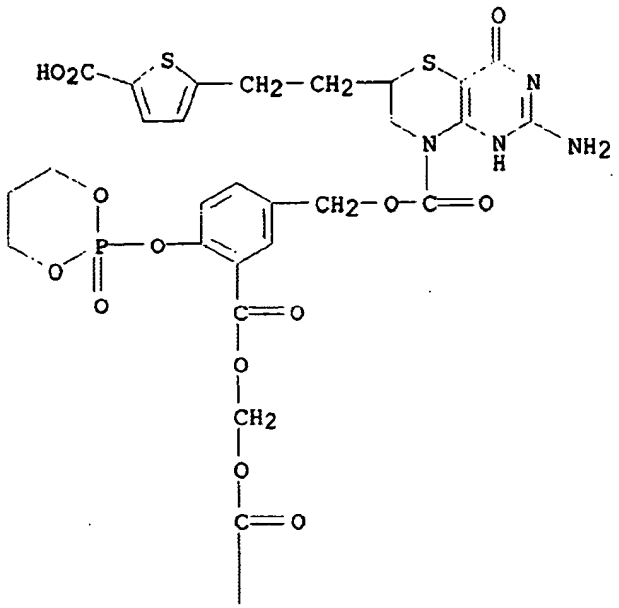

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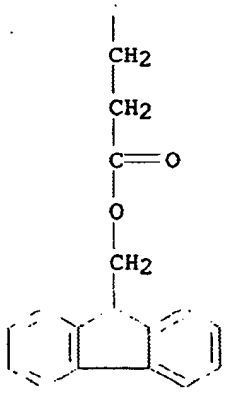

RN 341550-97-8 HCAPLUS
CN L-Glutamic acid, N-[[5-[2-[2-amino-8-[[[3-[[[4-(9H-fluoren-9-ylmethoxy)-1,4-dioxobutoxy]methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]-4,6,7,8-tetrahydro-4-oxo-1H-pyrimido[5,4-b][1,4]thiazin-6-yl]ethyl]-2-thienyl]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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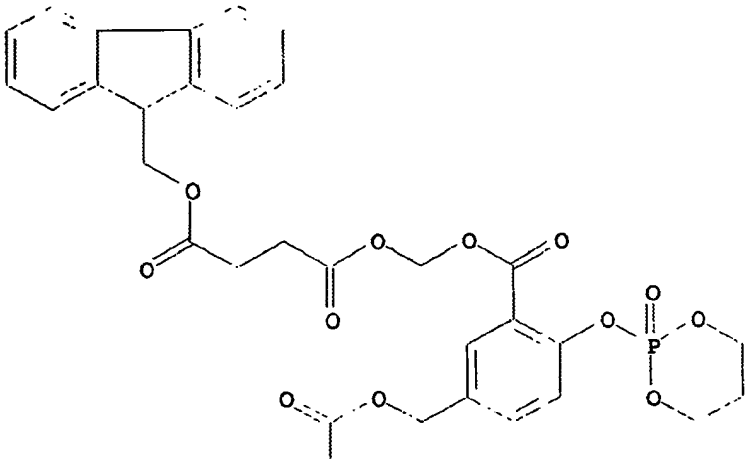

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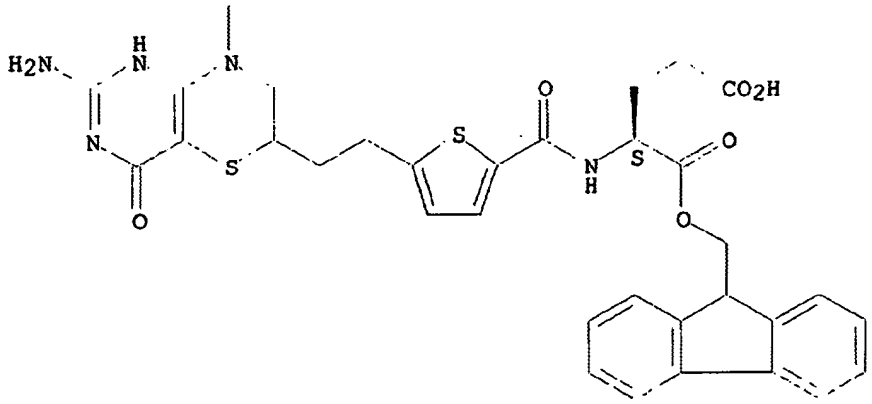

RN 341551-63-1 HCAPLUS
CN Butanedioic acid, 9H-fluoren-9-ylmethyl [[5-(hydroxymethyl)-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl ester (9CI) (CA INDEX NAME)

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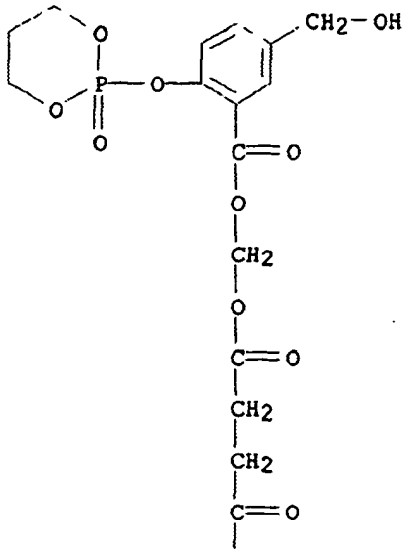

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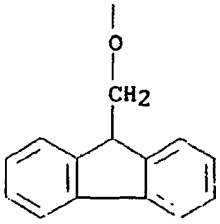

RN 341551-64-2 HCAPLUS
CN Butanedioic acid, 9H-fluoren-9-ylmethyl [[5-formyl-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl ester (9CI) (CA INDEX NAME)

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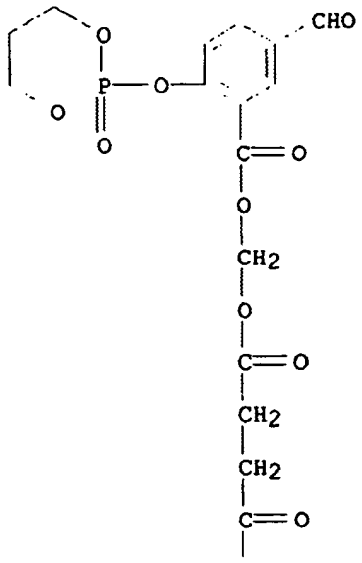

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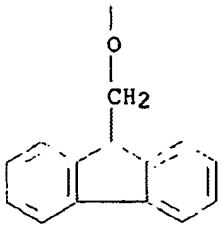

RN 341551-74-4 HCAPLUS
CN L-Glutamic acid, N-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]benzoyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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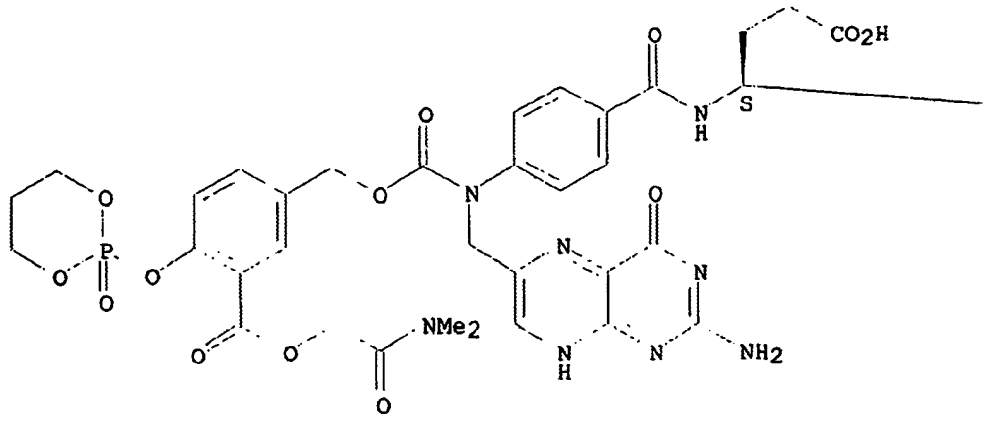

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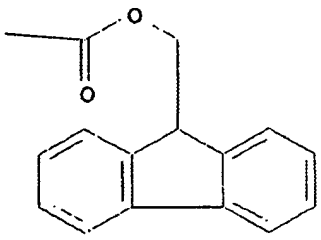
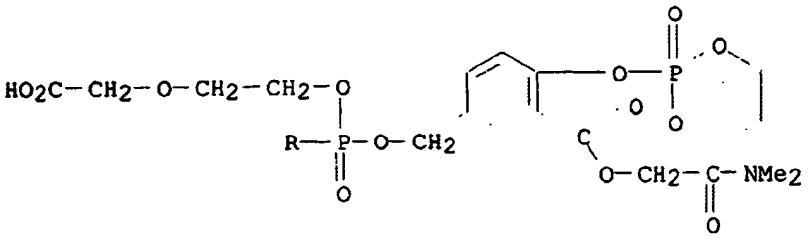
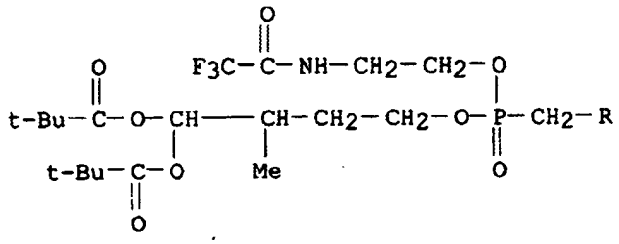
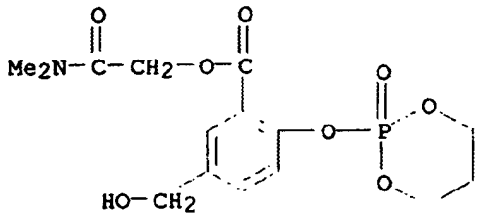


RN 341551-88-0 HCAPLUS
CN 3,6,10,15-Tetraoxa-7,9-diphosphaoctadecanoic acid, 7-[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]-14-(2,2-dimethyl-1-oxopropoxy)-13,17,17-trimethyl-16-oxo-9-[2-[(trifluoroacetyl)amino]ethoxy]-, 7,9-dioxide (9CI) (CA INDEX NAME)

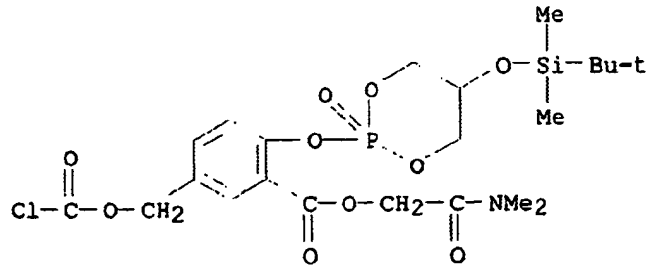




RN 341551-93-7 HCAPLUS
CN Benzoic acid, 5-(hydroxymethyl)-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

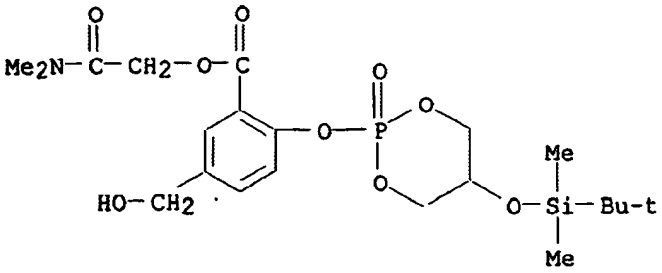


RN 341552-52-1 HCAPLUS
CN Benzoic acid, 5-[[(chlorocarbonyl)oxy]methyl]-2-[[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

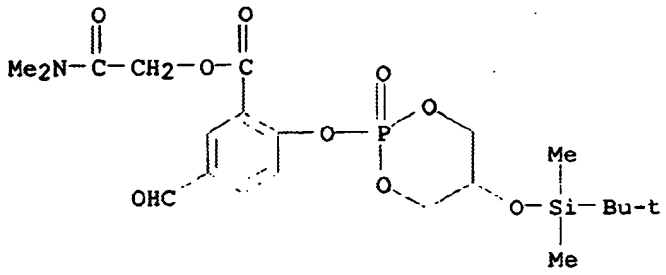


RN 341552-53-2 HCAPLUS
CN Benzoic acid, 2-[[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-5-(hydroxymethyl)-, 2-(dimethylamino)-2-

oxoethyl ester (9CI) (CA INDEX NAME)



RN 341552-54-3 HCAPLUS
CN Benzoic acid, 2-[[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-5-formyl-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 341552-96-3 HCAPLUS
CN 11,14,17,27,30,33,36,46,49,52-Decaoxa-2,7,20,24,39,43,55-heptaaza-59-phosphatrihexacontane-3,61,63-tricarboxylic acid, 1-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[[4-(9H-fluoren-9-ylmethoxy)-1,4-dioxobutoxy]methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]phenyl]-23-(13-carboxy-1-oxo-5,8,11-trioxa-2-azatridec-1-yl)-40-(1,14-dioxo-5,8,11-trioxa-2,15-diazanonadec-1-yl)-59-(9H-fluoren-9-ylmethoxy)-1,6,21,25,38,42,56-heptaoxo-, 3,61,63-tris(9H-fluoren-9-ylmethyl) ester, 59-oxide, (3S,23S,40S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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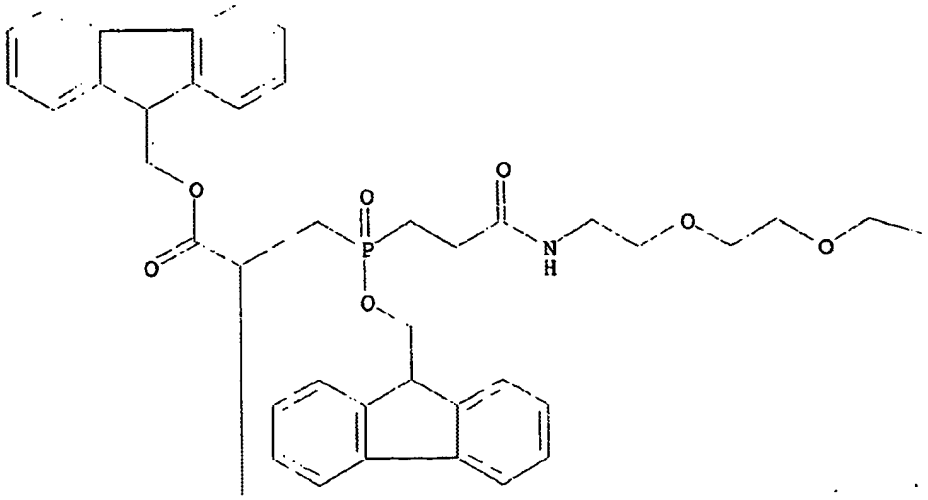

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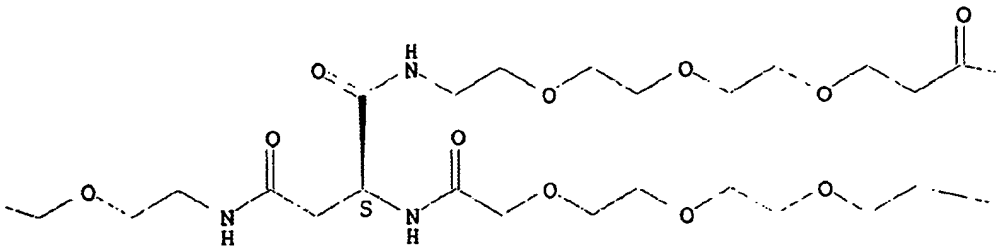

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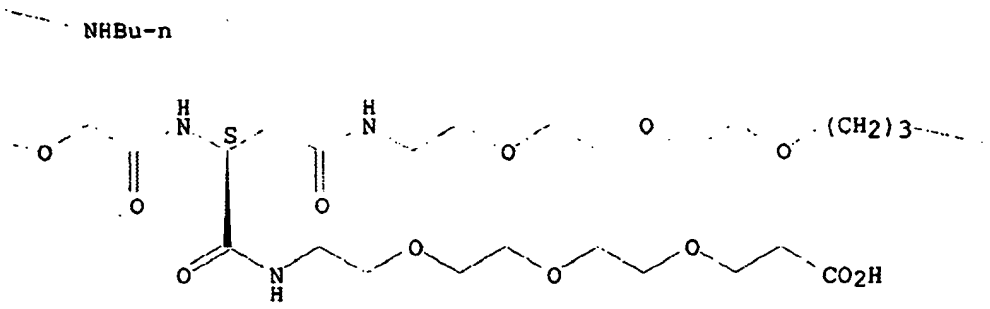

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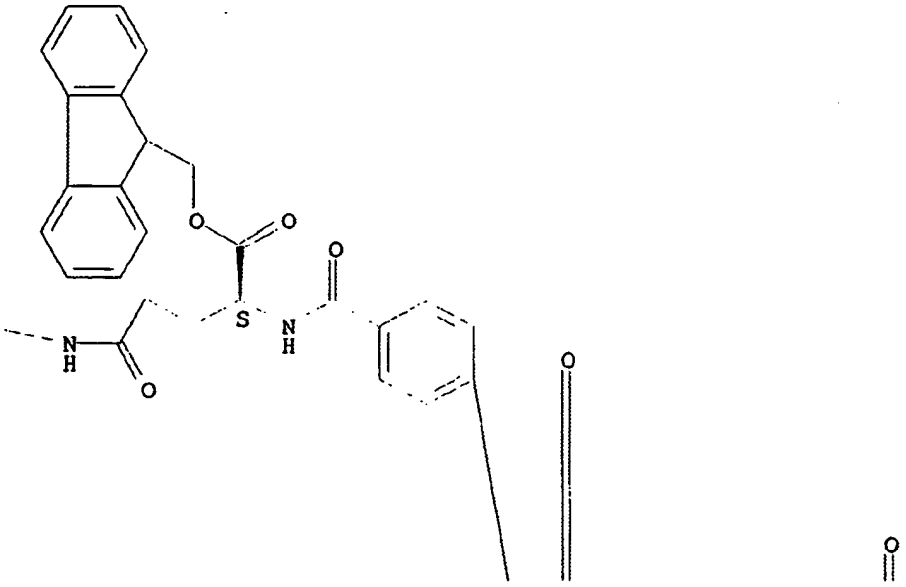

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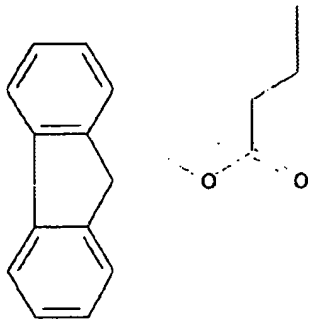

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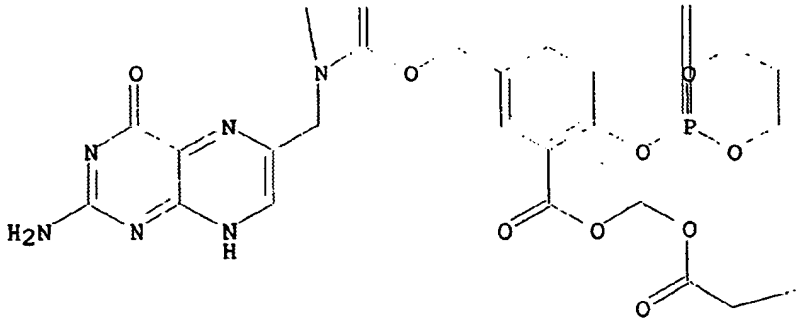

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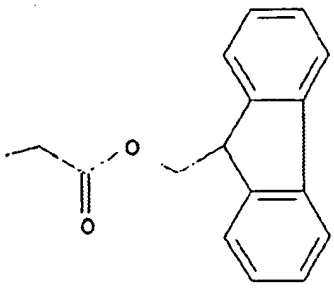

RN 341553-21-7 HCAPLUS
CN 2,4,10-Trioxa-7,12-diaza-3-phosphatridecan-13-oic acid, 7-[6-[[2-(2-aminoethoxy)ethyl][2-[[(9H-fluoren-9-ylmethoxy)carbonyl]oxy]ethyl]amino]-4,8-di-1-piperidinylpyrimido[5,4-d]pyrimidin-2-yl]-1-(9H-fluoren-9-yl)-3-(9H-fluoren-9-ylmethoxy)-11-(trifluoromethyl)-, [4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-

oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[[2-(dimethylamino)-2-oxo thoxy]carbonyl]phenyl]methyl ester, 3-oxide (9CI) (CA INDEX NAME)

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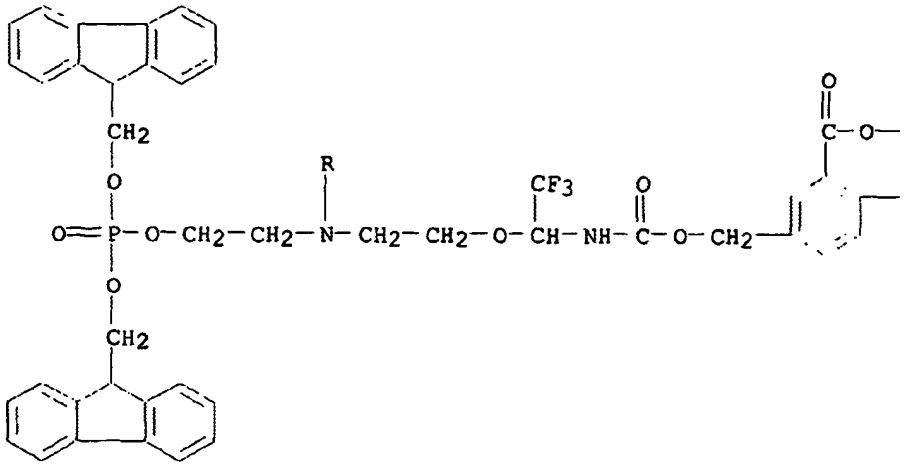

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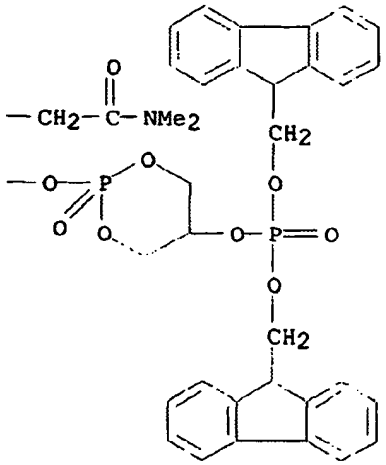

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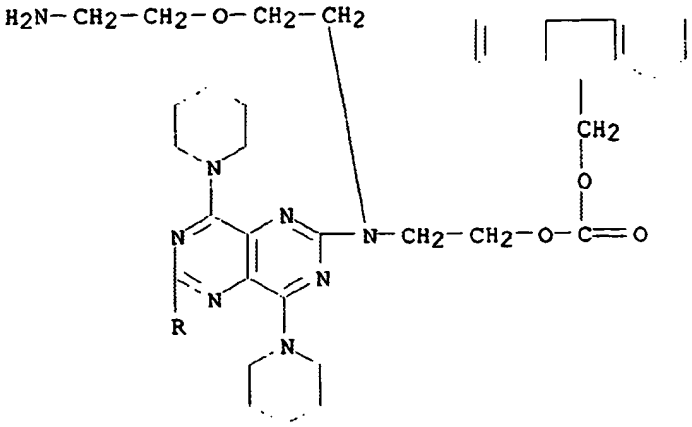
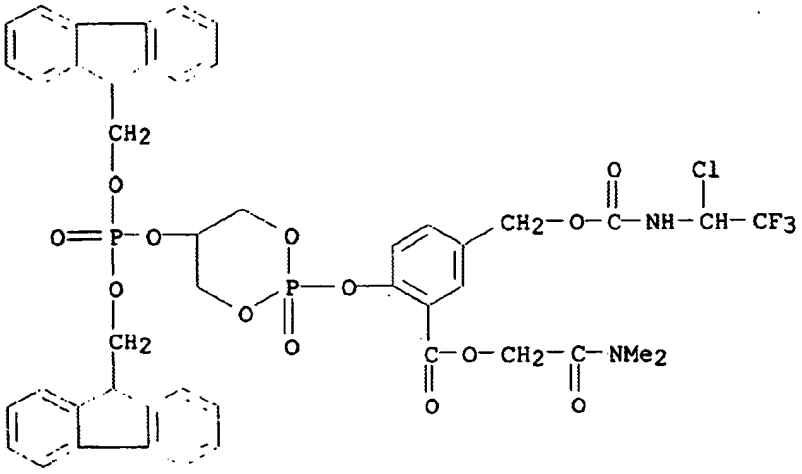


RN 341553-23-9 HCAPLUS
CN Benzoic acid, 2-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-5-[[[[(1-chloro-2,2,2-trifluoroethyl)amino]carbonyl]oxy]methyl]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 341553-26-2 HCAPLUS
CN 1H-1,4-Diazepinium, 1-[3-[[4-[2-(2-aminoethoxy)ethoxy]-3,5-dimethoxybenzoyl]amino]propyl]-1-[[1-[[[[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[(3-methyl-2-oxobutoxy)carbonyl]phenyl]methoxy]carbonyl]amino]-2,2,2-trifluoroethoxy]methyl]hexahydro-4-[4-[(3,4,5-trimethoxybenzoyl)amino]butyl]- (9CI) (CA INDEX NAME)

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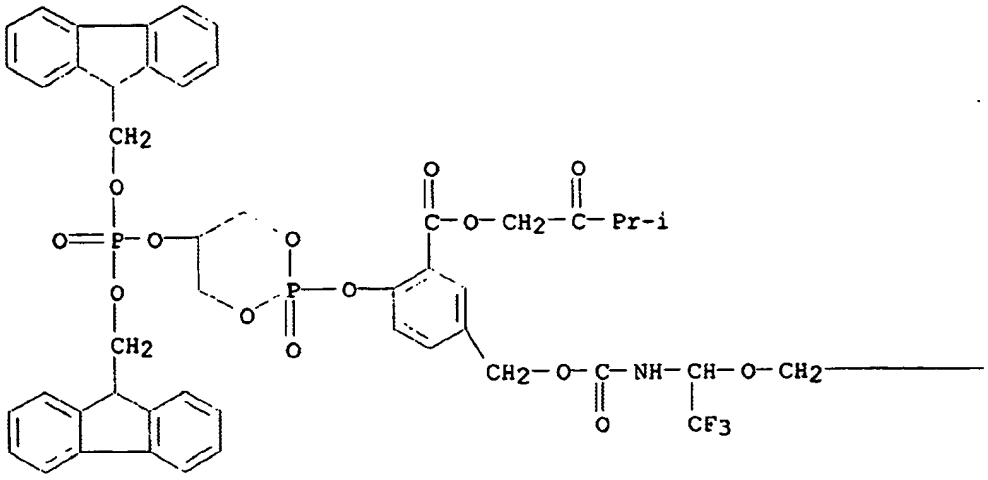

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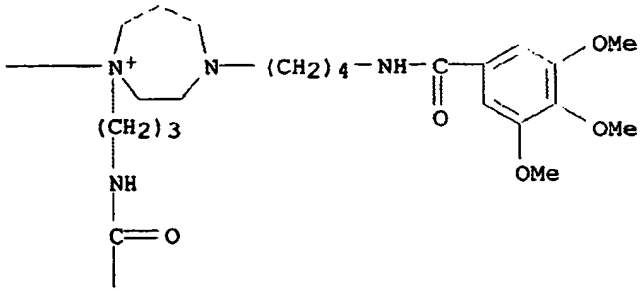

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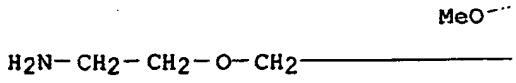

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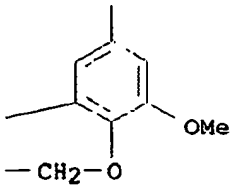
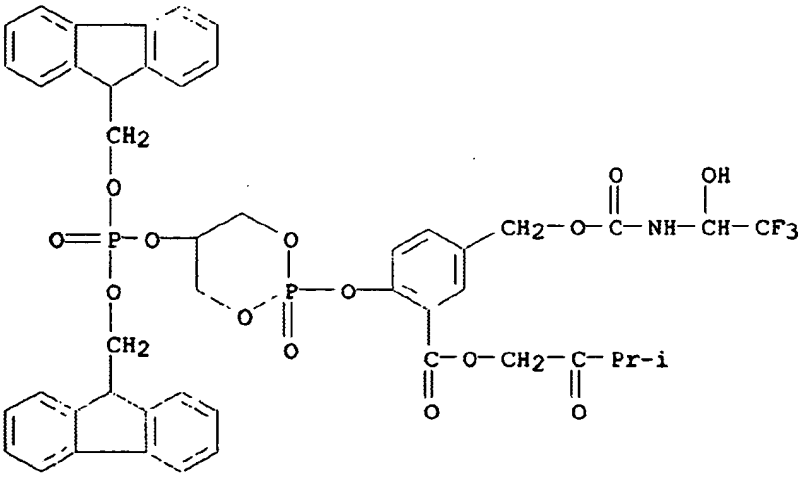


RN 341553-28-4 HCAPLUS
CN Benzoic acid, 2-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-5-[[[[(2,2,2-trifluoro-1-hydroxyethyl)amino]carbonyl]oxy]methyl]-, 3-methyl-2-oxobutyl ester (9CI) (CA INDEX NAME)



RN 341553-29-5 HCAPLUS
CN 1H-1,4-Diazepinium, 1-[[1-[[[[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[(3-methyl-2-oxobutoxy)carbonyl]phenyl]methoxy]carbonyl]amino]-2,2,2-trifluoroethoxy]methyl]hexahydro-4-(trifluoroacetyl)-1-[3-[(trifluoroacetyl)amino]propyl]- (9CI) (CA INDEX NAME)

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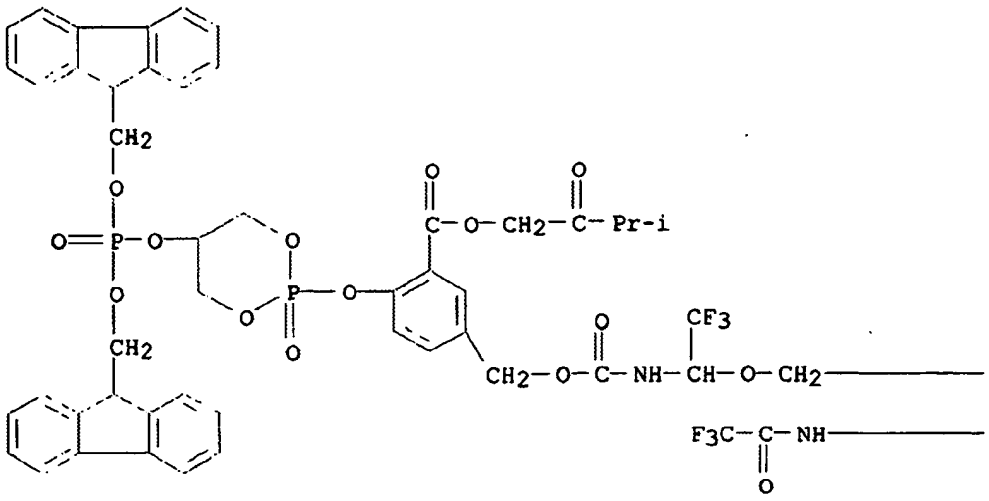

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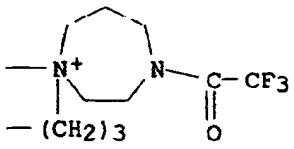

RN 341553-30-8 HCAPLUS
CN 1H-1,4-Diazepinium, 1-(3-aminopropyl)-1-[[1-[[[[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[(3-methyl-2-oxobutoxy)carbonyl]phenyl]methoxy]carbonyl]amino]-2,2,2-trifluoroethoxy]methyl]hexahydro- (9CI) (CA INDEX NAME)

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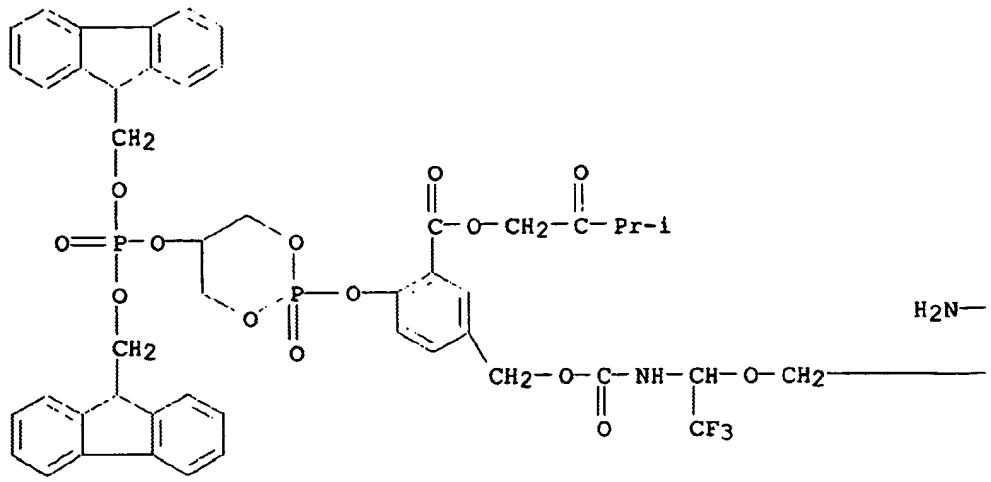

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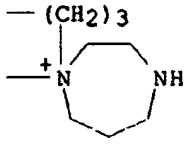

RN 341553-32-0 HCAPLUS
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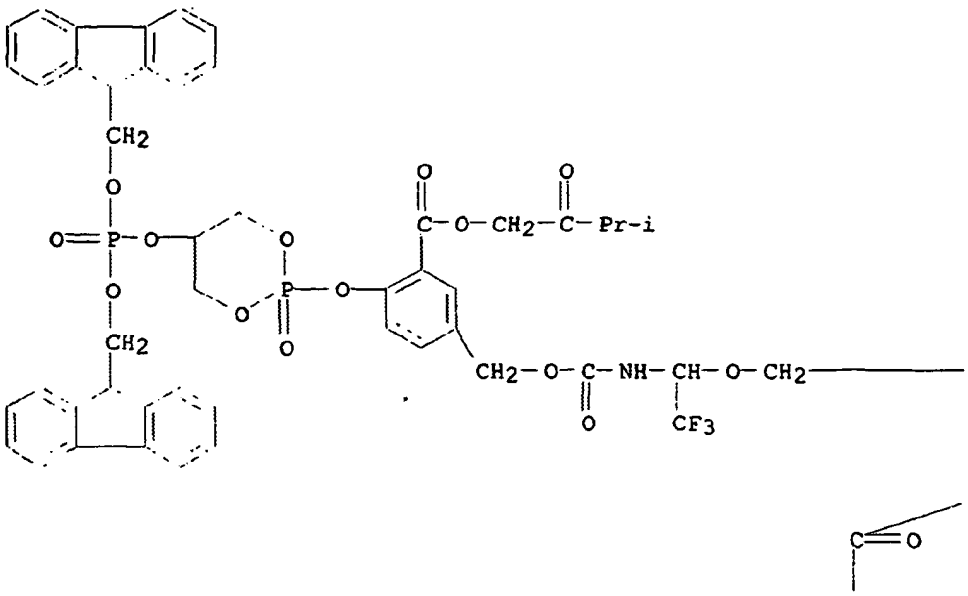

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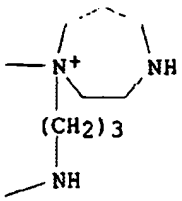
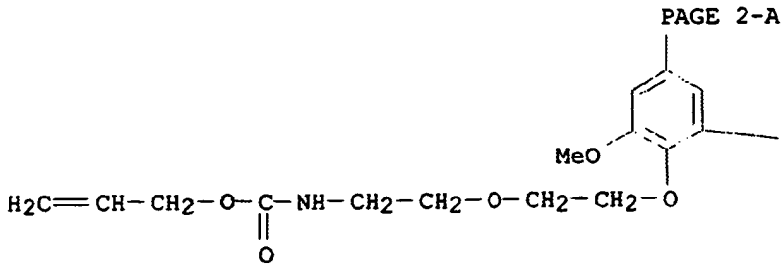
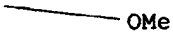


PAGE 2-A

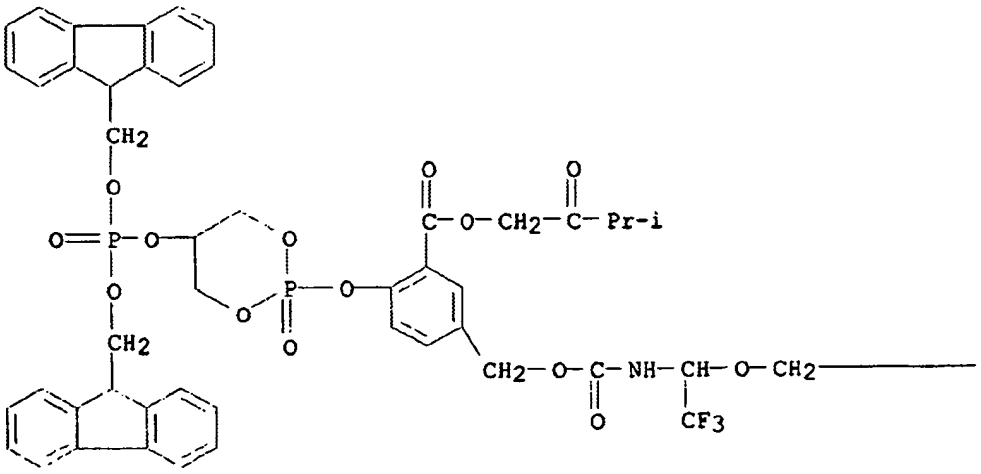


PAGE 2-B

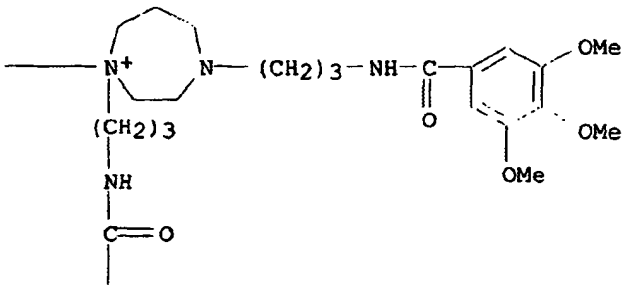


RN 341553-33-1 HCAPLUS
CN 1H-1,4-Diazepinium, 1-[[1-[[[[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[(3-methyl-2-oxobutoxy)carbonyl]phenyl]methoxy]carbonyl]amino]-2,2,2-trifluoroethoxy]methyl]-1-[3-[[3,5-dimethoxy-4-[2-[2-[[(2-propenyloxy)carbonyl]amino]ethoxy]ethoxy]benzoyl]amino]propyl]hexahydro-4-[3-[(3,4,5-trimethoxybenzoyl)amino]propyl]- (9CI) (CA INDEX NAME)

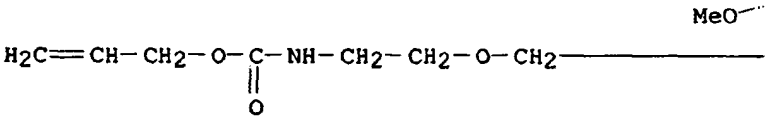
PAGE 1-A



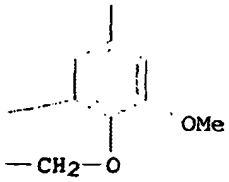
PAGE 1-B



PAGE 2-A



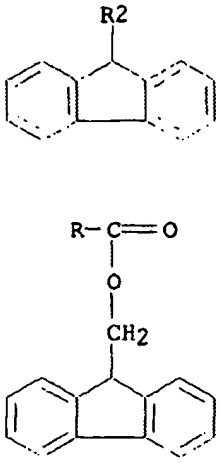
PAGE 2-B



RN 341553-36-4 HCAPLUS
CN Butanedioic acid, mono[[[5-[[[[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][4-[(3S)-36-[5-[[[[[(2,4-diamino-5-methyl-6-quinazolinyl)methyl](3,4,5-trimethoxyphenyl)amino]carbonyl]oxy]methyl]-2-[[(2R)-10-(9H-fluoren-9-yl)-8-(9H-fluoren-9-ylmethoxy)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-8-oxido-3-oxo-7,9-dioxa-4-aza-8-phosphadec-1-

yl]dithio]phenyl]-3-[(9H-fluor n-9-ylmethoxy)carbonyl]-1,6,32-trioxo-10,13,16,22,25,28,34-heptaoxa-2,7,19,31-tetraazahexatriacont-1-yl]phenyl]amino]carbonyl]oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A



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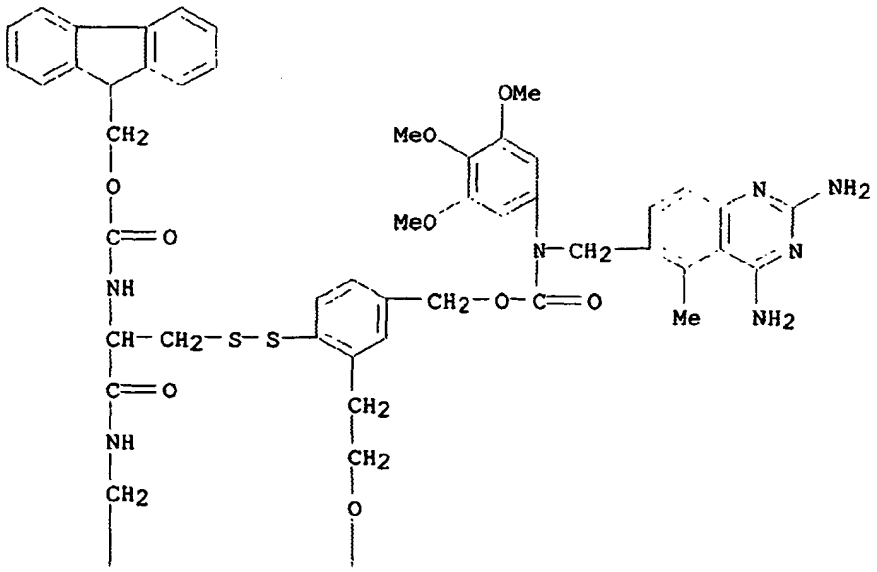
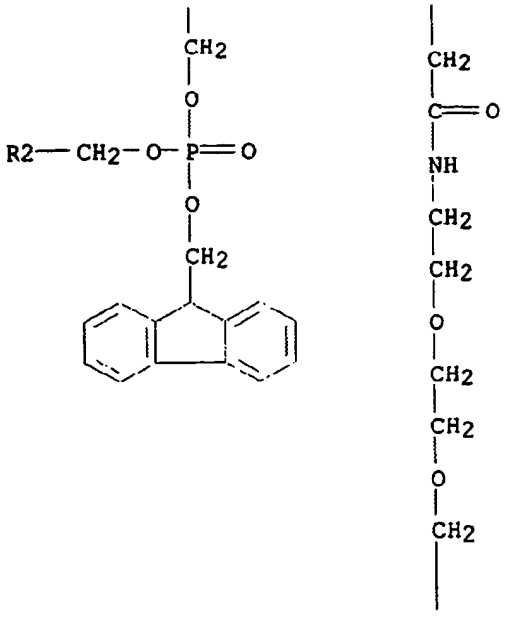


PAGE 3-A



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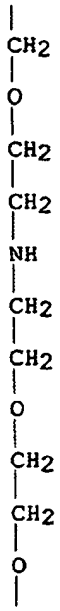

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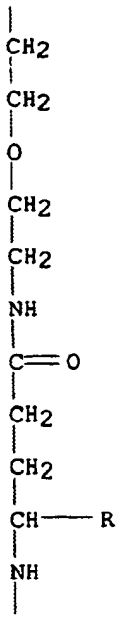

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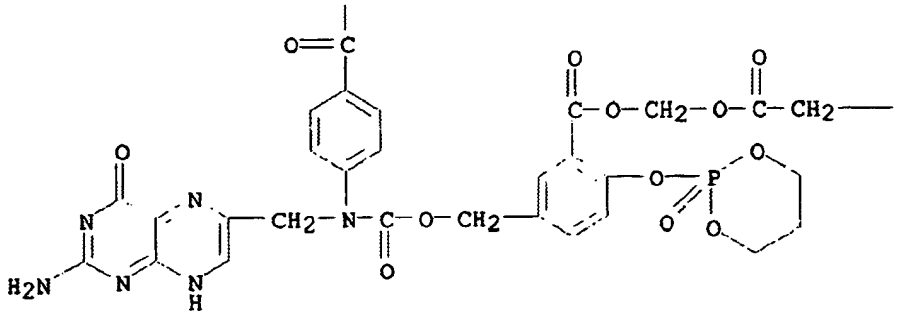

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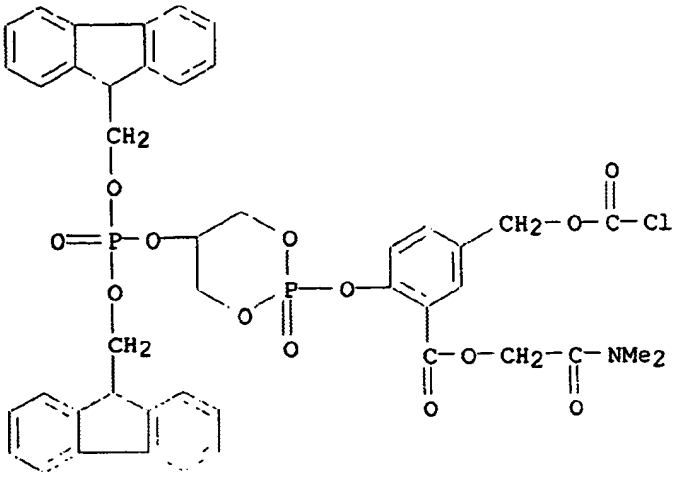
—CH_2—CO_2H

RN 341553-43-3 HCAPLUS
CN Benzoic acid, 2-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-5-[[(chlorocarbonyl)oxy]methyl]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290



RN 341553-48-8 HCAPLUS
CN Butanedioic acid, [[5-[[[[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][4-[(3S,19S)-19-amino-3-[(9H-fluoren-9-ylmethoxy)carbonyl]-36-[6-[[[[[1-[[5-[6-(9H-fluoren-9-ylmethoxy)-3-methyl-6-oxo-2-hexenyl]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-isobenzofuranyl]oxy]-2,2,2-trifluoroethyl]amino]carbonyl]oxy]methyl]-5,8-dihydro-5,8-dioxo-1-naphthalenyl]-1,6,17,20,33-pentaoxo-10,13,24,27,30-pentaoxa-2,7,16,21,34-pentaazahexatriacont-1-yl]phenyl]amino]carbonyl]oxy]methyl]-2-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]benzoyl]oxy]methyl 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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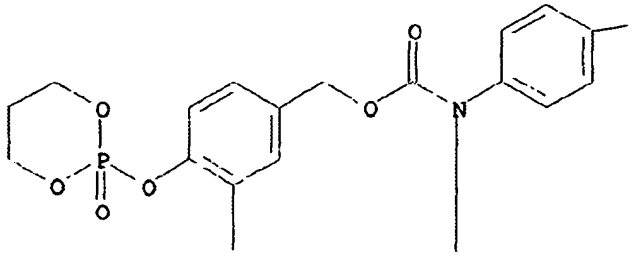

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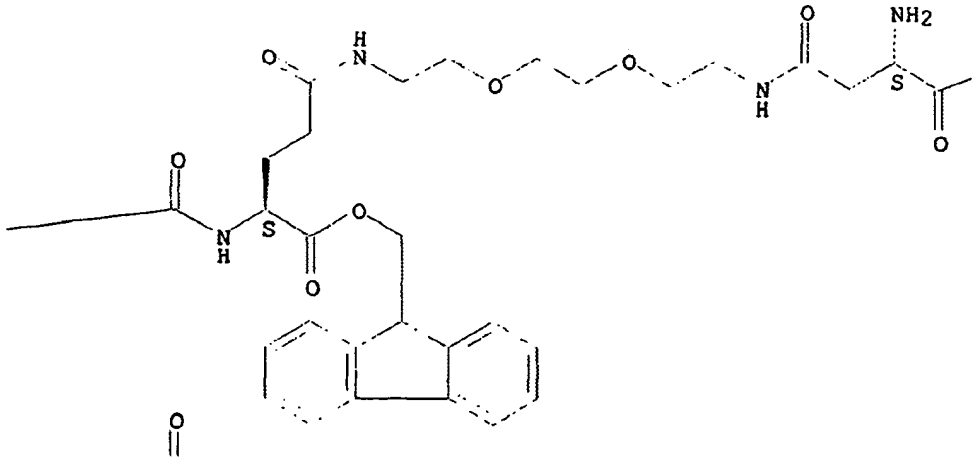
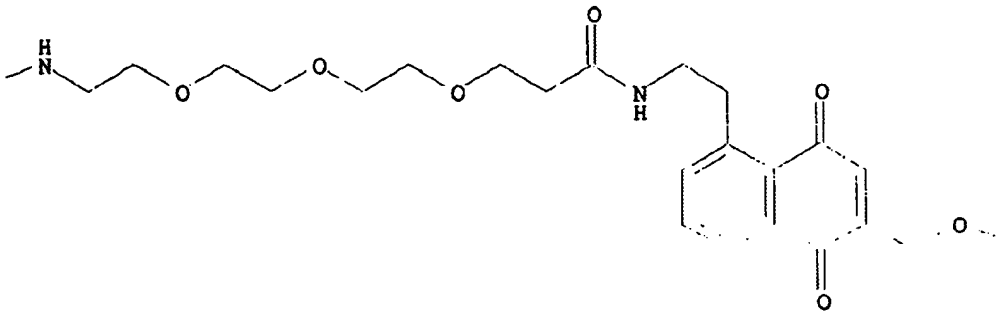
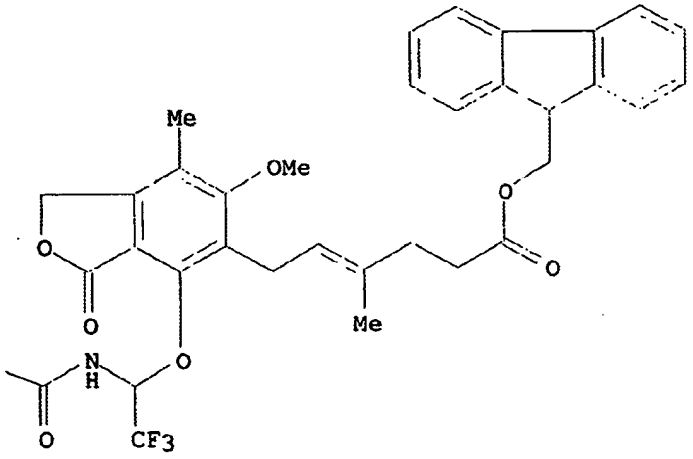


PAGE 1-C



PAGE 1-D



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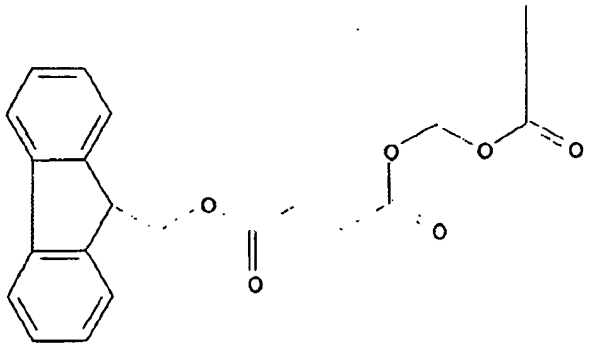

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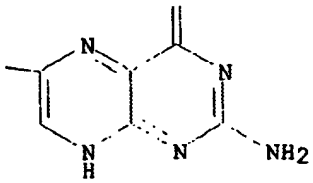
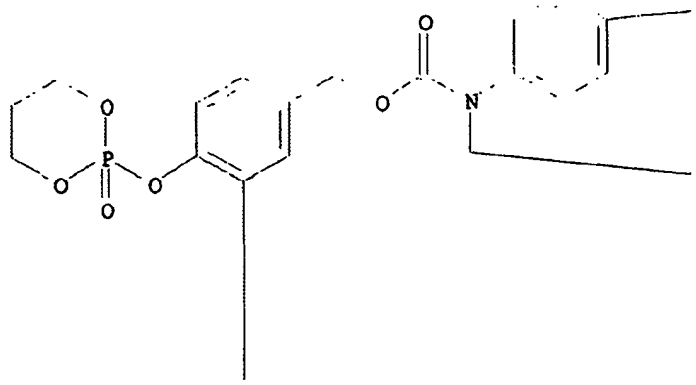


RN 341553-50-2 HCAPLUS
CN 8,11-Dioxa-1,5,14,19-tetraazaeicosane-1,2,18-tricarboxylic acid, 20-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[[4-(9H-fluoren-9-ylmethoxy)-1,4-dioxobutoxy]methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]phenyl]-4,15,20-trioxo-, 1-(1-[1,1'-biphenyl]-4-yl-1-methylethyl) 18-(9H-fluoren-9-ylmethyl) ester, (2S,18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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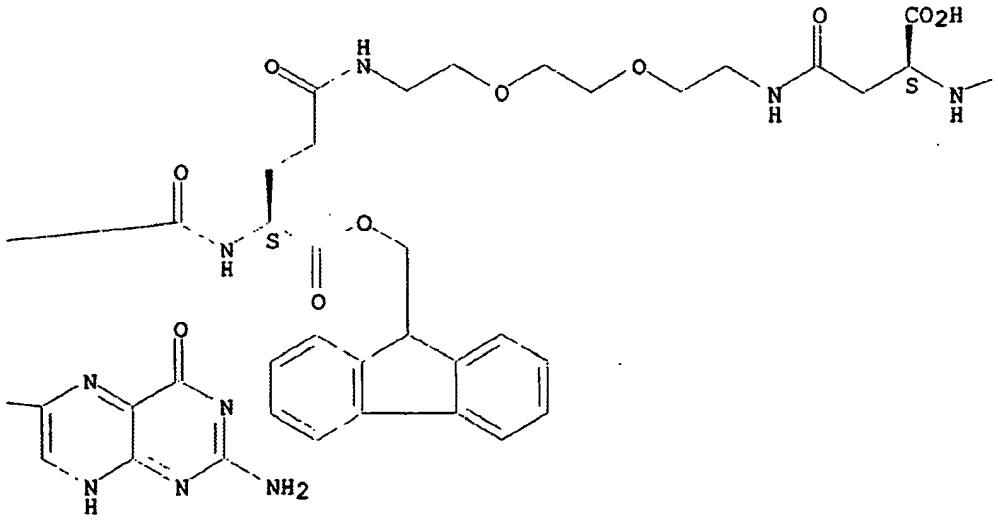

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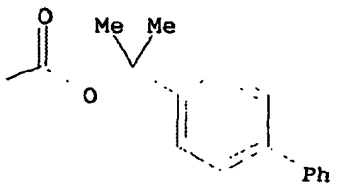

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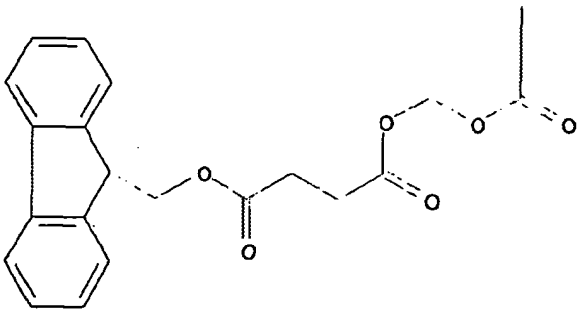

RN 341990-82-7 HCAPLUS
CN L-Phenylalanine, N-[[[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]phenyl]methoxy]carbonyl]-N-[[(4-methoxyphenyl)amino]carbonyl]-L-methionyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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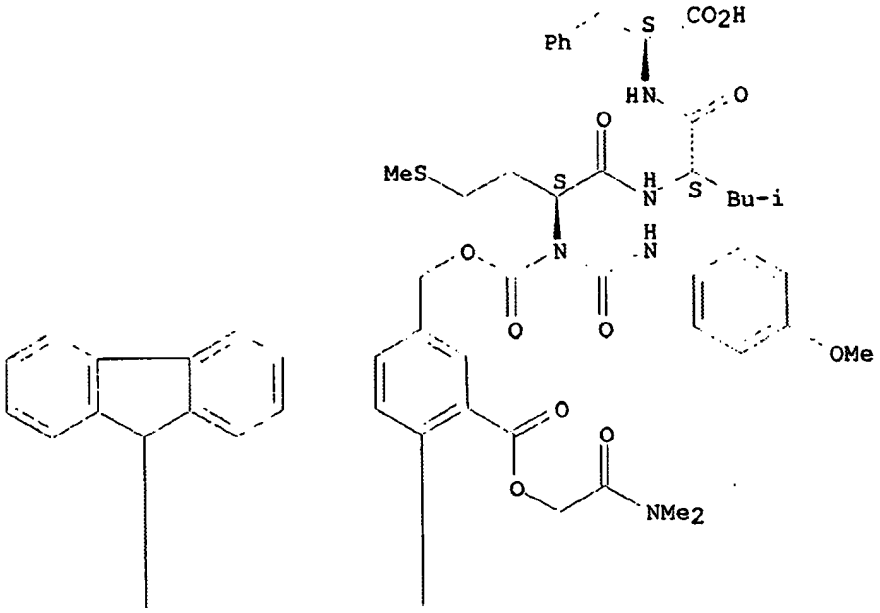

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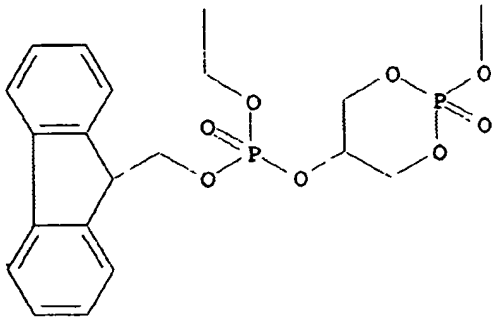
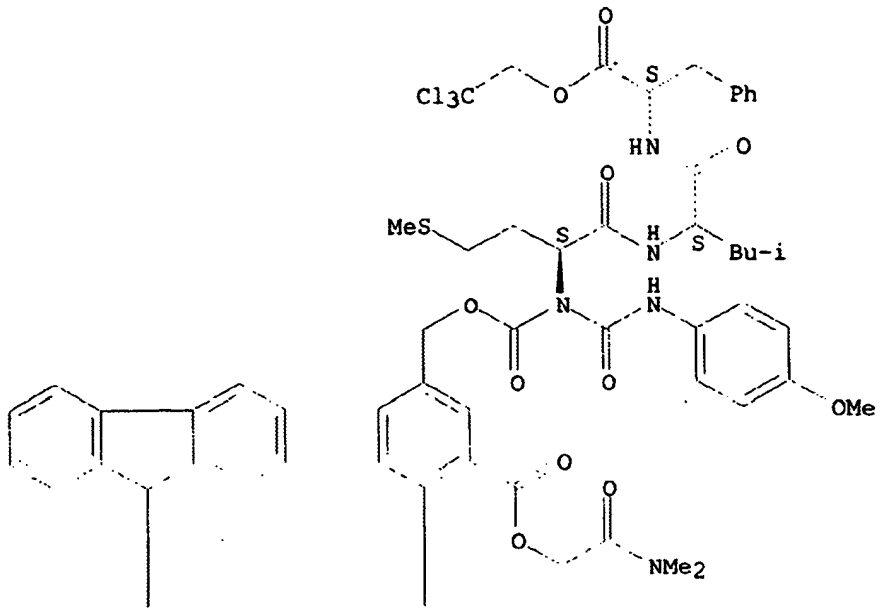


RN 341990-83-8 HCAPLUS
CN L-Phenylalanine, N-[[[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]phenyl]methoxy]carbonyl]-N-[[(4-methoxyphenyl)amino]carbonyl]-L-methionyl-L-leucyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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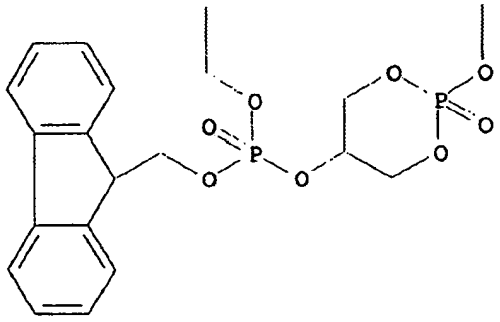
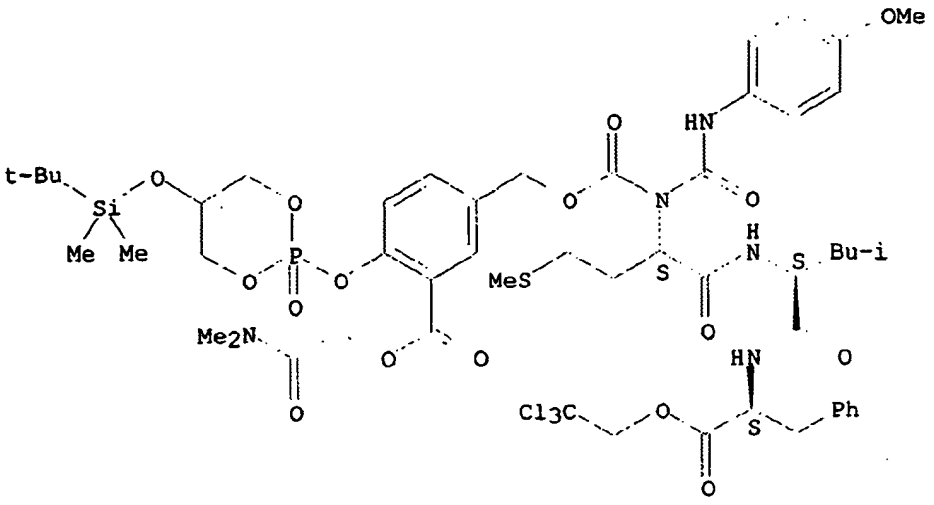


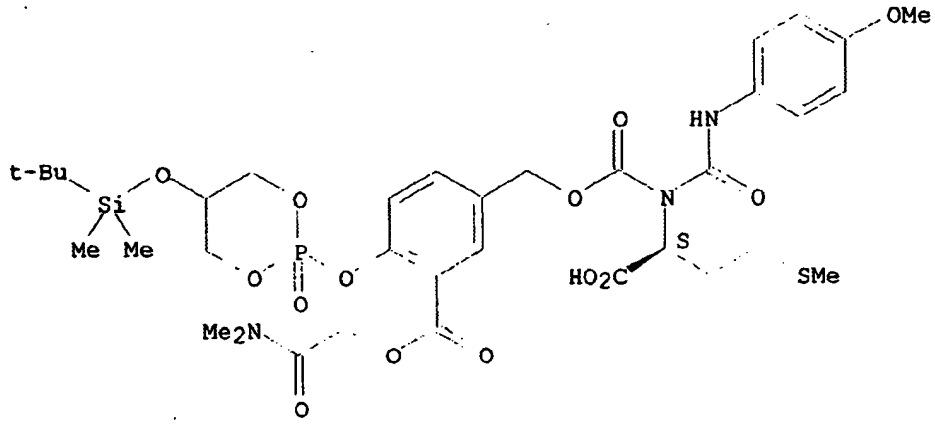
RN 341990-84-9 HCAPLUS
CN L-Phenylalanine, N-[[[3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]-4-[[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]phenyl]methoxy]carbonyl]-N-[[(4-methoxyphenyl)amino]carbonyl]-L-methionyl-L-leucyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 341990-85-0 HCAPLUS
CN Benzoic acid, 5-[[[[[(1S)-1-carboxy-3-(methylthio)propyl][[(4-methoxyphenyl)amino]carbonyl]amino]carbonyl]oxy]methyl]-2-[[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-, 1-[2-(dimethylamino)-2-oxoethyl] ester (9CI) (CA INDEX NAME)

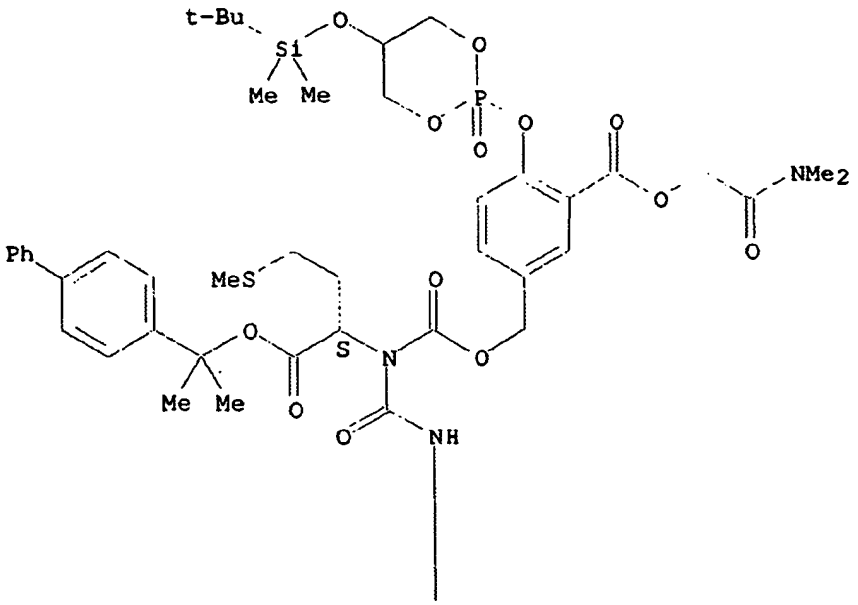
Absolute stereochemistry.



RN 341990-86-1 HCAPLUS
CN Benzoic acid, 5-[[[[[(1S)-1-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-3-(methylthio)propyl][[(4-methoxyphenyl)amino]carbonyl]amino]carbonyl]oxy]methyl]-2-[[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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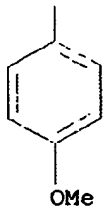
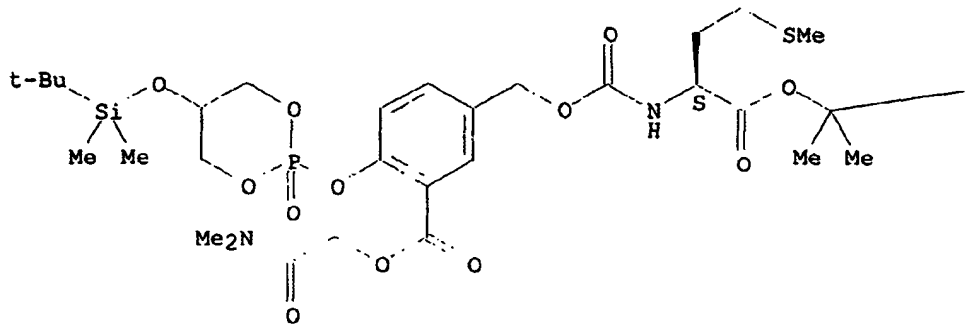


RN 341990-87-2 HCAPLUS
CN Benzoic acid, 5-[[[[[(1S)-1-[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]-3-(methylthio)propyl]amino]carbonyl]oxy]methyl]-2-[[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-, 2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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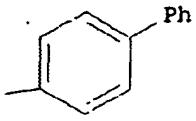
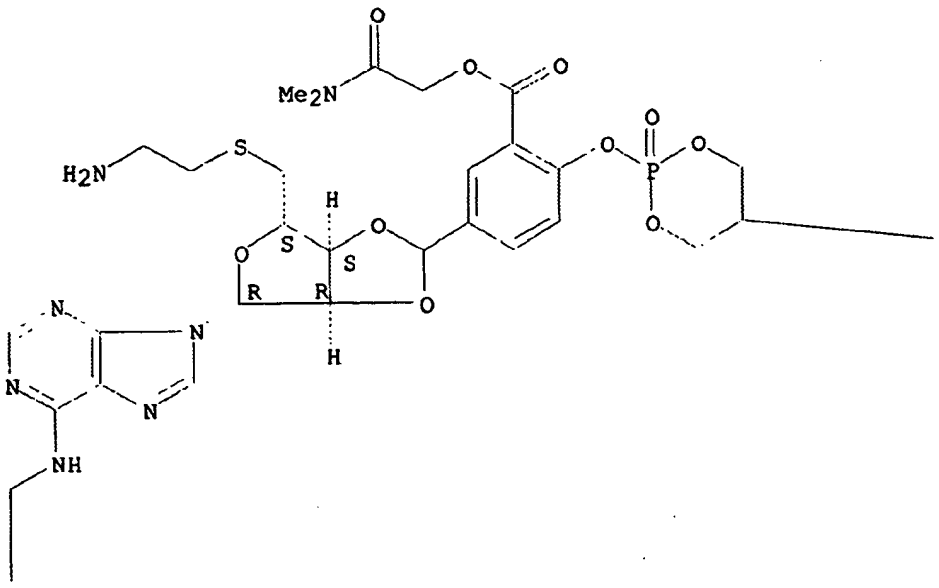


RN 341990-95-2 HCAPLUS
CN Adenosine, 5'-S-(2-aminoethyl)-2',3'-O-[[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]phenyl]methylene]-N-[(4-nitrophenyl)methyl]-5'-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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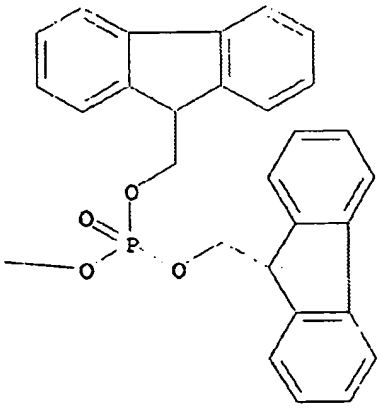

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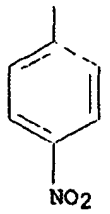
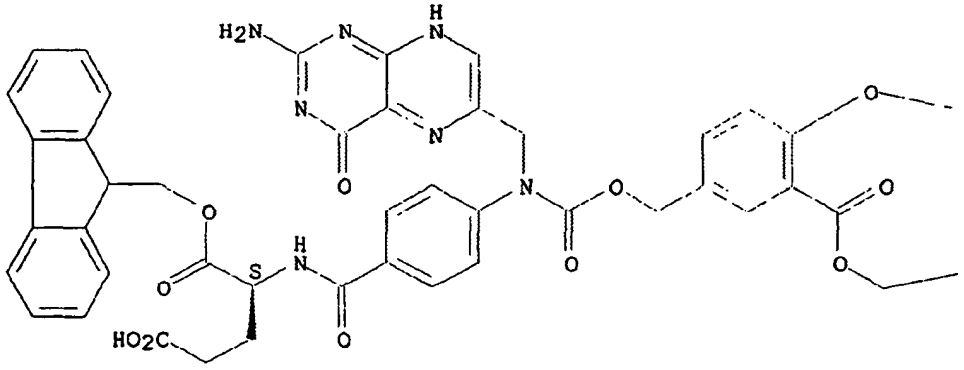


RN 341990-97-4 HCAPLUS
CN L-Glutamic acid, N-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[4-[[5-[[bis(9H-fluoren-9-ylmethoxy)phosphinyl]oxy]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]oxy]-3-[[2-(dimethylamino)-2-oxoethoxy]carbonyl]phenyl]methoxy]carbonyl]amino]benzoyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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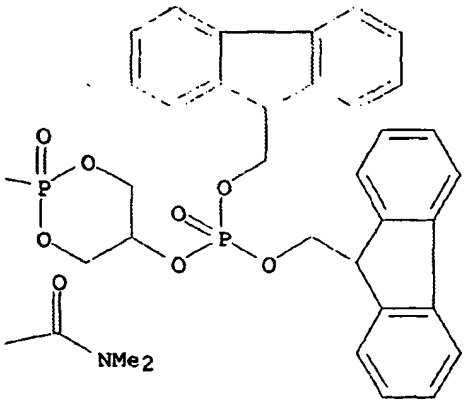


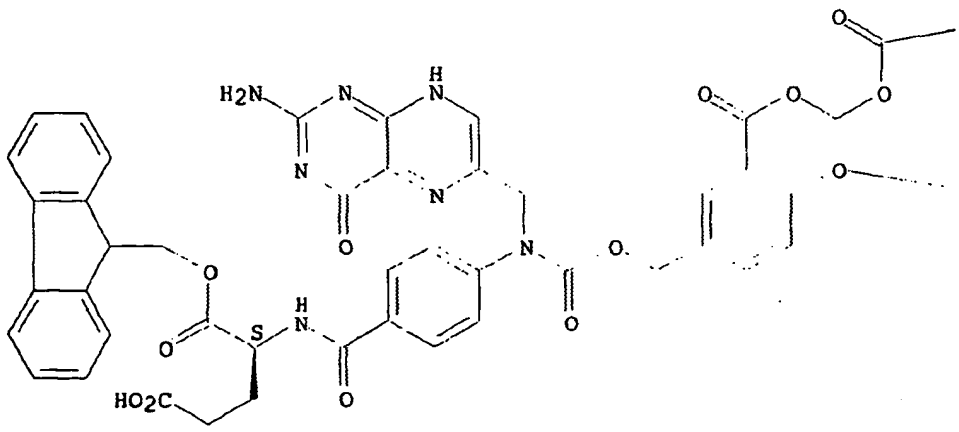
IT **341549-55-1P**
RL: PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

RN 341549-55-1 HCAPLUS

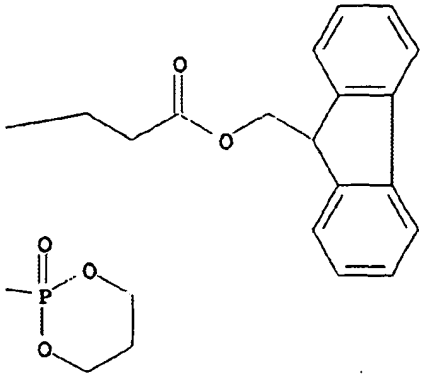
CN L-Glutamic acid, N-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl][[[3-[[[4-(9H-fluoren-9-ylmethoxy)-1,4-dioxobutoxy]methoxy]carbonyl]-4-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]phenyl]methoxy]carbonyl]amino]benzoyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



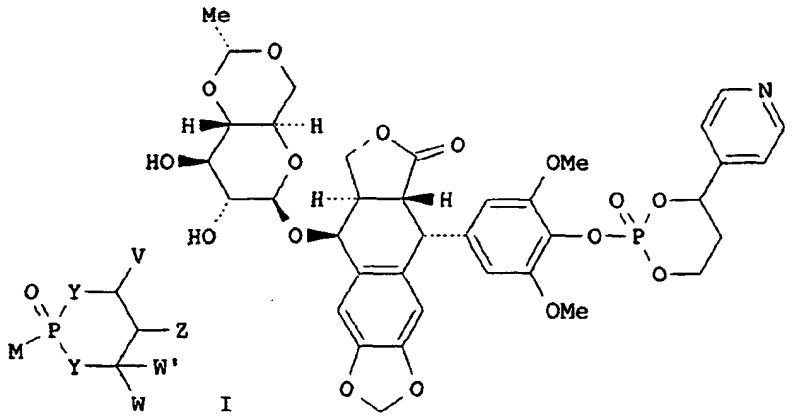
PAGE 1-B



L24 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:185764 HCAPLUS
DN 134:237345
TI Preparation of prodrugs for liver specific drug delivery
IN Erion, Mark D.; Reddy, K. Raja
PA Metabasis Therapeutics, Inc., USA
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07F009-6584
ICS C07F009-6571; C07H015-26; C07H015-252; A61K031-66; A61K031-70; A61P031-00; A61P035-00
CC 26-1 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 9, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001018013	A1	20010315	WO 2000-US24693	20000908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1210354	A1	20020605	EP 2000-961694	20000908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRAI US 1999-153128P P 19990908
WO 2000-US24693 W 20000908
OS MARPAT 134:237345
GI



I II

AB Cyclic phosph(oramid)ate prodrugs, such as I [M = pharmaceutical agent, such as camptothecin, paclitaxel, etc.; V, W, W' = H, alkyl, arylalkyl, aryl, heteroaryl, alkenyl, alkynyl, etc.; Z = H, hydroxymethyl, acyloxymethyl, etc.; VZ or VW = fused cyclic group; Y = O, NR, etc.; R = H, alkyl, etc.], were prepd. and formulated for pharmaceutical use for the delivery of drugs. Thus, prodrug II was prepd. in 48% yield from 1-(4-pyridyl)-1,3-propanediol, POCl3, and etoposide. The prepd. prodrugs were tested for their resp. biol. activities, such as II being tested for activation in rat hepatocytes. The proposed uses of the prodrugs are to treat diseases that benefit from enhanced drug distribution to the liver and like tissues and cells that express cytochrome P 450, including hepatitis, cancer, liver fibrosis, malaria, other viral and parasitic infections, and metabolic diseases where the liver is responsible for the overprodn. of the biochem. end product, e.g. glucose (diabetes); cholesterol, fatty acids and triglycerides (hyperlipidemia) (atherosclerosis) (obesity). These prodrugs are designed to enhance oral drug delivery, to prolong pharmacodynamic half-life of the drug, to achieve sustained delivery of the parent drug, to increase the therapeutic index of the drug, and to be useful in the delivery of diagnostic imaging agents to the liver.

ST cyclic phosphate prodrug prepn; phosphoramide cyclic prodrug prepn; liver treatment cyclic phosphate prodrug prepn

IT Drug delivery systems
(prodrugs; prepn. of prodrugs for liver specific drug delivery)

IT **329325-41-9P** 329325-43-1P **329325-44-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of prodrugs for liver specific drug delivery)

IT 104-55-2 2629-72-3, 4-Pyridinepropanol 4704-94-3 4799-68-2 50409-12-6 104196-23-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of prodrugs for liver specific drug delivery)

IT 19790-60-4P 90533-81-6P 329325-40-8P, 1-(4-Pyridyl)-1,3-propanediol 329325-42-0P 329325-45-3P 329325-46-4P 329325-47-5P 329361-60-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of prodrugs for liver specific drug delivery)

IT 1404-00-8, Mitomycin 7689-03-4, Camptothecin 9014-02-2,

Neocarzinostatin 11033-22-0, Coformycin 20830-81-3, Daunorubicin 24280-93-1, Mycophenolic acid 25316-40-9, Doxorubicin hydrochlorid 29767-20-2, Teniposide 33069-62-4, Paclitaxel 33419-42-0, Etoposide 53910-25-1, Deoxycoformycin 56420-45-2, Epirubicin 58957-92-9, Idarubicin 65271-80-9, Mitoxantrone 70052-12-9, Eflornithine 72496-41-4, Pirarubicin 88303-60-0, Losoxantrone 91421-43-1, 9-Aminocamptothecin 91441-23-5, Piroxantrone 97682-44-5, Irinotecan 105760-98-3, NK 611 114797-28-3, Esperamicin 114977-28-5, Docetaxel 117048-59-6, Combretastatin A-4 123948-87-8, Topotecan 127882-73-9, GL 331 129564-92-7, Azatoxin 149882-10-0, Lurtotecan 155233-45-7 169869-90-3, DX 8951F 213313-16-7, Combretastatin A-4 (S,S)-dioxolane
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(prepn. of prodrugs for liver specific drug delivery)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Bedford, S; Bioorganic & Medicinal Chemistry Letters 1996, V6(2), P157 HCAPLUS
(2) Bristol-Myers Squibb Co; EP 0481214 A 1992 HCAPLUS
(3) Metabasis Therapeutics; WO 9839342 A 1998 HCAPLUS
(4) Metabasis Therapeutics; WO 9839343 A 1998 HCAPLUS
(5) Metabasis Therapeutics; WO 9839344 A 1998 HCAPLUS
(6) Metabasis Therapeutics; WO 9945016 A 1999 HCAPLUS

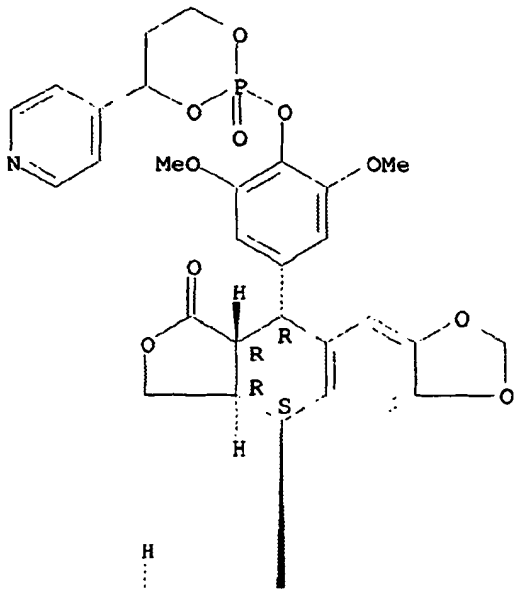
IT **329325-41-9P 329325-44-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of prodrugs for liver specific drug delivery)

RN 329325-41-9 HCAPLUS

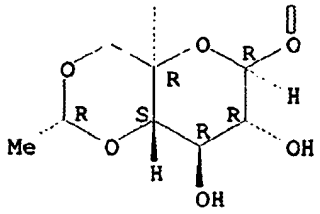
CN Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 5-[3,5-dimethoxy-4-[[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]oxy]phenyl]-9-[[4,6-O-(1R)-ethylidene-.beta.-D-glucopyranosyl]oxy]-5,8,8a,9-tetrahydro-, (5R,5aR,8aR,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

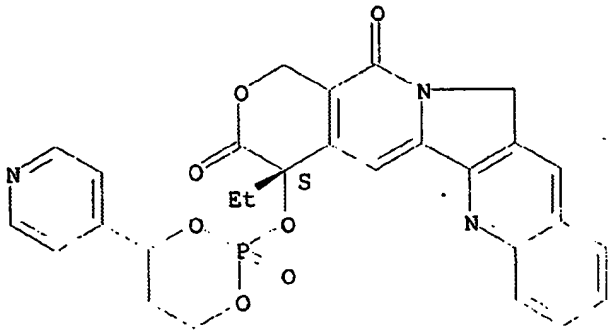


PAGE 2-A



RN 329325-44-2 HCAPLUS
CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 4-ethyl-4-[[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]oxy]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:706969 HCAPLUS
DN 133:261536
TI Pharmaceutical compositions comprising cyclic glycerophosphates and analogs thereof for promoting neural cell differentiation
IN Shinitzky, Meir
PA Yeda Research and Development Co. Ltd., Israel
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-00
CC 1-11 (Pharmacology)
Section cross-reference(s): 29, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000057865	A2	20001005	WO 2000-IL185	20000324
	WO 2000057865	A3	20010628		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000009296	A	20011218	BR 2000-9296	20000324
	EP 1162959	A2	20011219	EP 2000-912877	20000324
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002540146	T2	20021126	JP 2000-607616	20000324
PRAI	IL 1999-129178	A	19990325		
	WO 2000-IL185	W	20000324		

OS MARPAT 133:261536
AB Cyclic glycerophosphates and analogs thereof (CGs) are shown to exert neural promoting activities in target cells. Such activities include promotion of neuronal outgrowth, promotion of nerve growth, provision of dopaminotrophic supporting environment in a diseased portion of the brain, prevention of nerve degeneration and nerve rescue. These activities of the CGs render them useful for treatment of various disorders including but not limited to mental disorders such as, for xample, schizophrenia,

dementia or disorders resulting in learning disabilities. In addn., these CGs may be used for the treatment of neurodegenerative conditions such as Alzheimer's disease, Parkinson's disease, conditions resulting from exposure to harmful environmental factors or resulting from a mech. injury. The CGs may also be used to treat an individual suffering from a primary neurodegenerative condition in order to prevent or reduce the appearance of secondary degeneration in addnl. nerves ("nerve rescue"). For example, neural outgrowth of PC12 cells was seen when cells were grown in the presence of nerve growth factor (50 ng/mL) or 1,3-cyclic glycerophosphate (1 .mu.M), but not in the presence of linear .alpha.-glycerophosphate.

ST cyclic glycerophosphate neuronal differentiation mental disorder; antipsychotic schizophrenia cyclic glycerophosphate; Alzheimer disease parkinsonism cyclic glycerophosphate

IT Anti-Alzheimer's agents
Antiparkinsonian agents
Antipsychotics
Mental disorder
Nervous system agents
Schizophrenia
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Monoamines
Neurotrophic factors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Nerve
(degeneration, prevention of; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Mental disorder
(dementia; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Nerve
(differentiation; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Learning
(disorder; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Nerve
(dopaminergic, degeneration of; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Cell differentiation
(inducers; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Nerve, disease
(injury, neuronal rescue after; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Cell differentiation
Cell differentiation
(neuronal; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Drug delivery systems
(oral; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Drug delivery systems

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

(osmotic pumps; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Cell proliferation
(promotion of; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT Drug delivery systems
(topical; compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT **298701-05-0P**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT **711-07-9P 13507-10-3P 22227-09-4P 118897-32-8P 123406-35-9P 286020-33-5P 298701-06-1P 298701-08-3P 298701-09-4P 298701-78-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT 51-61-6, Dopamine, biological studies 59-92-7, biological studies 102-32-9, DOPAC 306-08-1, Homovanillic acid
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT 9001-86-9, Phospholipase C
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

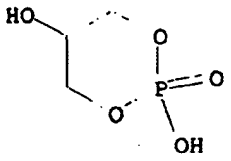
IT 57-55-6, 1,2-Propanediol, reactions 96-26-4, Dihydroxyacetone 504-63-2, 1,3-Propanediol 770-12-7, Phenyl phosphorodichloridate 819-83-0, Disodium .beta.-glycerophosphate 4799-67-1 14690-00-7, 2-Benzyloxy-1,3-propanediol 22002-87-5 26776-70-5, Dihydroxyacetone dimer
RL: RCT (Reactant); RACT (Reactant or reagent)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT **187976-16-5P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

IT **298701-05-0P**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

RN 298701-05-0 HCAPLUS

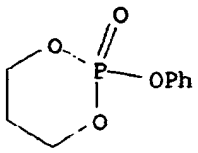
CN 1,3,2-Dioxaphosphorinan-5-ol, 2-hydroxy-, 2-oxide, barium salt (9CI) (CA INDEX NAME)



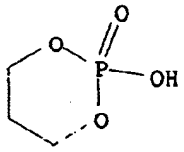
●x Ba

IT **711-07-9P 13507-10-3P 22227-09-4P**
118897-32-8P 123406-35-9P 286020-33-5P
298701-06-1P 298701-08-3P 298701-09-4P
298701-78-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)

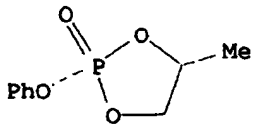
RN 711-07-9 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 13507-10-3 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-, 2-oxide (9CI) (CA INDEX NAME)

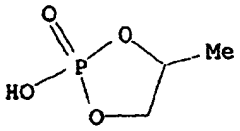


RN 22227-09-4 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-methyl-2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 118897-32-8 HCAPLUS

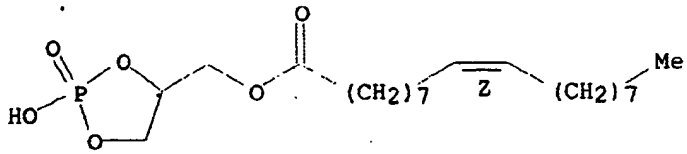
CN 1,3,2-Dioxaphospholane, 2-hydroxy-4-methyl-, 2-oxide, barium salt (9CI) (CA INDEX NAME)



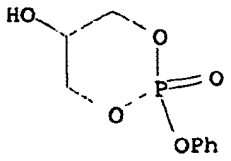
1/2 Ba

RN 123406-35-9 HCAPLUS
CN 9-Octadecenoic acid (9Z)-, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester (9CI) (CA INDEX NAME)

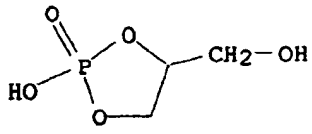
Double bond geometry as shown.



RN 286020-33-5 HCAPLUS
CN 1,3,2-Dioxaphosphorinan-5-ol, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)

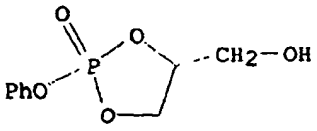


RN 298701-06-1 HCAPLUS
CN 1,3,2-Dioxaphospholane-4-methanol, 2-hydroxy-, 2-oxide, barium salt (9CI) (CA INDEX NAME)



●x Ba

RN 298701-08-3 HCAPLUS
CN 1,3,2-Dioxaphospholane-4-methanol, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 298701-09-4 HCAPLUS
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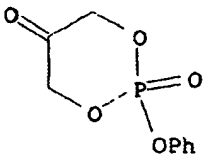

RN 298701-78-7 HCAPLUS
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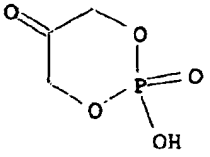
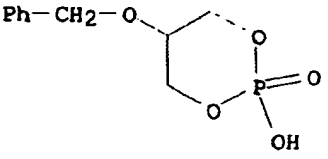


●1/2 Ba

IT **187976-16-5P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(compns. comprising cyclic glycerophosphates for promoting neural differentiation for therapeutic uses)
RN 187976-16-5 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-5-(phenylmethoxy)-, 2-oxide (9CI) (CA INDEX NAME)



L24 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:706968 HCAPLUS
DN 133:261549

applicants

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

applicant

TI Cyclic glycerophosphates and analogs for treatment of malignancies
IN Shinitzky, Meir
PA Yeda Research and Development Co. Ltd., Israel
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-00
CC 1-12 (Pharmacology)
Section cross-reference(s): 2, 29, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000057864	A2	20001005	WO 2000-IL184	20000324
	WO 2000057864	A3	20010531		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1162979	A2	20011219	EP 2000-912876	20000324
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002540145	T2	20021126	JP 2000-607615	20000324
PRAI	IL 1999-129179	A	19990325		
	WO 2000-IL184	W	20000324		

OS MARPAT 133:261549
AB Cyclic glycerophosphates as well as some analogs thereof (CGs) are shown to increase phosphorylation of intracellular proteins in various cells. Such activity is not found with linear .alpha.- or .beta.-glycerophosphates. The phosphorylating activity of the CGs render them useful in the prevention and treatment of various disorders and diseases such as, for example, different kinds of malignancies as well as disorders involving hormone and hormone-like signaling. The CGs are also useful for promotion of target cell differentiation and for detection of abnormal conditions in target cells. For example, CHO cells were incubated with 1 or 2 .mu.M of 1,3-cyclic propanediol phosphate for 1, 3, 5, and 10 min at 37.degree.. The level of tyrosine phosphorylated proteins in the cell was detd. using monoclonal anti-phosphotyrosine antibodies. Phosphorylation was most markedly seen in the band(s) having a mol. wt. of .apprx. 35 and 45 kilodalton.
ST cyclic glycerophosphate protein phosphorylation cell differentiation; antitumor cyclic glycerophosphate protein phosphorylation; antidiabetic cyclic glycerophosphate protein phosphorylation; hormone signaling phosphorylation cyclic glycerophosphate therapy
IT Antidiabetic agents
Antitumor agents
Cytotoxic agents
Drug delivery systems
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)
IT Hormones, animal, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)
IT Phosphatidylglycerols

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT Signal transduction, biological
(hormone-like, induction of; protein phosphorylating activity of cyclic glycerophosphates useful for treatment of malignancies and disorders involving hormone-related signaling)

IT Cell differentiation
(inducers; cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT Antitumor agents
(leukemia; cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT Antitumor agents
(mammary gland; cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT Mammary gland
Mammary gland
(neoplasm, inhibitors; cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT Diabetes mellitus
(non-insulin-dependent; cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT Proliferation inhibition
(proliferation inhibitors; cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT Estrogen receptors
Insulin receptors
neu (receptor)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(protein phosphorylating activity of cyclic glycerophosphates useful for treatment of malignancies and disorders involving hormone-related signaling)

IT Phosphorylation, biological
(protein, increase of; protein phosphorylating activity of cyclic glycerophosphates useful for treatment of malignancies and disorders involving hormone-related signaling)

IT **298701-05-0P**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT **711-07-9P 13507-10-3P 22227-09-4P**
118897-32-8P 123406-35-9P 286020-33-5P
298701-06-1P 298701-08-3P 298701-09-4P
298701-78-7P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT 9004-10-8, Insulin, biological studies 12629-01-5, Human growth hormone
62229-50-9, Epidermal growth factor
RL: BSU (Biological study, unclassified); BIOL (Biological study)

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

(cyclic glycerophosphates for tr atment of malignancies and disorders involving hormone-related signaling)

IT 9001-86-9, Phospholipase C
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

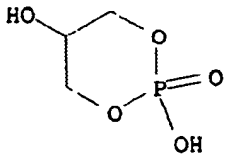
IT 57-55-6, 1,2-Propanediol, reactions 96-26-4, Dihydroxyacetone 504-63-2, 1,3-Propanediol 770-12-7, Phenyl phosphorodichloridate 819-83-0, Disodium .beta.-glycerophosphate 4799-67-1 14690-00-7, 2-Benzyloxy-1,3-propanediol 22002-87-5 26776-70-5, Dihydroxyacetone dimer
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT **187976-16-5P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

IT 9013-05-2, Phosphatase 9025-82-5, Phosphodiesterase 9026-43-1, Protein kinase 106283-10-7, Inositol 1,4,5-trisphosphate kinase 137632-08-7, ERK 2 kinase 139691-76-2, Raf-1 kinase 142805-58-1, MAPK kinase 155215-87-5, JNK kinase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(protein phosphorylating activity of cyclic glycerophosphates useful for treatment of malignancies and disorders involving hormone-related signaling)

IT **298701-05-0P**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

RN 298701-05-0 HCAPLUS
CN 1,3,2-Dioxaphosphorinan-5-ol, 2-hydroxy-, 2-oxide, barium salt (9CI) (CA INDEX NAME)



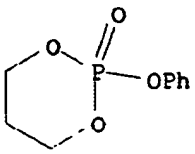
●x Ba

IT **711-07-9P 13507-10-3P 22227-09-4P 118897-32-8P 123406-35-9P 286020-33-5P 298701-06-1P 298701-08-3P 298701-09-4P 298701-78-7P**
RL: BAC (Biological activity or effector, except adv rse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); **SPN**

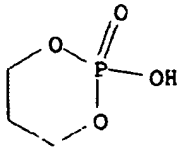
KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)

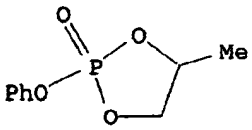
RN 711-07-9 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



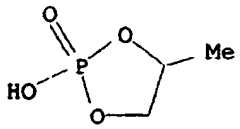
RN 13507-10-3 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 22227-09-4 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-methyl-2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 118897-32-8 HCAPLUS
CN 1,3,2-Dioxaphospholane, 2-hydroxy-4-methyl-, 2-oxide, barium salt (9CI) (CA INDEX NAME)

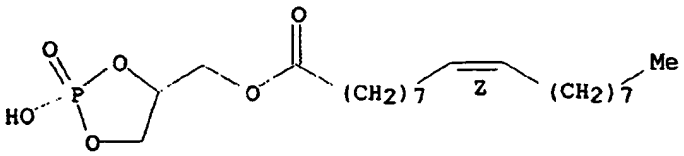


●1/2 Ba

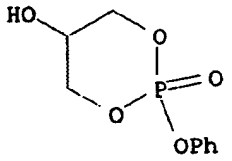
RN 123406-35-9 HCAPLUS
CN 9-Octadecenoic acid (9Z)-, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester (9CI) (CA INDEX NAME)

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

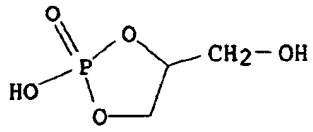
Double bond geometry as shown.



RN 286020-33-5 HCAPLUS
CN 1,3,2-Dioxaphosphorinan-5-ol, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)

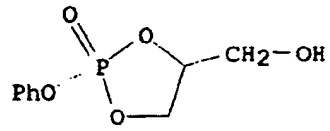


RN 298701-06-1 HCAPLUS
CN 1,3,2-Dioxaphospholane-4-methanol, 2-hydroxy-, 2-oxide, barium salt (9CI) (CA INDEX NAME)

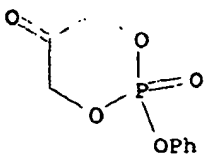


●x Ba

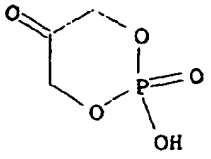
RN 298701-08-3 HCAPLUS
CN 1,3,2-Dioxaphospholane-4-methanol, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 298701-09-4 HCAPLUS
CN 1,3,2-Dioxaphosphorinan-5-one, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)

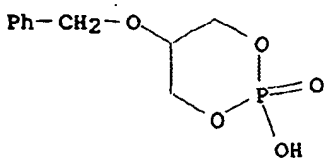


RN 298701-78-7 HCAPLUS
CN 1,3,2-Dioxaphosphorinan-5-one, 2-hydroxy-, 2-oxide, barium salt (9CI) (CA INDEX NAME)



●1/2 Ba

IT **187976-16-5P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(cyclic glycerophosphates for treatment of malignancies and disorders involving hormone-related signaling)
RN 187976-16-5 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-5-(phenylmethoxy)-, 2-oxide (9CI) (CA INDEX NAME)



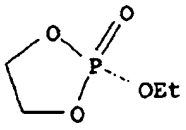
L24 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:672262 HCAPLUS
DN 134:183352
TI New biodegradable polymer for drug delivery system poly(D,L-lactide-co-ethyl ethylene phosphate)
AU Wen, J.; Kim, G. J. A.; Mao, H. Q.; Zhuo, R. X.; Leong, K. W.
CS Department of Biomedical Engineering, School of Medicine, Johns Hopkins University, Baltimore, MD, 21205, USA
SO Proceedings of the International Symposium on Controlled Release of Bioactive Materials (2000), 27th, 664-665
CODEN: PCRMEY; ISSN: 1022-0178
PB Controlled Release Society, Inc.
DT Journal
LA English
CC 63-5 (Pharmaceuticals)

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

Section cross-reference(s): 35
AB A copolym r of lactide and Et ethylene phosphate was prepd. and had higher degrdn. rate, linear degrdn profile, and soly. in nonchlorinated solvents. The polymer was used to microencapsulated idoxuridine.
ST lactide Et ethylene phosphate polymer drug delivery
IT Polymer degradation
(biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
IT Polymers, biological studies
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(biodegradable; biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
IT Drug delivery systems
(microcapsules; biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
IT Encapsulation
(microencapsulation; biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
IT Polyesters, biological studies
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phosphorus-contg.; biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
IT 54-42-2, Idoxuridine
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
IT **326604-67-5P**
RL: PRP (Properties); RCT (Reactant); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Li, S; Polymer 1998, V39, P5421 HCAPLUS
(2) Mao, H; Encyclopedia of Controlled Drug Delivery 1999
(3) Troev, K; J Polym Sci Polym Chem Ed 1996, V34, P621 HCAPLUS
(4) Wen, J; Polym Int 1998, V47, P503 HCAPLUS
IT **326604-67-5P**
RL: PRP (Properties); RCT (Reactant); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(biodegradable polymer for drug delivery system poly(lactide-co-Et ethylene phosphate))
RN 326604-67-5 HCAPLUS
CN 1,4-Dioxane-2,5-dione, 3,6-dimethyl-, polymer with 2-ethoxy-1,3,2-dioxaphospholane 2-oxide (9CI) (CA INDEX NAME)

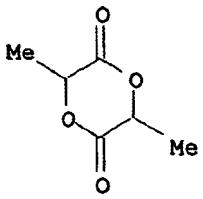
CM 1

CRN 823-31-4
CMF C4 H9 O4 P



CM 2

CRN 95-96-5
CMF C6 H8 O4



L24 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:209680 HCAPLUS
DN 132:256044
TI Ocular lens comprising urethane bond-containing polysiloxane macromer
IN Watanabe, Tsuyoshi; Baba, Masaki
PA Menicon Co., Ltd., Japan
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C08F008-44
ICS C08F008-40; C08F008-34; G02B001-04
CC 63-7 (Pharmaceuticals)
Section cross-reference(s): 35, 38
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 989138	A2	20000329	EP 1999-118558	19990920
	EP 989138	A3	20001025		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6346594	B1	20020212	US 1999-397674	19990916
	JP 2000162556	A2	20000616	JP 1999-263631	19990917
PRAI	JP 1998-266561	A	19980921		

AB An ocular lens material comprise a silicone compd. having a zwitterionic quaternary ammonium group. The ocular lens material shows excellent transparency, oxygen permeability, deposit resistance and wettability to tears at the same time. Polysiloxane- polyacrylates were prepd. and grafted with sulfopropylammonium betaine to obtain ocular lenses. Phys. properties of the lenses were studied.

ST ocular lens urethane polysiloxane
IT Polyurethanes, biological studies
Polyurethanes, biological studies
RL: DEV (Device component use); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acrylic-polysiloxane-; ocular lens comprising urethan bond-contg. polysiloxane macromer)

IT Polysiloxanes, biological studies
Polysiloxanes, biological studies
RL: DEV (Device component use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acrylic-polyurethane-; ocular lens comprising urethane bond-contg. polysiloxane macromer)

IT Eyeglass lenses
(ocular lens comprising urethane bond-contg. polysiloxane macromer)

IT 262369-62-0P
RL: DEV (Device component use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(ocular lens comprising urethane bond-contg. polysiloxane macromer)

IT 6609-64-9DP, reaction products with acrylic siloxanes 262369-63-1P 262369-64-2P 262369-65-3P 262369-66-4P 262369-67-5DP, reaction products with chlorodioxaphospholane 262369-67-5P **262369-68-6DP**, reaction products with acrylic siloxanes **262369-69-7P** 262370-62-7P
RL: DEV (Device component use); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(ocular lens comprising urethane bond-contg. polysiloxane macromer)

IT 6609-64-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(ocular lens comprising urethane bond-contg. polysiloxane macromer)

IT **2196-04-5P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(ocular lens comprising urethane bond-contg. polysiloxane macromer)

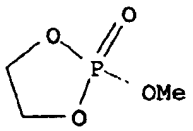
IT **262369-68-6DP**, reaction products with acrylic siloxanes **262369-69-7P**
RL: DEV (Device component use); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(ocular lens comprising urethane bond-contg. polysiloxane macromer)

RN 262369-68-6 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, 1,2-ethanediyl ester, polymer with 2-(dimethylamino)ethyl 2-methyl-2-propenoate, .alpha.-[1,1-dimethyl-9-oxo-11-[1,3,3-trimethyl-5-[[[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethoxy]carbonyl]amino]cyclohexyl]-5,8-dioxa-10-aza-1-silaundec-1-yl]-.omega.-[[1,1-dimethyl-9-oxo-11-[1,3,3-trimethyl-5-[[[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethoxy]carbonyl]amino]cyclohexyl]-5,8-dioxa-10-aza-1-silaundec-1-yl]oxy]poly[oxy(dimethylsilylene)], 2-hydroxyethyl 2-methyl-2-propenoate and 3-[3,3,3-trimethyl-1,1-bis[(trimethylsilyl)oxy]disiloxanyl]propyl 2-methyl-2-propenoate, compd. with 2-methoxy-1,3,2-dioxaphospholane 2-oxide (9CI) (CA INDEX NAME)

CM 1

CRN 2196-04-5
CMF C3 H7 O4 P



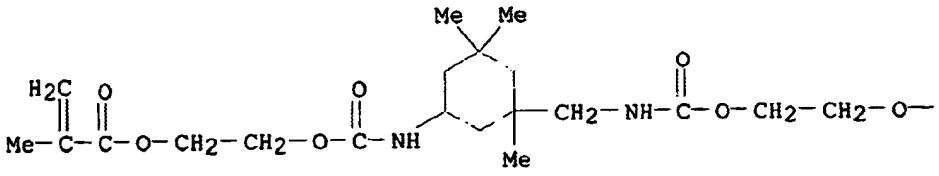
CM 2

CRN 262369-63-1
CMF (C16 H38 O5 Si4 . C10 H14 O4 . C8 H15 N O2 . C6 H10 O3 . (C2 H6 O Si)n C50 H90 N4 O15 Si2)x
CCI PMS

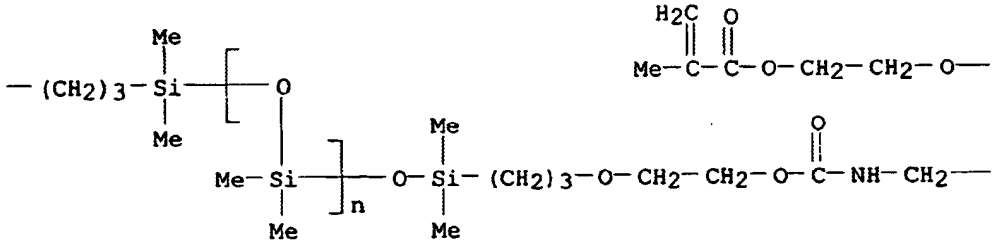
CM 3

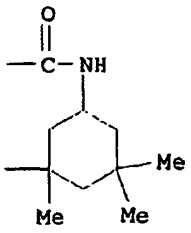
CRN 262369-61-9
CMF (C2 H6 O Si)n C50 H90 N4 O15 Si2
CCI PMS

PAGE 1-A



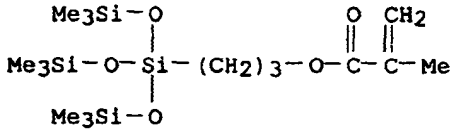
PAGE 1-B





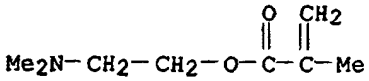
CM 4

CRN 17096-07-0
CMF C16 H38 O5 Si4



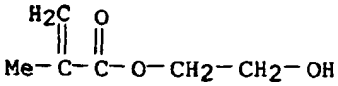
CM 5

CRN 2867-47-2
CMF C8 H15 N O2



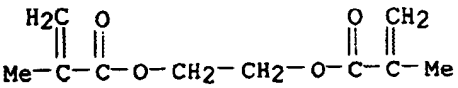
CM 6

CRN 868-77-9
CMF C6 H10 O3



CM 7

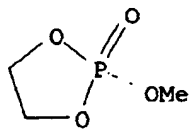
CRN 97-90-5
CMF C10 H14 O4



RN 262369-69-7 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, 1,2-ethanediyl ester, polymer with 2-(dimethylamino)ethyl 2-methyl-2-propenoate, .alpha.-[1,1-dimethyl-9-oxo-11-[1,3,3-trimethyl-5-[[[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethoxy]carbonyl]amino]cyclohexyl]-5,8-dioxa-10-aza-1-silaundec-1-yl]-.omega.-[[1,1-dimethyl-9-oxo-11-[1,3,3-trimethyl-5-[[[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethoxy]carbonyl]amino]cyclohexyl]-5,8-dioxa-10-aza-1-silaundec-1-yl]oxy]poly[oxy(dimethylsilylene)], N,N-dimethyl-2-propenamide and 3-[3,3,3-trimethyl-1,1-bis[(trimethylsilyl)oxy]disiloxanyl]propyl 2-methyl-2-propenoate, compd. with 2-methoxy-1,3,2-dioxaphospholane 2-oxide (9CI) (CA INDEX NAME)

CM 1

CRN 2196-04-5
CMF C3 H7 O4 P



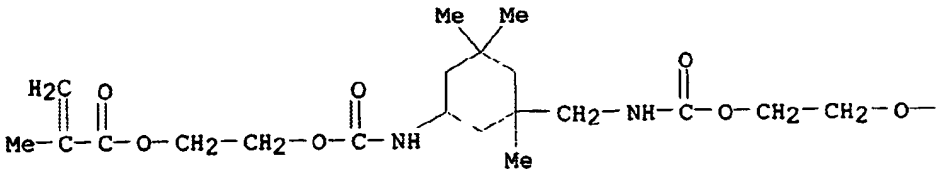
CM 2

CRN 262369-62-0
CMF (C16 H38 O5 Si4 . C10 H14 O4 . C8 H15 N O2 . C5 H9 N O . (C2 H6 O Si)n C50 H90 N4 O15 Si2)x
CCI PMS

CM 3

CRN 262369-61-9
CMF (C2 H6 O Si)n C50 H90 N4 O15 Si2
CCI PMS

PAGE 1-A



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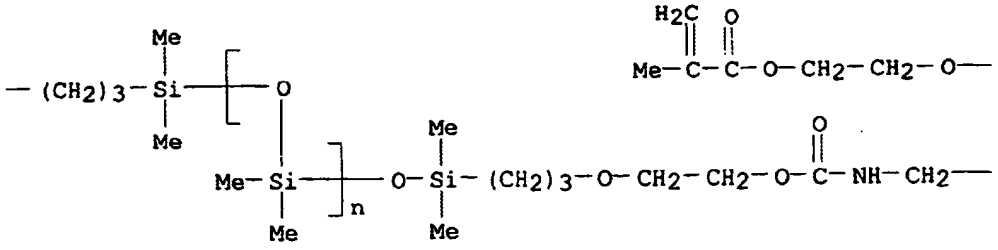

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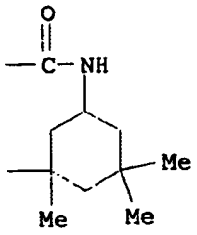
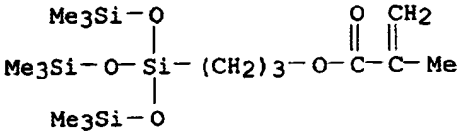


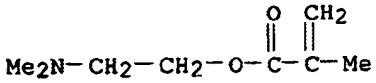
CM 4

CRN 17096-07-0
CMF C16 H38 O5 Si4



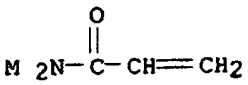
CM 5

CRN 2867-47-2
CMF C8 H15 N O2



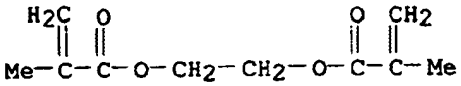
CM 6

CRN 2680-03-7
CMF C5 H9 N O

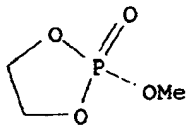


CM 7

CRN 97-90-5
CMF C10 H14 O4



IT **2196-04-5P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(ocular lens comprising urethane bond-contg. polysiloxane macromer)
RN 2196-04-5 HCAPLUS
CN 1,3,2-Dioxaphospholane, 2-methoxy-, 2-oxide (9CI) (CA INDEX NAME)



L24 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:133529 HCAPLUS
DN 132:175856
TI Methods using a lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells
IN Chun, Jerold J. M.; Weiner, Joshua A.; Wickens, Philip L.; Begleiter, Leath E.
PA The Regents of the University of California, USA; Allelix Biopharmaceuticals Inc.
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-665
ICS A61K031-661; C12N005-08; A61P025-28
CC 1-11 (Pharmacology)
Section cross-reference(s): 29
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000009139	A2	20000224	WO 1999-US18069	19990810
	WO 2000009139	A3	20000518		

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	US 6150345	A	20001121	US 1998-153464	19980915
	AU 9954735	A1	20000306	AU 1999-54735	19990810
PRAI	US 1998-96008P	P	19980810		
	US 1998-96924P	P	19980818		
	US 1998-153464	A	19980915		
	WO 1999-US18069	W	19990810		

AB The invention is in the field of neurobiol., and relates particularly to methods useful for enhancing the survival of myelin producing cells, in particular Schwann cells and oligodendrocytes, and thereby to treating diseases of the nervous system involving loss of myelination or aberrant myelination. The methodol. of the invention uses a survival-promoting amt. of an lysophosphatidic acid (LPA) receptor agonist, e.g. LPA.

ST myelin cell survival lysophosphidate receptor agonist; Schwann cell survival lysophosphidate receptor agonist; oligodendrocyte survival lysophosphidate receptor agonist; myelination disease lysophosphidate receptor agonist; nervous system disease lysophosphidate receptor agonist

IT G proteins (guanine nucleotide-binding proteins)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(Gi (adenylate cyclase-inhibiting); lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(LPA1/VZG-1/edg-2; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Nerve, disease
(demyelination; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Animal tissue culture
Apoptosis
Myelination
Nervous system agents
Oligodendrocyte
Schwann cell
Signal transduction, biological
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Lysophosphatidic acids
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Myelin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Gene, animal
RL: BPR (Biological process); BSU (Biological study, unclassifi d); BIOL

(Biological study); PROC (Process)
(lysophosphatidic acid receptor; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(lysophosphatidic acid; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Heregulins
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(neuregulin .beta.; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Phosphorylation, biological
(protein; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Lysophosphatidic acids
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(receptors; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(sphingosine 1-phosphate; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT Multiple sclerosis
(therapeutic agents; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT 26993-30-6, Sphingosine 1-phosphate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT **169736-88-3P 259225-83-7P** 259225-84-8P 259225-85-9P 259225-86-0P 259225-87-1P 259231-37-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT 65528-98-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT 115926-52-8, Phosphoinositide 3-kinase 149147-12-6, Akt kinase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT 111-58-0P 18704-66-0P 83258-36-0P 259231-36-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

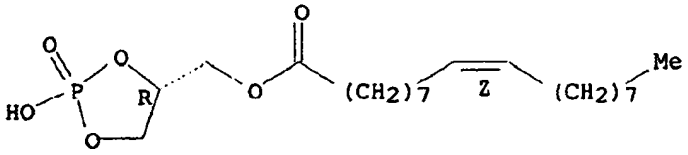
IT 87-66-1, Pyrogallol 112-16-3, Lauroyl chloride 112-77-6, Oleoyl chloride 141-43-5, reactions 156-87-6, 1-Propanol-3-amine 6286-43-7,

1,2,3-Cyclohexanetriol 7719-09-7, Thionyl chloride 7790-94-5, Chlorosulfuric acid 10025-87-3, Phosphorus oxychloride 25496-72-4, Monoolein 26402-26-6, Monocaprylin
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

IT **169736-88-3P 259225-83-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(lysophosphatidic acid receptor agonist for promoting survival of myelin-producing cells)

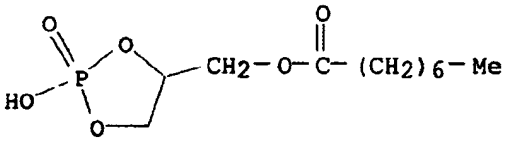
RN 169736-88-3 HCAPLUS
CN 9-Octadecenoic acid (9Z)-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 259225-83-7 HCAPLUS
CN Octanoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na

L24 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1999:576934 HCAPLUS
DN 131:185194
TI Preparation of cyclic nucleotides as FBPase inhibitor prodrugs
IN Erion, Mark D.; Reddy, K. Raja; Robinson, Edward D.
PA Metabasis Therapeutics, Inc., USA
SO PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DT Patent
LA English

IC ICM C07H019-00
CC 33-9 (Carbohydrates)
Section cross-reference(s): 7, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9945016	A2	19990910	WO 1999-US4908	19990305
	WO 9945016	A3	20000615		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU 9930699	A1	19990920	AU 1999-30699	19990305
	EP 1060182	A2	20001220	EP 1999-912300	19990305
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
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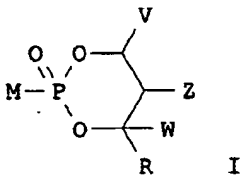
PRAI	US 1998-77164P	P	19980306		
	US 1998-77165P	P	19980306		
	WO 1999-US4908	W	19990305		

OS MARPAT 131:185194
GI



I

AB Prodrugs of phosphorus-contg. nucleotides I, wherein V is selected from the group consisting of H, aralkyl, alicyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, 1-alkenyl, 1-alkynyl, and -R9; or together V and Z are connected via 3-5 atoms to form a cyclic group, optionally contg. 1 heteroatom, substituted with hydroxy, acyloxy, alkoxycarbonyloxy, or aryloxycarbonyloxy attached to a carbon atom that is three atoms from an oxygen attached to the phosphorus; or together V and Z are connected via 3-5 atoms to form a cyclic group, optionally contg. 1 heteroatom, that is fused to an aryl group at the beta and gamma position to the oxygen attached to the phosphorus. Together V and W are connected via 3 carbon atoms to form an optionally substituted cyclic group contg. 6 carbon atoms and substituted with one substituent selected from the group consisting of hydroxy, acyloxy, alkoxycarbonyloxy, alkylthiocarbonyloxy, and aryloxycarbonyloxy, attached to a carbon atom that is three atoms from an oxygen attached to the phosphorus; W and R are independently selected from the group consisting of H, alkyl, aralkyl, alicyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, 1-alkenyl, 1-alkynyl, and -R9. Z is selected from the group consisting of -CHR2OH, -CHR2OC(O)R3, -CHR2OC(S)R3, -CHR2OC(S)OR3, -CHR2OC(O)SR3, -CHR2OCO2R3,

-OR2, -SR2, -CHR2N3, -CH2aryl, -CH(aryl)OH, -CH(CH=CR22)OH, -CH(C.tplbond.CR2)OH, -R2, -NR22, -OCOR3, -OCO2R3, -SCOR3, -SCO2R3, -NHCOR2, -NHCO2R3, -CH2NHaryl, (CH2)p-OR2, and (CH2)p-SR2; -R2 is an R3 or -H; R3 is selected from the group consisting of alkyl, aryl, aralkyl, and alicyclic; and R9 is selected from the group consisting of alkyl, aralkyl, and alicyclic; p is an integer from 2 to 3. With the proviso that (a) V, Z, W, and R are not all -H; and (b) when Z is -R2, then at least one of V and W is not -H, or -R9; and M is selected from the group that attached to PO32-, P2O63-, or P3O94- is biol. active in vivo, and that is attached to the phosphorus in I via a carbon, oxygen, or nitrogen atom; and pharmaceutically acceptable prodrugs and salts thereof. Thus, cyclic nucleotide I (M = adenine-9-.beta.-D-arabinofuranos-5'-yl; V = 4-pyridyl; Z = W = R = H) was prepd. and tested as prodrug human liver FBPase inhibitor (EC50 < 10 .mu.M).

ST drug delivery system nucleotide prepn enzyme inhibitor; cyclic nucleotide prepn enzyme FBPase inhibitor prodrug

IT Drug delivery systems
(prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT Nucleotides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT Drug delivery systems
(prodrugs; prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT 180255-38-3
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(human liver; prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT 59354-01-7P 85665-04-9P 213125-14-5P 213198-14-2P 213198-79-9P
213198-81-3P 213198-98-2P 213199-00-9P 213199-07-6P 213199-10-1P
213199-25-8P 213199-26-9P 213199-28-1P 213199-30-5P 213199-40-7P
213199-58-7P 213199-70-3P 213199-82-7P 213200-07-8P 213200-50-1P
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213201-47-9P 213201-48-0P 213201-49-1P 213201-50-4P 213201-51-5P
213201-52-6P 213201-53-7P 213201-54-8P 213201-55-9P 213247-20-2P
213247-37-1P 213247-77-9P 213248-32-9P 240434-10-0P 240434-12-2P
240434-22-4P 240434-26-8P 240434-27-9P 240434-28-0P 240434-29-1P
240434-30-4P 240434-31-5P 240434-32-6P 240434-33-7P 240434-45-1P
240434-46-2P 240434-47-3P 240434-49-5P 240434-50-8P 240434-51-9P
240434-52-0P **240434-53-1P** **240434-54-2P**
240434-55-3P **240434-56-4P** **240434-57-5P**
240434-58-6P **240434-59-7P** **240434-60-0P**
240487-26-7P **240487-27-8P** **240487-28-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **IMF (Industrial manufacture)**; **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT 9001-40-5, Glucose-6-phosphate dehydrogenase 9001-78-9 9016-18-6, Carboxyesterase
RL: CAT (Catalyst use); USES (Uses)
(prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT 78-77-3, Isobutyl bromide 110-60-1, 1,4-Butanediamine 110-70-3, N,N'-Dimethylethylene diamine 498-60-2, 3-Furfuraldehyde 814-49-3, Diethylchlorophosphate 1826-67-1, Vinylmagnesium bromide 2627-69-2 2859-68-9, 2-Pyridine propanol 4704-94-3 4799-68-2 5413-85-4,

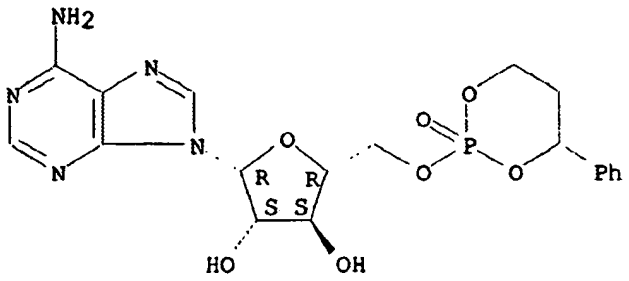
5-Amino-4,6-dichloropyrimidin 5813-64-9, Neopentylamine 14215-97-5
41368-63-2 50409-12-6 65641-62-5 106941-25-7 213124-94-8
213248-53-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT 19790-60-4P 23274-21-7P 33235-31-3P 33300-35-5P 100391-74-0P
104208-14-2P 119901-99-4P 131245-85-7P 213124-95-9P 213201-43-5P
213201-45-7P 213201-61-7P 213201-62-8P 213248-30-7P 213248-31-8P
213248-46-5P 213248-47-6P 213248-52-3P 240434-21-3P 240434-23-5P
240434-24-6P 240434-25-7P 240434-36-0P 240434-38-2P 240434-41-7P
240434-43-9P 240434-48-4P 240434-61-1P 240487-25-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

IT **240434-53-1P 240434-54-2P 240434-55-3P**
240434-56-4P 240434-57-5P 240434-58-6P
240434-59-7P 240434-60-0P 240487-27-8P
240487-28-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **IMF (Industrial manufacture)**; **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of cyclic nucleotides as FBPase inhibitor prodrugs)

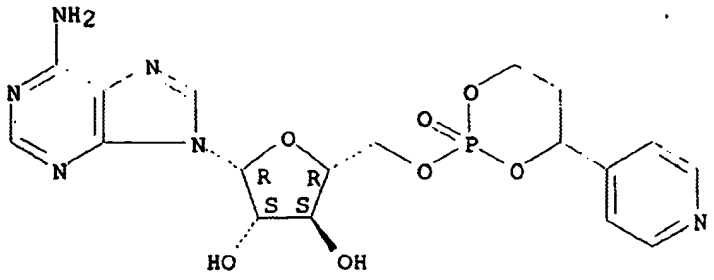
RN 240434-53-1 HCAPLUS
CN 9H-Purin-6-amine, 9-[5-O-(2-oxido-4-phenyl-1,3,2-dioxaphosphorinan-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



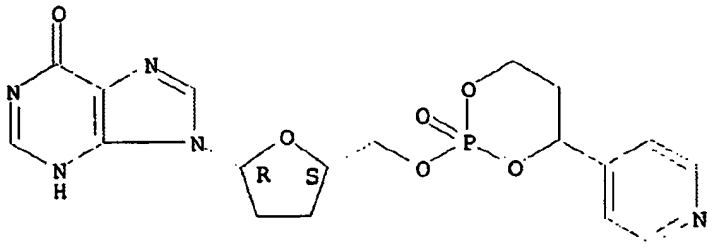
RN 240434-54-2 HCAPLUS
CN 9H-Purin-6-amine, 9-[5-O-[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



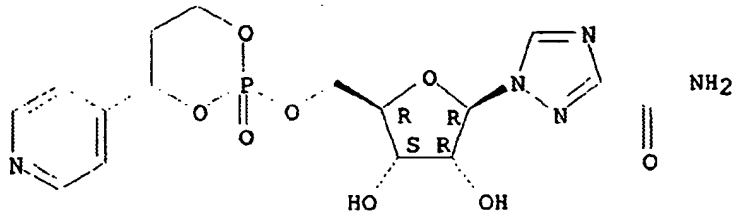
RN 240434-55-3 HCAPLUS
CN 6H-Purin-6-one, 1,9-dihydro-9-[(2R,5S)-tetrahydro-5-[[[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]oxy]methyl]-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



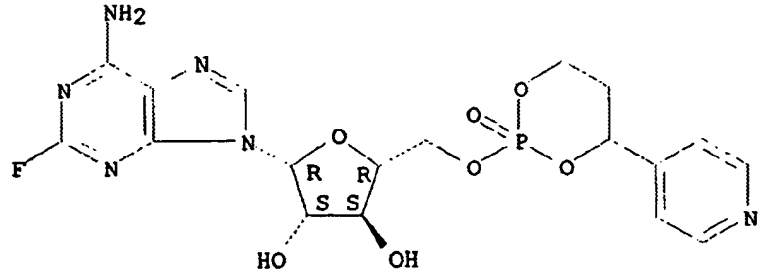
RN 240434-56-4 HCAPLUS
CN 1H-1,2,4-Triazole-3-carboxamide, 1-[5-O-[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-.beta.-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



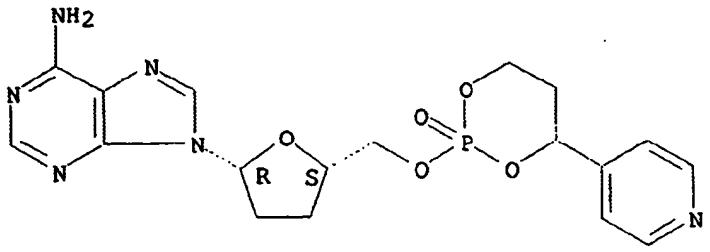
RN 240434-57-5 HCAPLUS
CN 9H-Purin-6-amine, 2-fluoro-9-[5-O-[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



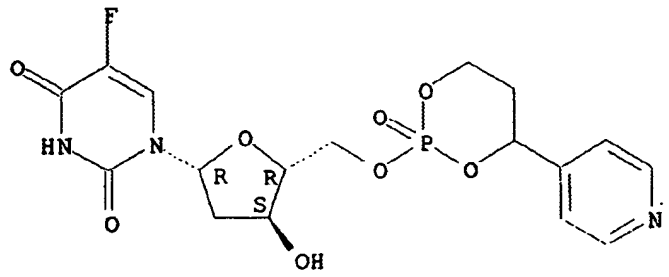
RN 240434-58-6 HCAPLUS
CN 9H-Purin-6-amine, 9-[(2R,5S)-tetrahydro-5-[[[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]oxy]methyl]-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

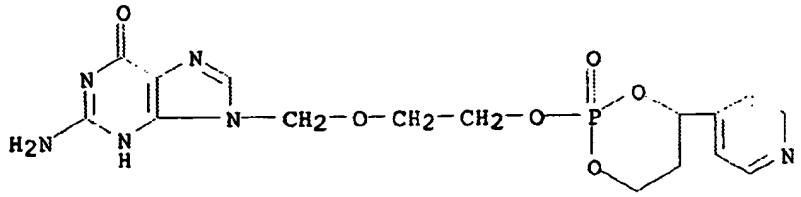


RN 240434-59-7 HCAPLUS
CN Uridine, 2'-deoxy-5-fluoro-5'-O-[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

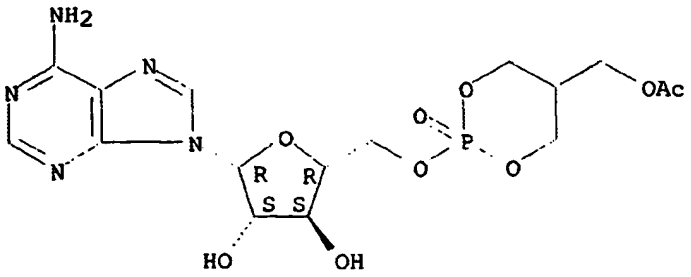


RN 240434-60-0 HCAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[[2-[[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)



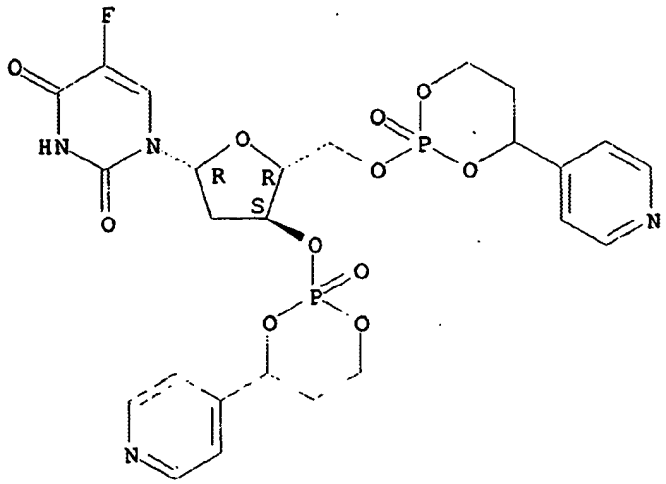
RN 240487-27-8 HCAPLUS
CN 9H-Purin-6-amine, 9-[5-O-[5-[(acetyloxy)methyl]-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240487-28-9 HCAPLUS
CN Uridine, 2'-deoxy-5-fluoro-3',5'-bis-O-[2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:169488 HCAPLUS
DN 128:257656
TI Preparation of amphiphilic glycerols or ethyleneglycols as phosphatidylcholine synthesis inhibitors and antitumors
IN Attard, George Simon; McGuigan, Christopher; Riley, Patrick Anthony
PA University of Southampton, UK; Attard, George Simon; McGuigan, Christopher; Riley, Patrick Anthony
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61L031-00
CC 33-6 (Carbohydrates)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

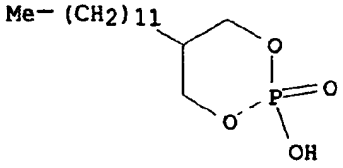
PI WO 9809668 A2 19980312 WO 1997-GB2410 19970908
WO 9809668 A3 19980625
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9741285 A1 19980326 AU 1997-41285 19970908
PRAI GB 1996-18634 19960906
WO 1997-GB2410 19970908
OS MARPAT 128:257656
AB Use of an amphiphilic compd. in the manuf. of a medicament for the inhibition of phosphatidylcholine synthesis, said amphiphilic compd. have the following properties: (i) the compd. comprises a non-ionic, cationic or anionic hydrophilic head group and a hydrophobic tail group; (ii) the head group has a cross section A and the tail group has a cross section B such that the ratio B:A is less than 0.7:1;(iii) the tail group comprises a straight hydrocarbon chain having from 8 to 18 carbon atoms; and i.v. the amphiphilic compd. has a membrane/water partition coeff. of more than 1 x 10-3. Thus,1-O-(5',5'-dimethyl-1',3'-dioxa-2'-phosphacyclohexane-2'-oxide)-2-O-methyl-3-O-hexadecyl-rac-glycerol was prepd. and tested for its antitumor and hemolytic activity (HC50 = 0.044-0.178).
ST hemolytic activity phosphatidylcholine inhibitor antitumor; ethyleneglycol amphiphilic prepn phosphatidylcholine inhibitor antitumor; amphiphilic glycerol prepn phosphatidylcholine inhibitor antitumor
IT Antitumor agents
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)
IT Phosphatidylcholines, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)
IT Amphiphiles
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)
IT Glycols, preparation
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)
IT 57-09-0P 1119-97-7P 3055-98-9P 5698-39-5P 13149-87-6P
15590-96-2P 24233-81-6P 27847-86-5P 29908-17-6P **194147-98-3P**
204924-40-3P 204924-42-5P 204924-43-6P
204924-44-7P 204924-45-8P 204924-47-0P **204924-48-1P**
204924-50-5P **204924-52-7P** 204924-53-8P 204924-56-1P
204924-58-3P 204924-59-4P 204924-60-7P 204924-61-8P 204924-62-9P
204924-79-8P 205132-42-9P, Miltefosine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)
IT 100-79-8, Solketal 143-15-7, 1-Bromododecane 626-67-5, N-Methyl

piperidine
RL: RCT (Reactant); RACT (Reactant or r agent)
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)

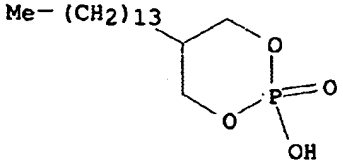
IT 112-82-3P, 1-Bromohexadecane 140-72-7P 6145-69-3P 7252-87-1P 10395-09-2P 14847-87-1P 36324-72-8P 41672-91-7P 71221-96-0P 82002-20-8P 84337-41-7P 162758-12-5P 162870-36-2P 194147-97-2P 204924-74-3P 204924-77-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)

IT **194147-98-3P 204924-40-3P 204924-42-5P 204924-43-6P 204924-48-1P 204924-52-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of amphiphilic glycerols or ethyleneglycols as phosphatidylcholines synthesis inhibitors and antitumors)

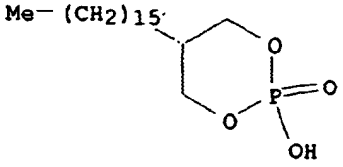
RN 194147-98-3 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 5-dodecyl-2-hydroxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 204924-40-3 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-5-tetradecyl-, 2-oxide (9CI) (CA INDEX NAME)

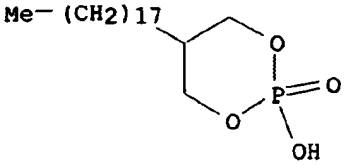


RN 204924-42-5 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 5-hexadecyl-2-hydroxy-, 2-oxide (9CI) (CA INDEX NAME)

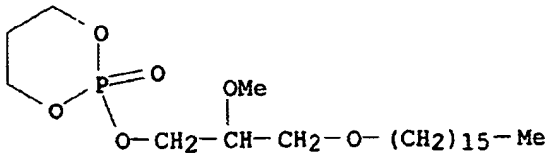


RN 204924-43-6 HCAPLUS

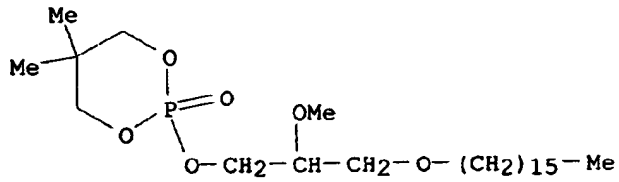
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-5-octadecyl-, 2-oxide (9CI) (CA INDEX NAME)



RN 204924-48-1 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-[3-(hexadecyloxy)-2-methoxypropoxy]-, 2-oxide (9CI) (CA INDEX NAME)



RN 204924-52-7 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-[3-(hexadecyloxy)-2-methoxypropoxy]-5,5-dimethyl-, 2-oxide (9CI) (CA INDEX NAME)

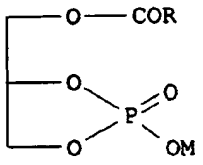


L24 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:237764 HCAPLUS
DN 126:220705
TI Tumor metastasis inhibitors containing 1-O-acylglycerol-2,3-phosphates
IN Kobayashi, Susumu; Matsumoto, Myoko; Onimura, Kenjiro; Aketo, Hitoshi; Aragai, Kyoko; Mukai, Michiko
PA Sagami Chem Res, Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM A61K031-665
ICS C07F009-10; C07F009-6574
CC 1-6 (Pharmacology)
Section cross-reference(s): 33
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 09025235	A2	19970128	JP 1995-177170	19950713
PRAI JP 1995-177170		19950713		

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

OS MARPAT 126:220705
GI



I

AB The metastasis inhibitors contain the title compds. I (R = C2-30 linear or branched alkyl, alkenyl, alkynyl which may contain cycloalkane ring; M = H, counter cation) as active ingredients. I (COR = palmitoyl, M = Na) (prepn. given) at 25 .mu.M showed >99% inhibition against 1-O-oleoyllysophosphatidic acid-induced infiltration of rat ascites hepatoma cell (MM1) into a cultured monolayer of peritoneal mesothelial cells, vs. 96% at 12.5 .mu.M for PHYLPA.

ST acylglycerol phosphate prepn metastasis inhibitor; tumor metastasis inhibitor acylglycerol phosphate; glycerophospholipid prepn tumor metastasis inhibitor

IT Antitumor agents
(metastasis; prepn. of 1-O-acylglycerol-2,3-phosphates as tumor metastasis inhibitors)

IT **168217-09-2P 168217-10-5P 169736-88-3P**
188171-56-4P 188171-60-0P 188171-62-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of 1-O-acylglycerol-2,3-phosphates as tumor metastasis inhibitors)

IT 57-10-3, Palmitic acid, reactions 112-80-1, 9-Octadecenoic acid (Z)-, reactions 373-49-9 506-30-9, Eicosanoic acid 10030-73-6 14347-83-2 89155-39-5, 9-Hexadecynoic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1-O-acylglycerol-2,3-phosphates as tumor metastasis inhibitors)

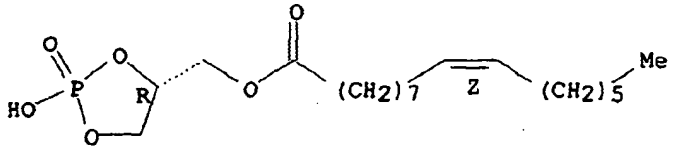
IT 14347-78-5P 125226-51-9P 129784-87-8P 150447-02-2P 188171-53-1P 188171-54-2P 188171-55-3P 188171-57-5P 188171-58-6P 188171-59-7P 188171-61-1P 188182-87-8P 188182-88-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-O-acylglycerol-2,3-phosphates as tumor metastasis inhibitors)

IT **168217-09-2P 168217-10-5P 169736-88-3P**
188171-56-4P 188171-60-0P 188171-62-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of 1-O-acylglycerol-2,3-phosphates as tumor metastasis inhibitors)

RN 168217-09-2 HCAPLUS
CN 9-H xadec noic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-

yl]methyl ester, sodium salt, (9Z)- (9CI) (CA INDEX NAME)

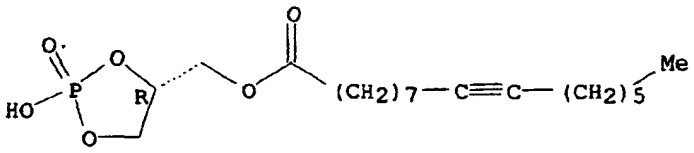
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 168217-10-5 HCAPLUS
CN 9-Hexadecynoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

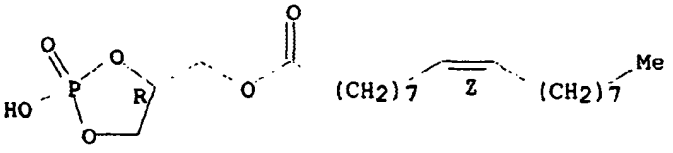
Absolute stereochemistry.



● Na

RN 169736-88-3 HCAPLUS
CN 9-Octadecenoic acid (9Z)-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

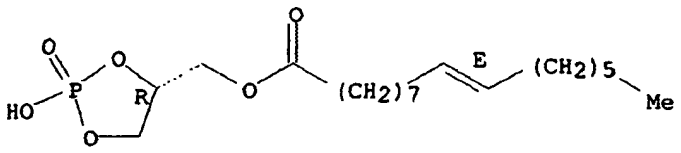
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 188171-56-4 HCAPLUS
CN 9-Hexadecenoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (9E)- (9CI) (CA INDEX NAME)

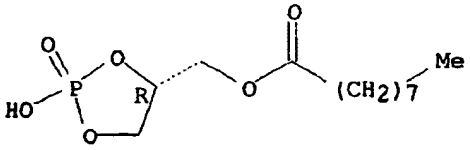
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 188171-60-0 HCAPLUS
CN Nonanoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt, (R)- (9CI) (CA INDEX NAME)

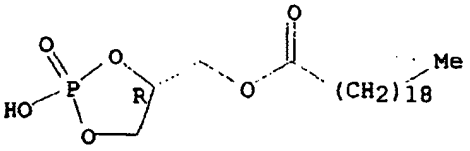
Absolute stereochemistry.



● Na

RN 188171-62-2 HCAPLUS
CN Eicosanoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



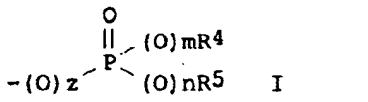
● Na

L24 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:224038 HCAPLUS
DN 126:212447
TI Phosphorous-containing dipeptide inhibitors of cysteine and serine protease
IN Mallamo, John P.; Bihovsky, Ron; Tao, Ming; Wells, Gregory J.
PA Cephalon, Inc., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent

LA English
IC ICM A61K031-66
ICS A61K031-665; A61K031-675; C07F009-09; C07F009-32; C07F009-40; C07F009-53; C07F009-572; C07F009-6533; C07F009-6574
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9703679	A1	19970206	WO 1996-US11625	19960712
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5639732	A	19970617	US 1996-679342	19960710
	CA 2226414	AA	19970206	CA 1996-2226414	19960712
	AU 9664583	A1	19970218	AU 1996-64583	19960712
	EP 871454	A1	19981021	EP 1996-923756	19960712
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11509231	T2	19990817	JP 1996-506762	19960712
PRAI	US 1995-1491P	P	19950717		
	US 1996-679342	A	19960710		
	WO 1996-US11625	W	19960712		

OS MARPAT 126:212447
GI



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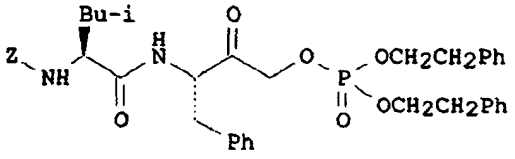


II

AB The present invention is directed to novel phosphorous-contg. inhibitors of cysteine or serine proteases of the formula X-W-Y-CH(R2)-CO-NH-CH(R1)-CO-[CH(R3)]t-Q wherein: X = e.g., C6-C14 aryl, heteroaryl with C6-C14 ring atoms, C1-C10 alkyl (un) substituted with one or more J groups, C1-C10 alkoxy; W = CO, SO2; Y = NH, (CH2)k where k = 0-3; R1 and R2 are independently, e.g., H, C1-C14 alkyl (un) substituted with one or more J groups, C3-C10 cycloalkyl (un) substituted with one or more J groups; R3 = e.g., H, lower alkyl, aryl, heteroaryl; t = 0 or 1; Q = I wherein m, n, and z are independently 0 or 1; R4 and R5 are independently, e.g., H, lower alkyl (un) substituted with J, heteroaryl (un) substituted with J, or taken together to form a 5-8 membered heterocyclic ring (un) substituted with J; J = e.g., halogen, alkyl, guanidino, alkoxy. Thus, e.g., substitution reaction of Z-Leu-Phe-CH2Br with

bis(phenethyl)phosphate afforded dipeptide deriv. II (Z = PhCH2O2C) in 62% yield which exhibited 99% inhibition of calpain I at 0.1 .mu.M. Methods for the use of the proteas inhibitors are also described.

ST dipeptide prepn inhibitor cysteine serine protease; peptide phosphonate cysteine serine protease inhibitor; phosphorous contg peptide serine protease inhibitor; cysteine protease inhibitor phosphorous contg peptide

IT Dipeptides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(phosphono analogs; prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

IT 78990-62-2, Calpain
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(I; prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

IT 187976-26-7P 187976-27-8P 187976-28-9P 187976-29-0P 187976-31-4P
187976-32-5P 187976-33-6P 187976-34-7P 187976-35-8P 187976-36-9P
187976-37-0P 187976-38-1P 187976-39-2P 187976-40-5P 187976-41-6P
187976-42-7P 187976-43-8P 187976-44-9P 187976-45-0P 187976-46-1P
187976-47-2P 187976-48-3P 187976-49-4P 187976-50-7P 187976-51-8P
187976-52-9P 187976-53-0P 187976-54-1P 187976-55-2P 187976-56-3P
187976-57-4P 187976-58-5P 187976-59-6P **188010-56-2P**
188013-51-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

IT 37259-58-8, Serine protease 37353-41-6, Cysteine protease
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

IT 60-12-8, Phenethyl alcohol 103-63-9, (2-Bromoethyl)benzene 107-66-4, Dibutyl phosphate 109-70-6, 1-Bromo-3-chloropropane 110-91-8, Morpholine, reactions 298-07-7, Bis(2-ethylhexyl) phosphate 644-97-3, Phenyl dichlorophosphine 677-24-7, Methyl dichlorophosphate 813-78-5, Dimethyl phosphate 868-85-9, Dimethyl phosphite 993-13-5, Methylphosphonic acid 1571-33-1, Phenylphosphonic acid 1623-08-1, Dibenzyl phosphate 1809-19-4, Dibutyl phosphite 2018-66-8, N-Benzyloxycarbonyl-leucine 3283-12-3, Dimethylphosphinic acid 3445-11-2, 1-(2-Hydroxyethyl)-2-pyrrolidinone 3647-69-6, N-(2-Chloroethyl)morpholine hydrochloride 4552-91-4 13826-35-2 14690-00-7, 2-Benzyloxy-1,3-propanediol 15948-60-4, Bis(4-chlorophenyl)phosphine oxide 20434-05-3 58521-45-2, N-tert-Butoxycarbonyl-leucinal 95322-86-4 110972-27-5, N,N-Diisopropylmethylphosphonamidic chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

IT 2227-43-2P 2511-09-3P, Ethyl phenylphosphinate 7357-67-7P 13317-44-7P, Ethyl phenylphosphinic acid 14561-21-8P, Bis(2-phenylethyl)phosphinic acid 18593-19-6P 19236-48-7P 19236-58-9P 19236-61-4P 20148-17-8P 24935-94-2P, Dipentylphosphinic acid 31735-80-5P 39063-70-2P 50972-25-3P 97785-51-8P 101523-04-0P 118252-76-9P 118930-87-3P 151091-71-3P 187975-99-1P

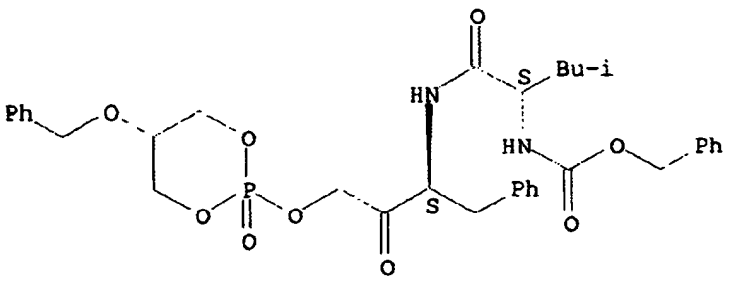
187976-01-8P 187976-03-0P 187976-05-2P 187976-07-4P 187976-12-1P
187976-14-3P **187976-16-5P** 187976-18-7P 187976-20-1P
187976-22-3P 187976-23-4P 187976-24-5P 187976-25-6P 187976-60-9P
187976-61-0P **187976-62-1P** 187976-63-2P 187976-64-3P
187976-65-4P 187976-66-5P 187976-67-6P 187976-68-7P 187976-69-8P
187976-70-1P 187976-71-2P 187976-72-3P 187976-73-4P 187976-74-5P
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

IT 57616-74-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

IT **188010-56-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

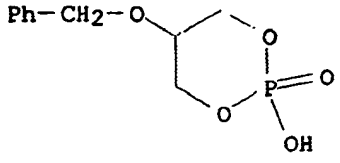
RN 188010-56-2 HCAPLUS
CN Carbamic acid, [3-methyl-1-[[[3-[[2-oxido-5-(phenylmethoxy)-1,3,2-dioxaphosphorinan-2-yl]oxy]-2-oxo-1-(phenylmethyl)propyl]amino]carbonyl]butyl]-, phenylmethyl ester, [2[S(S)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



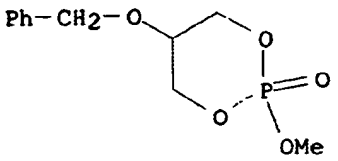
IT **187976-16-5P 187976-62-1P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. of phosphorous-contg. dipeptide inhibitors of cysteine and serine protease)

RN 187976-16-5 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-5-(phenylmethoxy)-, 2-oxide (9CI) (CA INDEX NAME)



RN 187976-62-1 HCAPLUS

CN 1,3,2-Dioxaphosphorinane, 2-methoxy-5-(phenylmethoxy)-, 2-oxide (9CI) (CA INDEX NAME)



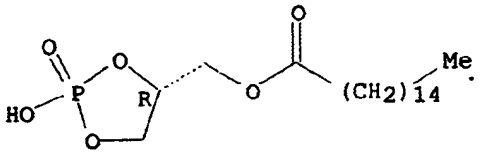
L24 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:672866 HCAPLUS
DN 125:339157
TI Preparation of lysophosphatidic acids for treating hyperproliferative conditions
IN Piazza, Gary A.; Mazur, Adam W.
PA The Procter & Gamble Company, USA
SO U.S., US14 pp., Cont. of U. S. Ser. No. 980,814, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-66
NCL 514110000
CC 63-8 (Pharmaceuticals)
Section cross-reference(s): 28, 62
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5565439	A	19961015	US 1994-334888	19941104
PRAI US 1992-980814		19921124		

OS MARPAT 125:339157
AB The invention involves a method for treating hyperproliferative conditions (no data) in mammalian epithelial cells, comprising administering a lysophosphatidic acid deriv. (prepn. given) RC(:X)XCH2CHZCH2YPO3H2 or its cyclic deriv. [Y = O or CH2; Z = H, XH or halo; X = O or S; R = (un)substituted (un)satd., straight or branched C11-23 alkyl]. 1-Oleoylglycerol-3-phosphate is an example. The compns. are usable for the treatment of skin cancer, psoriasis, dandruff, etc.
ST lysophosphatidic acid prepn skin hyperproliferative conditions
IT Skin, disease
(lysophosphatidic acids for treating skin hyperproliferative conditions)
IT Lysophosphatidic acids
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as agent for treating skin hyperproliferative conditions)
IT 1660-95-3P, Tetraisopropyl methylenediphosphonate 5736-03-8P 146491-07-8P 146491-08-9P 146491-10-3P 146508-57-8P 147628-64-6P 158271-50-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in prepn. of lysophosphatidic acid deriv. for treating skin hyperproliferative conditions)
IT **146565-97-1P**
RL: RCT (Reactant); **SPN (Synthetic preparation); PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. as agent for treating skin hyperproliferative conditions)

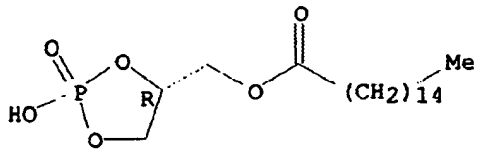
IT 65528-98-5P 146491-11-4P 158271-52-4P **168217-08-1P**
RL: **SPN (Synthetic preparation)**; **THU (Therapeutic use)**
; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. as agent for treating skin hyperproliferative conditions)
IT 1623-08-1, Dibenzyl phosphate 4161-56-2, 3-Bromo-2-fluoro-1-propanol 22323-82-6 24909-72-6, Oleic anhydride 32899-41-5 50651-75-7, Silver Dibenzyl phosphate 60134-06-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in prepn. of lysophosphatidic acid deriv. for treating skin hyperproliferative conditions)
IT **146565-97-1P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. as agent for treating skin hyperproliferative conditions)
RN 146565-97-1 HCAPLUS
CN Hexadecanoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **168217-08-1P**
RL: **SPN (Synthetic preparation)**; **THU (Therapeutic use)**
; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. as agent for treating skin hyperproliferative conditions)
RN 168217-08-1 HCAPLUS
CN Hexadecanoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



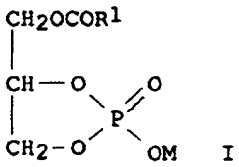
● Na

L24 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:1006821 HCAPLUS
DN 124:76506
TI Preparation of 1-O-acylglycerol-2,3-phosphates and DNA polymerase .alpha. inhibitors containing them
IN Kobayashi, Susumu; Imai, Nobuyuki; Onimura, Kenjiro; Shinagawa, Rumi; Nakamura, Shuko; Murofushi, Kimiko
PA Sagami Chem Res, Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF
DT Patent
LA Japanes
IC ICM C07F009-09
ICS A61K031-665
CC 1-6 (Pharmacology)
Section cross-reference(s): 7
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07258278	A2	19951009	JP 1994-72837	19940318
PRAI JP 1994-72837		19940318		

OS MARPAT 124:76506
GI



I

AB The title compds. I (R1 = C10-30 linear or branched alkenyl, alkynyl; M = H, counter cation) and DNA polymerase .alpha. inhibitors contg. I as active ingredients are claimed. The inhibitors are useful as antitumor agents. Activities of DNA polymerase .alpha. to produce DNA from deoxyribonucleotide triphosphate were 82 and 11% in the presence of I [COR1 = (Z)-hexadecenoyl, M = Na] (prepn. given) at 5 or 40 .mu.g/mL, resp.

ST DNA polymerase inhibitor acylglycerol phosphate; neoplasm inhibitor acylglycerol phosphate

IT Neoplasm inhibitors
(DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)

IT **172360-60-0P 172489-74-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)

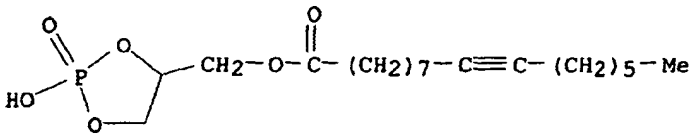
IT 373-49-9, (Z)-9-Hexadecenoic acid 89155-39-5, 9-Hexadecynoic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-acylation of isopropylideneglycerol; DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)

IT 100-79-8, 2,3-O-Isopropylideneglycerol
RL: RCT (Reactant); RACT (Reactant or reagent)
(O-acylation of; DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)

IT 37515-61-0P 172360-57-5P 172360-58-6P 172360-59-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(deprotection of; DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)

IT 288-88-0, 1H-1,2,4-Triazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with POCl.beta.; DNA polymerase .alpha. inhibitors contg.

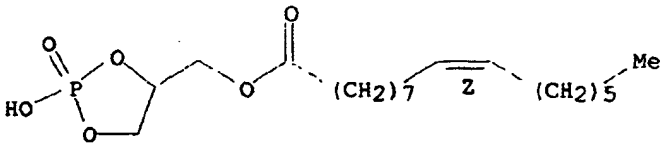
1-O-acylglycerol-2,3-phosphates as antitumor agents)
IT 10025-87-3, Phosphoryl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with triazole; DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)
IT 9012-90-2, DNA polymerase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(.alpha.; DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)
IT **172360-60-0P 172489-74-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(DNA polymerase .alpha. inhibitors contg. 1-O-acylglycerol-2,3-phosphates as antitumor agents)
RN 172360-60-0 HCAPLUS
CN 9-Hexadecynoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 172489-74-6 HCAPLUS
CN 9-Hexadecenoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● Na

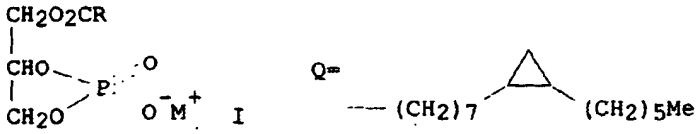
L24 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:638236 HCAPLUS
DN 123:144502
TI Method for preparation of 1-O-acylglycerol 2,3-cyclic phosphate
IN Kobayashi, Susumu; Imai, Nobuyuki; Shinagawa, Rumi; Takahashi, Hideyori
PA Sagami Chem Res, Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.

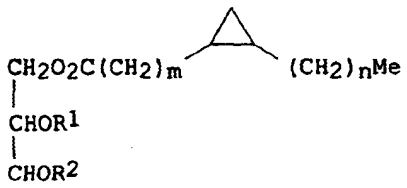
KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

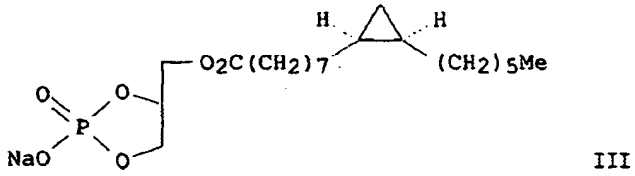
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07F009-09
ICS C07F009-6574
ICA A61K031-665; A61K037-22
CC 33-6 (Carbohydrates)
Section cross-reference(s): 1, 7
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 06228169	A2	19940816	JP 1993-40657	19930205
PRAI JP 1993-40657		19930205		

OS CASREACT 123:144502; MARPAT 123:144502
GI







AB The title compd. [I; R = linear or branched C1-30 alkyl or C2-30 alkenyl optionally contg. a cycloalkane or an arom. ring; M = H, alkali or alk. earth metal, (un)substituted ammonium] is prepd. by reacting 1-O-acylglycerol RCO2CH2CH(OH)CH2OH (R = same as above) with a phosphorylating agent X1X2X3P(O) [X1 = halo, imidazolyl, triazolyl; X2 = halo, imidazolyl, triazolyl, (un)substituted PhO or alkoxy; X3 = imidazolyl, triazolyl, (un)substituted PhO or alkoxy, substituted amino] followed by hydrolysis. An optically active intermediate (II; m, n = 0-15 integer; R1, R2 = H, HO-protective group) is also prepd. This process gives, in particular, lysophosphatidic acid PHYLPA I (R = Q, M = Na) which is a potent DNA polymerase .alpha. inhibitor and potentially useful as an antitumor agent (no data). Thus, 1-O-[(9S,10R)-9,10-methanohexadecanoyl]-sn-glycerol (prepn. given) in THF was added to a soln. of phosphoryl tristriazolide in THF which was prepd. by reacting triazole with POCl3 and Et3N in THF, and the resulting mixt. was stirred at room temp. for 20 min, added to 2% aq. HCl, and extd. with Et2O. The ether ext. was dried over

anhyd. Na2SO4, treated with NaH in Et2O, and extd. with distd. water followed by freeze-drying the water ext. to give 97% optically active title compd. (III).

ST acylglycerol cyclic phosphate prepn antitumor; DNA polymerase alpha inhibitor PHYLPA

IT Neoplasm inhibitors
(prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitors and antitumor agents)

IT 14347-78-5P, 2,3-O-Isopropylidene-sn-glycerol 18172-01-5P, 3-Oxabicyclo[3.1.0]hexan-2-ol 151707-28-7P 151707-29-8P 151707-30-1P 151707-31-2P 151766-40-4P 151766-41-5P 151766-42-6P 151766-43-7P 151766-44-8P 151766-45-9P 151766-46-0P 151766-48-2P 151766-49-3P 151766-50-6P 164215-55-8P 164215-57-0P 164323-39-1P 164323-40-4P 164323-41-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor)

IT 72741-18-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(phosphorylating agent as intermediate for prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor)

IT 538-37-4, Dibenzyl phosphorochloridate 777-52-6, p-Nitrophenyl dichlorophosphate 793-10-2, 4-Nitrophenyl phenyl phosphorochloridate 2524-64-3, Diphenyl phosphorochloridate 16062-77-4 17672-53-6, Bis(2,2,2-trichloroethyl) phosphorochloridate 17677-92-8, Bis(2,2,2-trichloro-1,1-dimethylethyl) phosphorochloridate 23561-36-6, 2-Chloromethyl-p-nitrophenyl dichlorophosphate 51766-21-3, Phenyl N-phenylphosphoramidochloridate 57188-46-2, Bis(p-nitrobenzyl) phosphorochloridate 59346-65-5, Di-tert-butyl phosphorobromidate 85363-77-5, Bis[2-(p-nitrophenyl)ethyl] phosphorochloridate 164215-58-1, 2-(N,N-Dimethylamino)-4-nitrophenyl phosphorochloridate
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylating agent for prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor)

IT **151766-47-1P 151766-51-7P 151766-52-8P 151766-53-9P 164215-56-9P**
RL: **SPN (Synthetic preparation); THU (Therapeutic use)** ; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor and antitumor agent)

IT 334-88-3, Diazo methane 14347-83-2, 1-O-Benzyl-2,3-O-isopropylidene-sn-glycerol 16495-03-7 19670-51-0, (.+-.)-1-O-Hexadecanoylglycerol 21406-61-1, Pentyltriphenylphosphonium bromide 22323-82-6 50889-30-0, (6-Carboxyhexyl)triphenylphosphonium bromide 89395-28-8 115268-48-9, (.+-.)-1-O-Hexadecanoyl-2,3-O-isopropylideneglycerol
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction in prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor)

IT 9012-90-2, DNA polymerase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(.alpha.; prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitors)

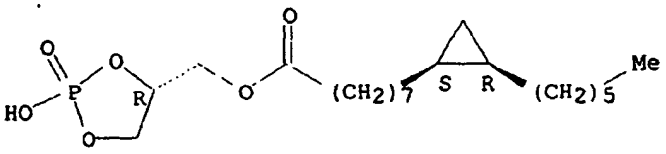
IT **151766-47-1P 151766-51-7P 151766-52-8P 151766-53-9P 164215-56-9P**
RL: **SPN (Synthetic preparation); THU (Therapeutic use)** ; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor

and antitumor agent)

RN 151766-47-1 HCAPLUS

CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

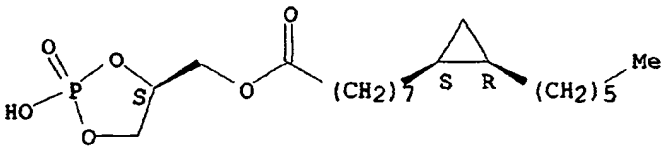


● Na

RN 151766-51-7 HCAPLUS

CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

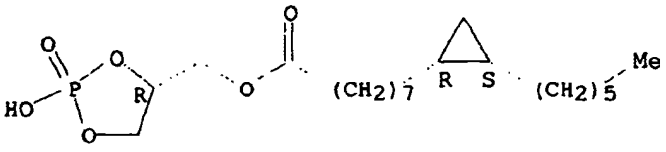


● Na

RN 151766-52-8 HCAPLUS

CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



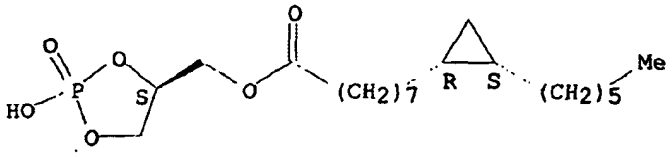
● Na

RN 151766-53-9 HCAPLUS

CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-

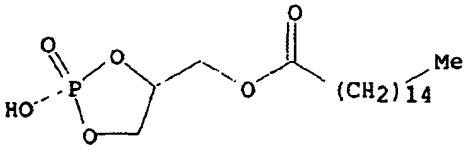
dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

RN 164215-56-9 HCAPLUS
CN Hexadecanoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na

L24 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:285626 HCAPLUS
DN 122:75127
TI Phospholipids containing two different unsaturated fatty acids for use in therapy, nutrition, and cosmetics
IN Horrobin, David; McMordie, Austin; Manku, Mehar Singh
PA Scotia Holdings PLC, UK
SO Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07F009-10
ICS A61K031-66; A61K007-00; A23J007-00; C07F009-117
CC 6-5 (General Biochemistry)
Section cross-reference(s): 1, 17, 62
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 609078	A1	19940803	EP 1994-300599	19940127
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2114349	AA	19940728	CA 1994-2114349	19940127
	NO 9400288	A	19940728	NO 1994-288	19940127
	AU 9454749	A1	19940804	AU 1994-54749	19940127
	AU 671329	B2	19960822		
	ZA 9400587	A	19940909	ZA 1994-587	19940127

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	JP 06293785	A2	19941021	JP 1994-7908	19940127
	CN 1097124	A	19950111	CN 1994-101317	19940127
	US 5466841	A	19951114	US 1994-187042	19940127
PRAI	GB 1993-1629		19930127		

AB A phospholipid comprising two different unsatd. fatty acids, the fatty acids being selected from the twelve n-6 and n-3 essential fatty acids, oleic acid, parinaric acid and combinic acid are described. The phospholipids may be used in prepn. of foods, skin care prepns., or pharmaceuticals. The synthesis of phosphatidylcholine contg. .gamma.-linolenic acid at the 1 position and oleic acid at the 2 position was described.

ST phospholipid unsatd fatty acid therapy nutrition; cosmetic phospholipid unsatd fatty acid

IT Cosmetics
Food
Pharmaceuticals
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

IT Phosphatidylcholines, biological studies
Phosphatidylethanolamines
Phosphatidylinositols
Phosphatidylserines
Phospholipids, biological studies
RL: BUU (Biological use, unclassified); FFD (Food or feed use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

IT 160109-92-2P **160109-97-7P**
RL: BUU (Biological use, unclassified); FFD (Food or feed use); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

IT 506-26-3, .gamma.-Linolenic acid 506-32-1, Arachidonic acid 1783-84-2, Dihomo-.gamma.-linolenic acid 6217-54-5, Docosahexaenoic acid 10417-94-4, Eicosapentaenoic acid
RL: BUU (Biological use, unclassified); FFD (Food or feed use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

IT 75-50-3, Trimethylamine, reactions 100-79-8, Solketal 824-94-2, 4-Methoxybenzyl chloride 6609-64-9, 2-Chloro-1,3,2-dioxaphospholane-2-oxide 54562-14-0 64681-08-9, L-.alpha.-Glycerophosphorylcholine cadmium chloride complex
RL: RCT (Reactant); RACT (Reactant or reagent)
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

IT 142924-83-2P 160109-93-3P 160109-94-4P 160109-95-5P **160109-96-6P** 160224-75-9P
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

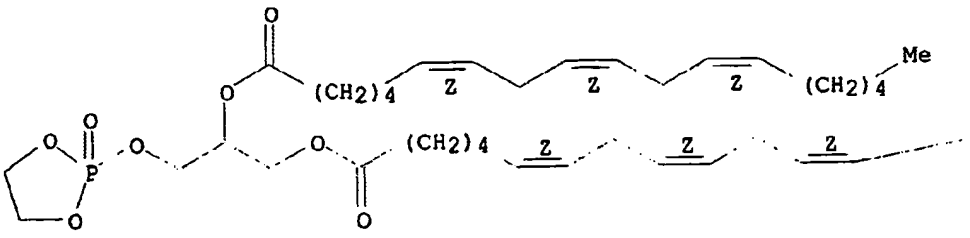
IT **160109-97-7P**
RL: BUU (Biological use, unclassified); FFD (Food or feed use); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

RN 160109-97-7 HCAPLUS

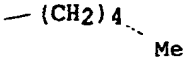
CN 6,9,12-Octadecatrienoic acid, 1-[[(2-oxido-1,3,2-dioxaphospholan-2-yl)oxy]methyl]-1,2-ethanediyl ester, (all-Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



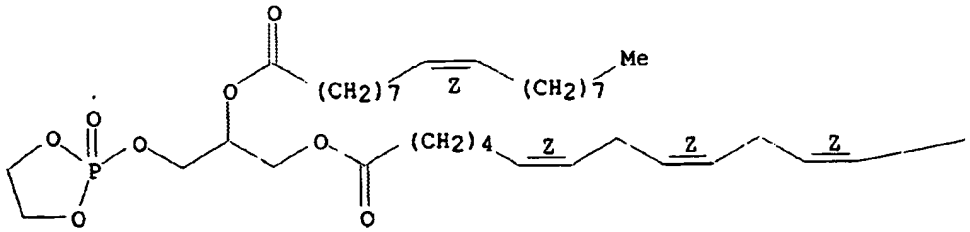
IT **160109-96-6P**
RL: RCT (Reactant); **SPN (Synthetic preparation)**; **PREP (Preparation)**; RACT (Reactant or reagent)
(phospholipids contg. two different unsatd. fatty acids for use in therapy, nutrition, and cosmetics)

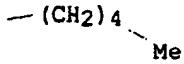
RN 160109-96-6 HCAPLUS

CN 6,9,12-Octadecatrienoic acid, 3-[(2-oxido-1,3,2-dioxaphospholan-2-yl)oxy]-2-[(1-oxo-9-octadecenyl)oxy]propyl ester, (all-Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A





L24 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:255353 HCAPLUS
DN 122:31708
TI Dialkyl (dialkoxyphosphinyl)aminoethyl phosphates as antiinflammatory agents
IN Johnson, Roy A.
PA Upjohn Co., USA
SO U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 717,428, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07C261-00
NCL 558158000
CC 29-7 (Organometallic and Organometalloidal Compounds)
Section cross-reference(s): 1
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5347029	A	19940913	US 1993-168441	19931216
	CA 2102303	AA	19921220	CA 1992-2102303	19920521
	AT 164163	E	19980415	AT 1992-913025	19920521
PRAI	US 1991-717428		19910619		

OS MARPAT 122:31708
AB Provided are novel dialkyl (dialkoxyphosphinyl)methyl phosphates (R1O)2P(O)CH(CH2NR2R3)OP(O)(OR1)2 which are useful as antiinflammatory and anti-arthritic agents. The compds. are synthesized from the reaction of tetra-Et oxiranylidenebisphosphonate and unsubstituted or alkylamines. Representative compd. include 2-(benzylamino)-1-(diethoxyphosphinyl)ethylphosphonic acid di-Et ester, 1-(diethoxyphosphinyl)-2-[2'-(1',2',3',4'-tetrahydro)naphthylamino]ethylphosphonic acid di-Et ester, 2-(3-fluorobenzylamino)-1-(diethoxyphosphinyl)ethylphosphonic acid di-Et ester, and 5,5-dimethyl-2-[2-(3-fluorobenzyl)amino-1-[(5,5-dimethyl-1,3,2-dioxaphosphorinan-2-yl)oxy]ethyl]-1,3,2-dioxaphosphorinane P,2-dioxide.
ST dialkoxyphosphinylaminoethyl phosphate; antiinflammatory
dialkoxyphosphinylaminoethyl phosphate; antiarthritic
dialkoxyphosphinylaminoethyl phosphate
IT Inflammation inhibitors
(prepn. of dialkyl (dialkoxyphosphinyl)aminoethyl phosphates as antiinflammatory and antiarthritic agents)
IT Inflammation inhibitors
(antiarthritics, prepn. of dialkyl (dialkoxyphosphinyl)aminoethyl phosphates as antiinflammatory and antiarthritic agents)
IT 146777-74-4P 146777-75-5P 146777-76-6P 146777-77-7P 146777-78-8P
146777-79-9P 146777-80-2P 146777-81-3P 146777-82-4P 146777-83-5P
146777-84-6P 146777-85-7P 146777-86-8P **146777-87-9P**
146777-88-0P 159759-67-8P

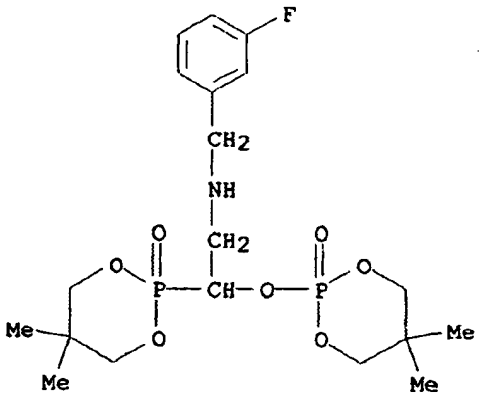
RL: BAC (Biological activity or effector, xcept adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of dialkyl (dialkoxyphosphinyl)aminoethyl phosphates as antiinflammatory and antiarthritic agents)

IT 61-54-1, Tryptamine 64-04-0, Phenethylamine 91-00-9, Aminodiphenylmethane 100-46-9, Benzylamine, reactions 100-82-3, 3-Fluorobenzylamine 107-11-9, Allylamine 108-91-8, Cyclohexylamine, reactions 141-43-5, Ethanolamine, reactions 501-53-1, Benzyl chloroformate 1660-94-2 2954-50-9 3731-52-0, 3-(Aminomethyl)pyridine 3886-69-9, (R)-(+)-1-Phenylethylamine 5036-48-6, 1-(3-Aminopropyl)imidazole 30525-89-4, Paraformaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of dialkyl (dialkoxyphosphinyl)aminoethyl phosphates as antiinflammatory and antiarthritic agents)

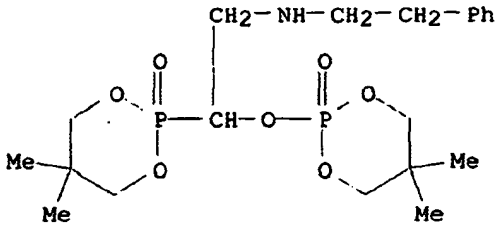
IT 35335-22-9P 37465-31-9P 141828-19-5P 146777-89-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dialkyl (dialkoxyphosphinyl)aminoethyl phosphates as antiinflammatory and antiarthritic agents)

IT **146777-87-9P 146777-88-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of dialkyl (dialkoxyphosphinyl)aminoethyl phosphates as antiinflammatory and antiarthritic agents)

RN 146777-87-9 HCAPLUS
CN 1,3,2-Dioxaphosphorinane-2-ethanamine, .beta.-[(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]-N-[(3-fluorophenyl)methyl]-5,5-dimethyl-, 2-oxide (9CI) (CA INDEX NAME)



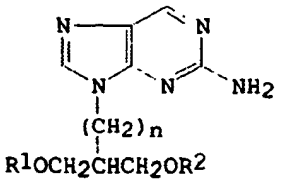
RN 146777-88-0 HCAPLUS
CN 1,3,2-Dioxaphosphorinane-2-ethanamine, .beta.-[(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]-5,5-dimethyl-N-(2-phenylethyl)-, 2-oxide (9CI) (CA INDEX NAME)



L24 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1986:533669 HCAPLUS
DN 105:133669
TI Aminopurine derivatives
PA Beecham Group PLC, UK
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07D473-32
ICS C07F009-65
ICA A61K031-52
CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61085388	A2	19860430	JP 1985-207693	19850919
	JP 05086792	B4	19931214		
	EP 182024	A2	19860528	EP 1985-111354	19850909
	EP 182024	A3	19890308		
	EP 182024	B1	19910403		
	R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DK 8504246	A	19860321	DK 1985-4246	19850918
	DK 167019	B1	19930816		
	AU 8547560	A1	19860327	AU 1985-47560	19850918
	AU 589371	B2	19891012		
	ZA 8507149	A	19860827	ZA 1985-7149	19850918
	CA 1262899	A1	19891114	CA 1985-491028	19850918
	ES 547128	A1	19870301	ES 1985-547128	19850919
	CZ 283721	B6	19980617	CZ 1991-3915	19911219
	JP 06025241	A2	19940201	JP 1993-130044	19930507
	JP 08026021	B4	19960313		
PRAI	GB 1984-23833	A	19840920		
	GB 1985-10331	A	19850423		
	GB 1985-20618	A	19850816		

GI



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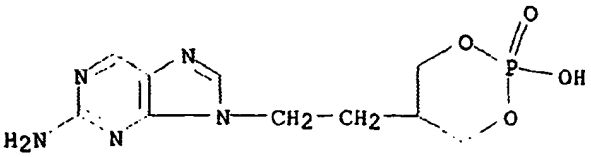
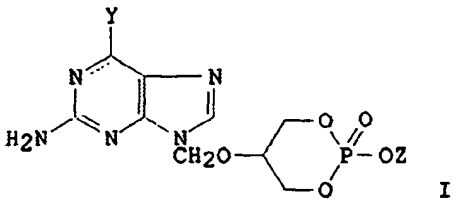
AB Title compds. I (R1, R2 = H, acyl, phosphate, etc.) and their salts, useful as virucides (no data), were prepd. Thus, refluxing 0.54 g 2-amino-6-chloro-9-chloro-9-[2-(2,2-dimethyl-1,3-dioxan-3-yl)ethyl]purine with 450 mg 10% Pd/C in ethanol and cyclohexane gave 36% 2-amino-9-[4-hydroxy-3-(hydroxymethyl)-but-1-yl]purine.
ST aminopurine ethylpropanediol prepn virucide
IT Virucides and Virustats
(aminopurine derivs.)
IT 97845-59-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
IT 104227-86-3P 104227-87-4P 104227-88-5P 104227-89-6P 104227-90-9P
104227-91-0P 104227-92-1P 104227-93-2P 104227-94-3P 104227-95-4P
104227-96-5P 104227-97-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of, as virucide)
IT **104227-96-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of, as virucide)
RN 104227-96-5 HCAPLUS
CN 9H-Purin-2-amine, 9-[2-(2-hydroxy-2-oxido-1,3,2-dioxaphosphorinan-5-yl)ethyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1986:514844 HCAPLUS
DN 105:114844
TI Cyclic phosphate esters of substituted 9-(1,3-dihydroxy-2-propoxymethyl)purines
IN Prisbe, Ernest J.; McGee, Daniel P. C.
PA Syntex (U.S.A.), Inc., USA
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07D473-18
ICS A61K031-52
NCL 544276000
CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 29
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	US 4590269	A	19860520	US 1984-594508	19840329
PRAI	US 1984-594508		19840329		
OS	CASREACT 105:114844				
GI					



I

AB The title compds. [I; Y = OH, NH2; Z = H, (un)substituted hydrocarbyl, cation], useful as antiviral agents (no data), were prepd. Thus, 9-(1,3-dihydro-2-propoxymethyl)guanine in MeCN was reacted with SnCl4 and pyrophosphoryl chloride, followed by workup and chromatog. with NH4OH eluent, to give I (Y = OH, Z = NH4).

ST purine cyclic phosphate prepn antiviral

IT Virucides and Virustats
(((dihydroxypropoxymethyl)purine cyclic phosphate esters)

IT 13498-14-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylation by, of (dihydroxypropoxymethyl)guanine)

IT 10025-87-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylation by, of diamino(dihydroxypropoxymethyl)purine)

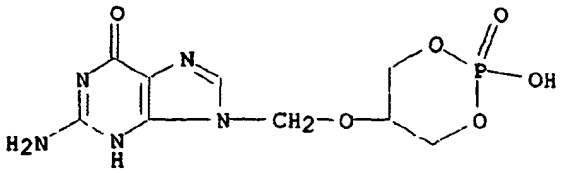
IT 82410-32-0 86629-59-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylation of)

IT **91516-85-7P 91516-89-1P 100683-67-8P 104145-76-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of, as antiviral agent)

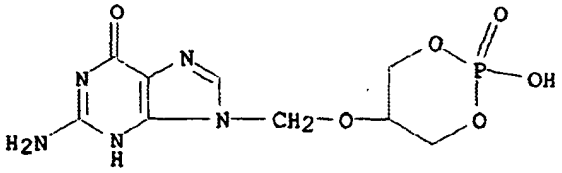
IT **91516-85-7P 91516-89-1P 100683-67-8P 104145-76-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of, as antiviral agent)

RN 91516-85-7 HCAPLUS

CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[[(2-hydroxy-2-oxido-1,3,2-dioxaphosphorinan-5-yl)oxy]methyl]- (9CI) (CA INDEX NAME)

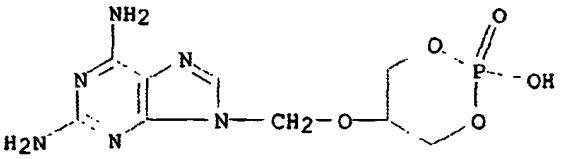


RN 91516-89-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[[(2-hydroxy-2-oxido-1,3,2-dioxaphosphorinan-5-yl)oxy]methyl]-, monoammonium salt (9CI) (CA INDEX NAME)

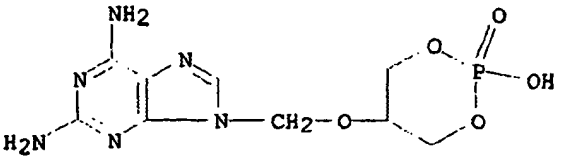


● NH_3

RN 100683-67-8 HCAPLUS
CN 9H-Purine-2,6-diamine, 9-[[(2-hydroxy-2-oxido-1,3,2-dioxaphosphorinan-5-yl)oxy]methyl]- (9CI) (CA INDEX NAME)



RN 104145-76-8 HCAPLUS
CN 9H-Purine-2,6-diamine, 9-[[(2-hydroxy-2-oxido-1,3,2-dioxaphosphorinan-5-yl)oxy]methyl]-, monoammonium salt (9CI) (CA INDEX NAME)

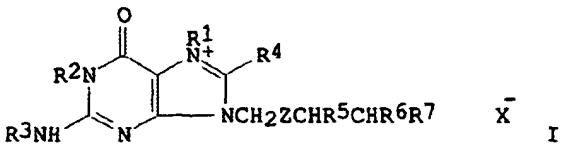


NH_3

L24 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2003 ACS
AN 1986:207063 HCAPLUS
DN 104:207063
TI N-Alkylguanine acyclonucleosides as antiviral agents
IN Maccoss, Malcolm; Tolman, Richard L.; Strelitz, Robert A.
PA Merck and Co., Inc. , USA
SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D473-18
ICS C07F009-65; A61K031-52; A61K031-675
CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 161955	A1	19851121	EP 1985-400613	19850328
R: CH, DE, FR, GB, IT, LI, NL				
US 4579849	A	19860401	US 1984-597785	19840406
JP 60228480	A2	19851113	JP 1985-71333	19850405
PRAI US 1984-597785		19840406		

OS CASREACT 104:207063
GI



I

AB The title compds. I [R1,R2 = C1-19 (halo)alkyl, -alkenyl, -alkynyl or R2 = H; R3 = H, C1-6 alkyl, -hydroxyalkyl; R4 = H, halo, C1-4 alkyl, NH2; R5, R6, R7 = H, OH, C1-6 alkyl, C1-8 acyloxy, C1-6 alkoxy, PO3-, or 2 of R5, R6 = R7 = (-OPO2O-)-, etc.; Z = O, S, CH2; X = anion] useful as antiviral agents (no data) were prepd. Thus, to (S)-9-(2,3-dihydroxy-1-propoxymethyl)guanine in DMSO was added K2CO3 followed by MeI to give (S)-I (R1, R2 = Me; R3, R4 = H; R5, R6 = OH; R7 = Me; X = I) (II). A water-sol. ointment contained II 0.5, glycerol 15, Macrogol 300 20, and PEG 1500 64.5 g.

ST alkylguanine acyclonucleoside prepn antiviral pharmaceutical; guaninium acyclonucleoside prepn antiviral; antiherpetic acyclonucleoside guaninium; quaternization guanine acyclonucleoside; virucide guanine acyclonucleoside prepn

IT Quaternization
(of guanine acyclonucleosides)

IT Virucides and Virustats
(N-alkylguanine acyclonucleosides)

IT Nucleosides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(acyclo-, N-alkyl, prepn. of, as antiviral agents)

IT 75-03-6 107-08-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation by, of (dihydroxypropoxymethyl)guanine)

IT 82410-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

IT 102052-81-3 102052-83-5 102052-85-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(as antiviral agent)

IT 111-64-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with methyl(dihydroxypropoxymethyl)guanine)

IT 59277-89-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)

IT 102052-68-6P 102052-86-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and quaternization of)

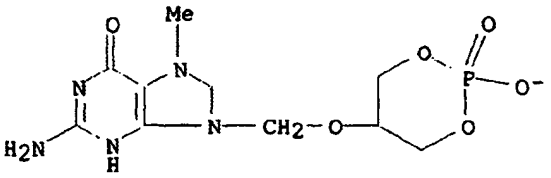
IT 82145-52-6P 102052-67-5P 102052-69-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 102052-70-0P 102052-71-1P 102052-72-2P 102052-73-3P 102052-74-4P
102052-75-5P 102052-76-6P **102052-77-7P 102052-79-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of, as antiviral agent)

IT 96480-03-4 102052-78-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization of)

IT **102052-77-7P 102052-79-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of, as antiviral agent)

RN 102052-77-7 HCAPLUS
CN 1H-Purinium, 2-amino-6,9-dihydro-9-[[(2-hydroxy-2-oxido-1,3,2-dioxaphosphorinan-5-yl)oxy]methyl]-7-methyl-6-oxo-, inner salt (9CI) (CA INDEX NAME)



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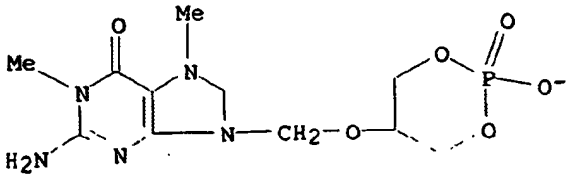
RN 102052-79-9 HCAPLUS
CN 1H-Purinium, 2-amino-6,9-dihydro-9-[[(2-hydroxy-2-oxido-1,3,2-dioxaphosphorinan-5-yl)oxy]methyl]-1,7-dimethyl-6-oxo-, inner salt (9CI) (CA INDEX NAME)



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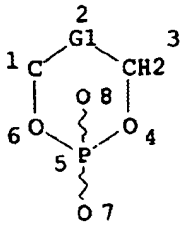
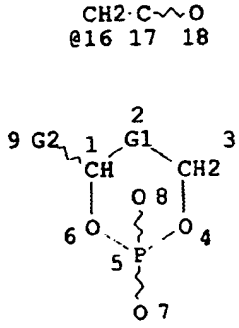


REP G1=(0-3) C
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
L5 2167 SEA FILE=REGISTRY SSS FUL L3
L16 STR



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@10 11

CH2·O~~C~~O
@12 13 14 15

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VAR G2=H/AK/10/12/16
NODE ATTRIBUTES:
CONNECT IS E1  RC AT   8
CONNECT IS E1  RC AT  15
CONNECT IS E1  RC AT  18
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  18

STEREO ATTRIBUTES: NONE
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L19        1246 SEA FILE=HCAPLUS ABB=ON  L18
L20          30 SEA FILE=HCAPLUS ABB=ON  L19(L)THU/RL
L21         715 SEA FILE=HCAPLUS ABB=ON  L19(L)(PREP OR SPN OR IMF)/RL
L24          21 SEA FILE=HCAPLUS ABB=ON  L20 AND L21
L26        1450 SEA FILE=REGISTRY ABB=ON  L18 AND 1-2/NR
L27        1008 SEA FILE=HCAPLUS ABB=ON  L26
L28          18 SEA FILE=HCAPLUS ABB=ON  L27(L)THU/RL
L29           5 SEA FILE=HCAPLUS ABB=ON  (L24 OR L28) NOT L24


=> d l29 all 1-5 hitstr

L29  ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2003 ACS
AN   2002:905886  HCAPLUS
DN   137:379994
TI   Cancerous metastasis inhibitors containing carbacyclic phosphatidic acid
     derivatives
IN   Mukai, Mutsuko; Kobayashi, Susumu; Murofushi, Hiromu; Murofushi, Kimiko
PA   Gencom Corporation, Japan
SO   PCT Int. Appl., 58 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
IC   ICM  A61K031-662
     ICS  A61P035-04; C07F009-6574
CC   1-6 (Pharmacology)
     Section cross-reference(s): 28
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   WO 2002094286      A1   20021128       WO 2002-JP4839   20020520
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI JP 2001-150685    A    20010521
OS   MARPAT 137:379994
GI
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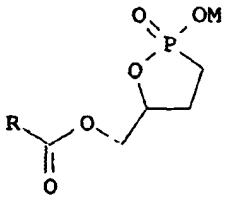


I

AB The invention aims at providing novel cancerous metastasis inhibitors by examg. carbacyclic phosphatidic acid derivs. for inhibitory activity against the infiltration of cancer cells. The invention provides cancerous metastasis inhibitors contg. as the active ingredient compds. represented by the general formula I (R is linear or branched C1-30 alkyl, linear or branched C2-30 alkenyl, or linear or branched C2-30 alkynyl, with the proviso that each group may contain a cycloalkane ring or an arom. ring; and M is hydrogen or a counter cation).

ST cancerous metastasis inhibitor carbacyclic phosphatidate deriv antimelanoma

IT Melanoma
(B16; cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT Animal cell line
(HT-1080, infiltration; cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT Human
(cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT Lysophosphatidic acids
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT Lung, neoplasm
(metastasis, from melanoma; cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT Antitumor agents
Neoplasm
(metastasis; cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT 60-92-4, CAMP
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT 476310-13-1P 476310-14-2P 476310-15-3P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT **164215-56-9 172360-60-0 476310-07-3**
476310-08-4 476310-09-5 476310-10-8
476310-11-9 476310-12-0
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT 2930-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

(canc rous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

IT 476310-16-4P 476310-17-5P 476310-18-6P 476310-19-7P 476310-20-0P
476310-21-1P 476310-22-2P 476310-23-3P 476310-24-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

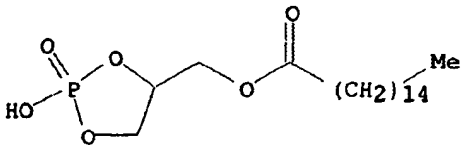
RE

(1) Bestmann, H; Chemical Ber 1992, V0.125(1), P225 HCAPLUS
(2) Sagami Chemical Research Center; JP 06-228169 A 1994 HCAPLUS
(3) Sagami Chemical Research Center; JP 09-25235 A 1997 HCAPLUS
(4) Yeda Research And Development Co Ltd; WO 0057864 A 2000 HCAPLUS
(5) Yeda Research And Development Co Ltd; EP 1162979 A 2000 HCAPLUS
(6) Yeda Research And Development Co Ltd; AU 3451600 A 2000
(7) Yokomatsu, T; Heterocycles 1997, V46, P463 HCAPLUS

IT **164215-56-9 172360-60-0 476310-07-3**
476310-08-4 476310-09-5 476310-10-8
476310-11-9 476310-12-0
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(cancerous metastasis inhibitors contg. carbacyclic phosphatidic acid derivs.)

RN 164215-56-9 HCAPLUS

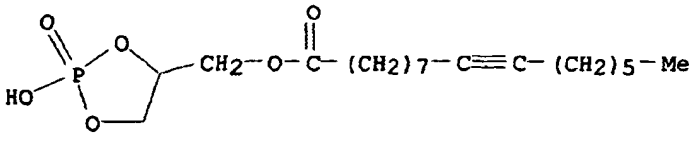
CN Hexadecanoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 172360-60-0 HCAPLUS

CN 9-Hexadecynoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)



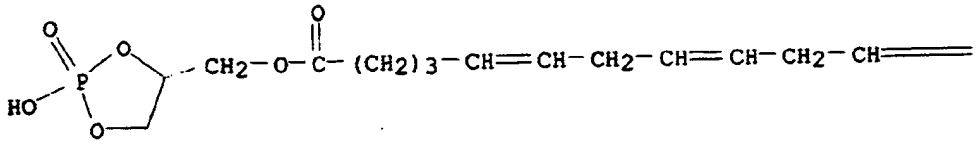
● Na

RN 476310-07-3 HCAPLUS

CN 5,8,11,14,17-Eicosapentaenoic acid, (2-hydroxy-2-oxido-1,3,2-

dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



● Na

PAGE 1-B

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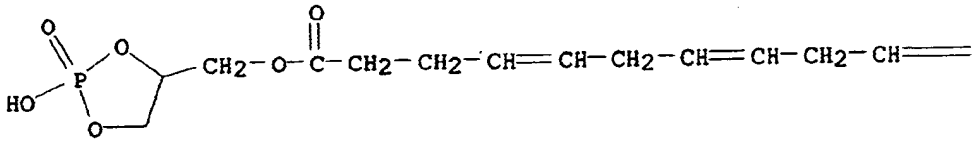
RN 476310-08-4 HCAPLUS
CN 4,7,10,13,16,19-Docosahexaenoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



● Na

PAGE 1-B

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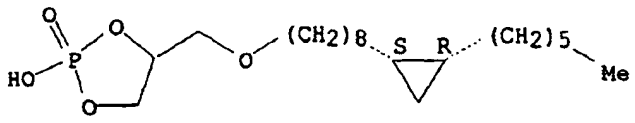
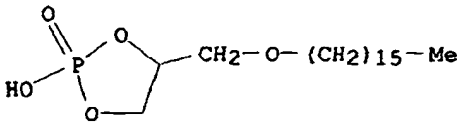
RN 476310-09-5 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-[[[8-[(1R,2S)-2-hexylcyclopropyl]octyl]oxy]methyl]-2-hydroxy-, 2-oxide, sodium salt, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

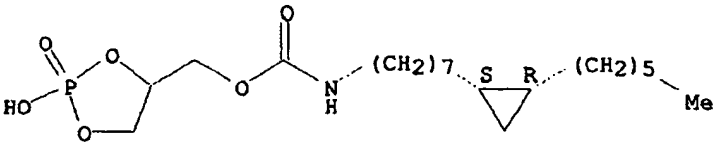
RN 476310-10-8 HCAPLUS
CN 1,3,2-Dioxaphospholane, 4-[(hexadecyloxy)methyl]-2-hydroxy-, 2-oxide, sodium salt (9CI) (CA INDEX NAME)



● Na

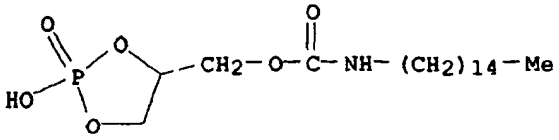
RN 476310-11-9 HCAPLUS
CN Carbamic acid, [7-[(1R,2S)-2-hexylcyclopropyl]heptyl]-, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, monosodium salt, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

RN 476310-12-0 HCAPLUS
CN Carbamic acid, pentadecyl-, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, monosodium salt (9CI) (CA INDEX NAME)



● Na

L29 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2003 ACS
AN 2001:834204 HCAPLUS
DN 136:145102
TI Neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells
AU Haimovitz, Rachel; Shinitzky, Meir
CS Department of Biological Chemistry, The Weizmann Institute of Science, Rehovot, 76100, Israel
SO Life Sciences (2001), 69(23), 2711-2723
CODEN: LIFSAK; ISSN: 0024-3205
PB Elsevier Science Inc.
DT Journal
LA English
CC 1-11 (Pharmacology)
AB A series of cyclic glycerophosphates and their deoxy analogs were tested for induction of neuronal outgrowth in PC12 cells. Under chronic presence of a cyclic phosphate PC12 cells developed distinct isles of neuronal networks which covered up to 20% of the culture area, while .alpha. and .beta. glycerophosphates (the neg. control compds.) did not induce any neuronal outgrowth. Distinct isles of neuronal networks were also obsd. upon short term application (i.e. 2 pulses of 3 h each at day 1 and day 4) of the tested cyclic phosphates in contrast to an analogous short term exposure to NGF which was abortive. Anal. of tyrosine phosphorylation indicated a battery of phosphorylated proteins after several minutes of application of the cyclic phosphates, among which was an ERK protein of .apprx.63kD (possibly ERK7). Nerve rescue expts. were carried out with NGF differentiated PC12 cells where NGF was replaced with either 1,2 or 1,3 cyclic propanediolphosphate (1,2 cPP and 1,3 cPP) for 7 days. A distinct dose dependent preservation of neuronal network by these compds. was obsd. In the control cultures NGF deprivation resulted in massive neuronal retraction and cell death. Preliminary expts. indicated that the nerve rescue by the cyclic phosphates involves the increase in the level of CASPase 6. The above findings suggest that cyclic glycerophosphates and their analogs may bear important physiol. and pharmacol. implications which are currently under investigation.
ST neuron differentiation cyclic phosphate nerve regeneration
IT Nerve
(differentiation; neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
IT Regeneration, animal
(nerve; neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
IT Neurotrophic factors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)

KATHLEEN FULLER EIC 1700/PARKER LAW 308-4290

IT C 11 differentiation
(neuronal; neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
IT Phosphorylation, biological
(protein tyrosine; neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
IT Nerve
(regeneration; neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
IT Phosphoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tyrosine-contg., phosphorylation; neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
IT 182372-15-2, CASPase 6 222838-93-9, Protein kinase ERK7
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
IT 57-03-4, .alpha.-Glycerophosphate 60-92-4, CAMP 362-74-3, Dibutyryl cAMP **711-07-9 13507-10-3** 17181-54-3, .beta.-Glycerophosphate **20636-79-7 25664-08-8 42320-97-8 286020-33-5**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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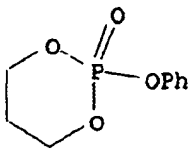
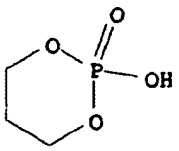
(28) Yung, Y; FEBS Letters 1997, V408, P292 HCAPLUS
IT **711-07-9 13507-10-3 20636-79-7 25664-08-8 42320-97-8 286020-33-5**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL

(Biological study); USES (Uses)
(neuronal outgrowth and rescue induced by cyclic phosphates in PC12 cells)

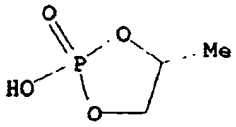
RN 711-07-9 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



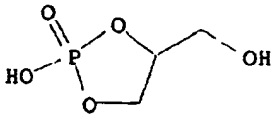
RN 13507-10-3 HCAPLUS
CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-, 2-oxide (9CI) (CA INDEX NAME)



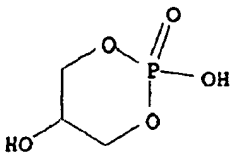
RN 20636-79-7 HCAPLUS
CN 1,3,2-Dioxaphospholane, 2-hydroxy-4-methyl-, 2-oxide (9CI) (CA INDEX NAME)



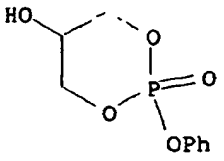
RN 25664-08-8 HCAPLUS
CN 1,3,2-Dioxaphospholane-4-methanol, 2-hydroxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 42320-97-8 HCAPLUS
CN 1,3,2-Dioxaphosphorinan-5-ol, 2-hydroxy-, 2-oxide (9CI) (CA INDEX NAME)



RN 286020-33-5 HCAPLUS
CN 1,3,2-Dioxaphosphorinan-5-ol, 2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)



L29 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2003 ACS
AN 1999:402490 HCAPLUS
DN 131:208765
TI Inhibition of tumor invasion and metastasis by a novel lysophosphatidic acid (cyclic LPA)
AU Mukai, Mutsuko; Imamura, Fumio; Ayaki, Masako; Shinkai, Kiyoko; Iwasaki, Teruo; Murakami-Murofushi, Kimiko; Murofushi, Hiromu; Kobayashi, Susumu; Yamamoto, Takashi; Nakamura, Hiroyuki; Akedo, Hitoshi
CS Department of Tumor Biochemistry, Osaka Medical Center for Cancer and Cardiovascular Diseases, Osaka, Japan
SO International Journal of Cancer (1999), 81(6), 918-922
CODEN: IJCNAW; ISSN: 0020-7136
PB Wiley-Liss, Inc.
DT Journal
LA English
CC 1-6 (Pharmacology)
AB Fetal calf serum (FCS) and 1-oleoyl lysophosphatidic acid (LPA) were previously found to be potent inducers of invasion (transcellular migration) in an in vitro system. A novel LPA, composed of cyclic phosphate and cyclopropane-contg. hexadecanoic acid (PHYLPA), first isolated from myxoamoebae of Physarum polycephalum, and its synthetic derivs. (cLPA) were tested for their ability to inhibit tumor cell invasion and metastasis. Among these, Pal-cLPA, which has a palmitoyl moiety, was most potent in inhibiting invasion, with 93.8% inhibition at the concn. of 25 .mu.M. Invasion in vitro by mouse melanoma cells (B16), human pancreatic adenocarcinoma cells (PSN-1), human lung cancer cells (OC-10) and human fibrosarcoma cells (HT-1080) was also inhibited by Pal-cLPA. The stimulation of MMI cells with LPA triggered F-actin formation, which was impaired by the addn. of Pal-cLPA at invasion-inhibitory concn. Pal-cLPA induced a rapid increase in adenosine 3',5'-cyclic monophosphate (cAMP) concn. in MMI cells. The addn. of dibutyryl cAMP significantly abrogated LPA-induced invasion by MMI cells and actin polymn. in the cells. The inhibition of MM I cell invasion by Pal-cLPA may be ascribed to an increased level of cAMP. Pal-cLPA also suppressed invasion in vitro by MMI cells induced by FCS dose dependently, without affecting proliferation. It also suppressed the pulmonary metastasis of B 16 mouse melanoma cells injected into the tail vein of C57BL/6 mice. Thus, Pal-cLPA is effective in inhibiting invasion and

metastasis of a variety of tumor cells.
ST metastasis antitumor lysophosphatidic acid
IT Antitumor agents
(metastasis; inhibition of tumor invasion and metastasis by a novel lysophosphatidic acid derivs.)
IT **151766-47-1 168217-08-1 168217-09-2 168217-10-5 169736-88-3 188171-56-4 188171-62-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(inhibition of tumor invasion and metastasis by a novel lysophosphatidic acid derivs.)
IT 60-92-4, Adenosine 3',5'-cyclic monophosphate
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(inhibition of tumor invasion and metastasis by a novel lysophosphatidic acid derivs.)
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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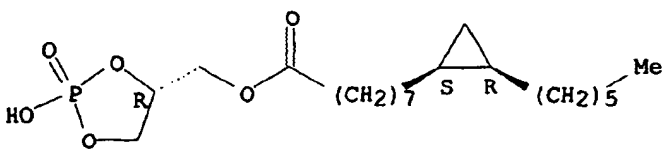
IT **151766-47-1 168217-08-1 168217-09-2 168217-10-5 169736-88-3 188171-56-4 188171-62-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(inhibition of tumor invasion and metastasis by a novel lysophosphatidic acid derivs.)
RN 151766-47-1 HCAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

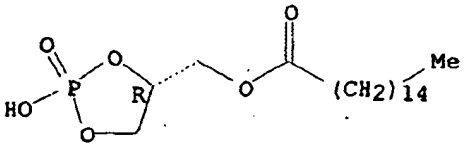
Absolute stereochemistry. Rotation (+).



● Na

RN 168217-08-1 HCAPLUS
CN Hexadecanoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

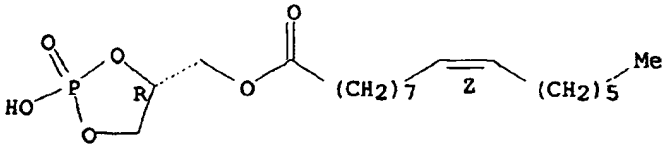
Absolute stereochemistry.



● Na

RN 168217-09-2 HCAPLUS
CN 9-Hexadecenoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (9Z)- (9CI) (CA INDEX NAME)

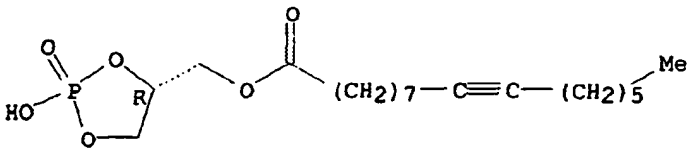
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 168217-10-5 HCAPLUS
CN 9-Hexadecynoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

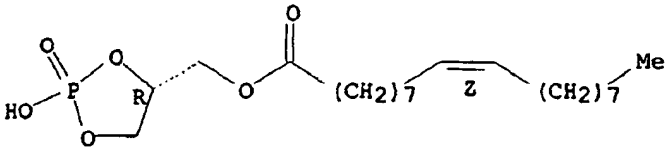
Absolute stereochemistry.



● Na

RN 169736-88-3 HCAPLUS
CN 9-Octadecenoic acid (9Z)-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

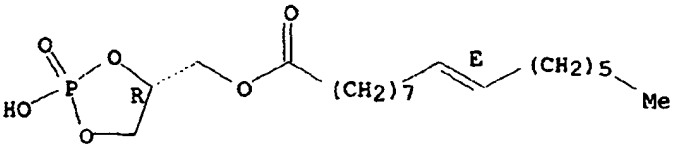
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 188171-56-4 HCAPLUS
CN 9-Hexadecenoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (9E)- (9CI) (CA INDEX NAME)

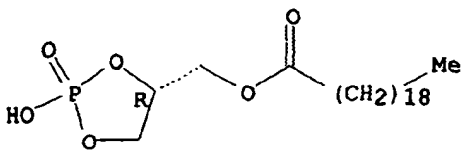
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 188171-62-2 HCAPLUS
CN Eicosanoic acid, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

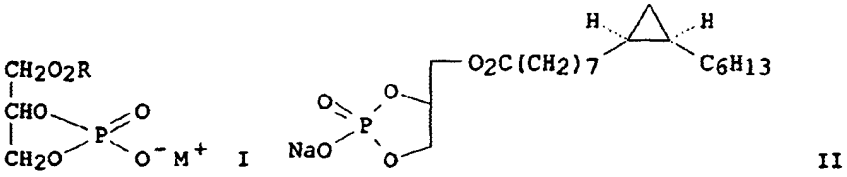


● Na

L29 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:951163 HCAPLUS
DN 123:350234
TI Promoters of protein phosphokinase C activation containing 1-O-acylglycerol 2,3-cyclic phosphate
IN Kobayashi, Susumu; Imai, Nobuyuki; Onimura, Kenjiro; Nakamura, Shuko; Murofushi, Kimiko
PA Sagami Chem Res, Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07F009-10
ICS C07F009-6571; C12N009-00
CC 63-5 (Pharmaceuticals)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07149772	A2	19950613	JP 1993-319186	19931126

PRAI JP 1993-319186 19931126
OS MARPAT 123:350234
GI



I II

AB A promoter for activation of protein phosphokinase C (PKC) contains 1-O-acylglycerol 2,3-cyclic phosphate [I; R = linear or branched C1-30 alkyl or C2-30 alkenyl optionally contg. a cycloalkane or an arom. ring; M = H, alkali or alk. earth metal, (un)substituted NH4] as the active ingredient. It is useful for the treatment of hypertension, hyperglycemia, and dementia. For example, 1-O-[(9S,10R)-9,10-methanohexadecanoyl]-sn-glycerol 2,3-cyclic phosphate sodium salt (II) in vitro promoted 8.1 times the activity of cPKC.alpha. in an assay using [32P]ATP and leupeptin as compared to the control.
ST acylglycerol cyclic phosphate; promoter protein kinase C activation; hypertension treatment acylglycerol cyclic phosphate; hyperglycemia treatment acylglycerol cyclic phosphate; dementia treatment acylglycerol

cyclic phosphate

IT Antidiabetics and Hypoglycemics
Antihypert nsives
(promoters of protein phosphokinase C activation contg. acylglycerol cyclic phosphates for treating hypertension, hyperglycemia, and dementia)

IT Mental disorder
(dementia, promoters of protein phosphokinase C activation contg. acylglycerol cyclic phosphates for treating hypertension, hyperglycemia, and dementia)

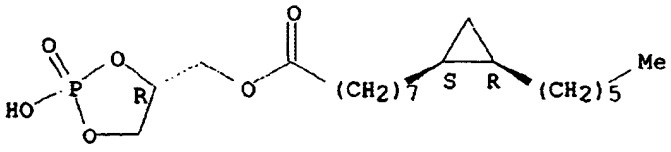
IT **151766-47-1 151766-51-7 151766-52-8 151766-53-9 170908-55-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(promoters of protein phosphokinase C activation contg. acylglycerol cyclic phosphates for treating hypertension, hyperglycemia, and dementia)

IT 141436-78-4, Protein kinase c
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(promoters of protein phosphokinase C activation contg. acylglycerol cyclic phosphates for treating hypertension, hyperglycemia, and dementia)

IT **151766-47-1 151766-51-7 151766-52-8 151766-53-9 170908-55-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(promoters of protein phosphokinase C activation contg. acylglycerol cyclic phosphates for treating hypertension, hyperglycemia, and dementia)

RN 151766-47-1 HCAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

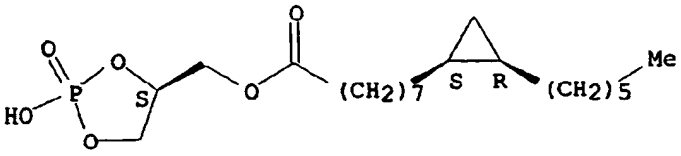
Absolute stereochemistry. Rotation (+).



● Na

RN 151766-51-7 HCAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

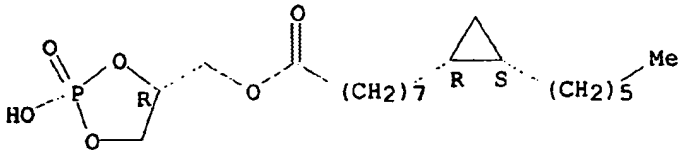
Absolute stereochemistry. Rotation (-).



● Na

RN 151766-52-8 HCAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

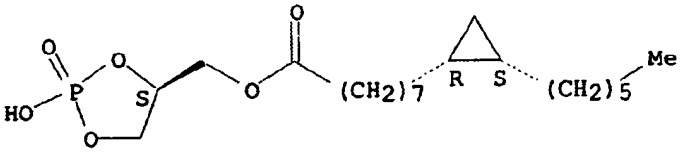
Absolute stereochemistry.



● Na

RN 151766-53-9 HCAPLUS
CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

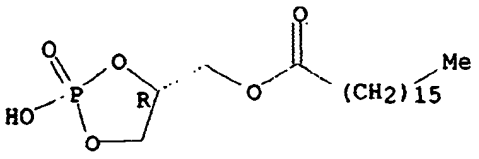
Absolute stereochemistry.



● Na

RN 170908-55-1 HCAPLUS
CN Heptadecanoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L29 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2003 ACS
AN 1973:52525 HCAPLUS
DN 78:52525
TI Novel phosphate anthelmintics. 1. Alkyl 2,2-dichlorovinyl methyl phosphates and related alkoxyalkyl and cycloalkyl analogs of dichlorvos
AU Morales, Juan G.; Whetstone, Richard H.; Stoutamire, Donald W.; Hass, D. Kendall
CS Biol. Sci. Res. Cent., Shell Dev. Co., Modesto, CA, USA
SO Journal of Medicinal Chemistry (1972), 15(12), 1225-31
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
CC 1-3 (Pharmacodynamics)
Section cross-reference(s): 23
AB Alkyl 2,2-dichlorovinyl Me phosphates showed anthelmintic activity which increased with increasing chain length (hydrophobicity) to a max. at C7-C10. Thus, 2,2-dichlorovinyl n-heptyl Me phosphate (I) [23248-43-3] showed an ED50 of 2 mg/kg orally against Syphacia obvelata in mice, with a max. tolerated dose of 500 mg/kg, and gave 50% inhibition of fly head cholinesterase [9001-08-5] at 2.5 .tim. 10-10M. N-decyl 2,2-dichlorovinyl Me phosphate [23248-45-5] gave max. inhibition of Hymenolepis nana in mice (ED50 16 mg/kg orally, max. tolerated dose 500 mg/kg). The C2-C4 .omega.-chloroalkyl esters and the di-Pr and di-Bu esters had higher therapeutic indexes than the asymmetric n-alkyl analogs. To synthesize I, dichlorvos was refluxed with KI in Me2CO to form Na 2,2-dichlorovinyl Me phosphate, which was converted to the acid with HCl. This acid was converted with SOCl2 to P,P'-bis(2,2-dichlorovinyl) P,P'-dimethyl pyrophosphate, which underwent alcoholysis with n-heptanol to form I.
ST dichlorvos analog anthelmintic; phosphate alkyl chlorovinyl anthelmintic
IT Molecular structure-biological activity relationship
(anthelmintic, of dichlorvos analogs)
IT Anthelmintics
(dichlorvos analogs)
IT 62-73-7 71-98-7 72-00-4 2597-51-5 3212-19-9 3309-70-4
5266-08-0 5301-38-2 5301-43-9 5301-54-2 13445-62-0 17196-86-0
17196-87-1 17196-88-2 17196-89-3 17196-92-8 18795-58-9
20202-81-7 20202-93-1 23248-40-0 23248-41-1 23248-42-2
23248-43-3 23248-44-4 23248-45-5 23248-46-6 25561-01-7
34622-68-9 34622-69-0 34622-70-3 34622-78-1 34641-40-2
35075-19-5 40282-65-3 40282-68-6 40282-70-0 40282-76-6
40282-78-8 40282-81-3 40282-82-4 40282-88-0 40282-90-4
40282-95-9 40282-96-0 40282-97-1 40282-98-2 40282-99-3
40283-00-9 40283-02-1 40283-03-2 **40283-04-3** 40284-62-6
40929-79-1
RL: BAC (Biological activity or effector, except adv rse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological

study); USES (Uses)
(anthelmintic activity of)

IT **40283-04-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(anthelmintic activity of)

RN 40283-04-3 HCAPLUS

CN 1,3,2-Dioxaphosphorinane, 2-[(2,2-dichloroethenyl)oxy]-, 2-oxide (9CI) (CA INDEX NAME)